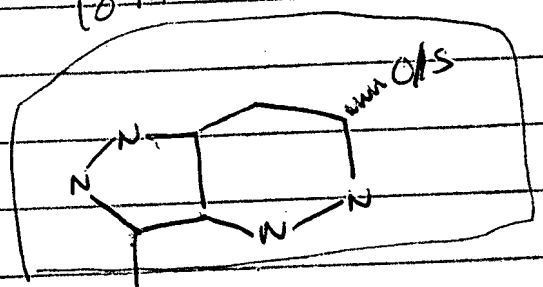
Dec 2, 2005 PAUL WARD NOTES

10/772,219



bond 10 15 1N

NH_2 NHAk NAk_2

N(R)

UAr^3

① A_{1-7} — cy

RU$_5$Cy^3

1-7 + (0-1) = 1-8

gl — A — cy
1-8

SQ1 or SQ2 = SuB = BS

l SQ1
SQ2

A_{1-7} — cy

N — A_{1-8} — cy

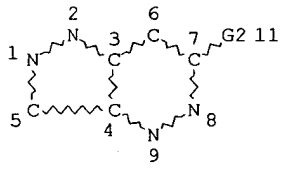
O — A_{1-8} — cy

S — A_{1-7} — cy

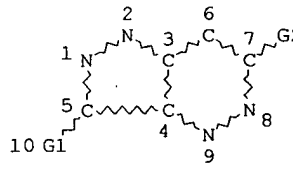
reg l 13

Paul Ward
(See notes)
handwritten

```
=> b reg
FILE 'REGISTRY' ENTERED AT 10:54:53 ON 05 DEC 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:     3 DEC 2008  HIGHEST RN 1079441-15-8
DICTIONARY FILE UPDATES:    3 DEC 2008  HIGHEST RN 1079441-15-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> d que sta l13
L6                STR
```




```
VAR G2=O/S
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  10

STEREO ATTRIBUTES: NONE
L8            82 SEA FILE=REGISTRY SSS FUL L6
L10               STR
```



NH~Ak @12 13

Ak~N~Ak 14 @15 16

N @17

N~G3~Cy @18 19 20

O~G3~Cy @21 22 23

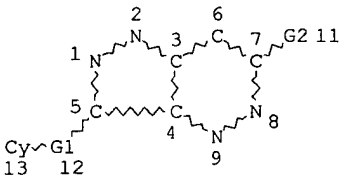
S~G3~Cy @24 25 26

```
VAR G1=NH2/12/15/17/18/21/24
VAR G2=O/S
REP G3=(1-7) A
NODE ATTRIBUTES:
NSPEC   IS R      AT  17
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  26
```

Dec 2, 2008

See handwritten notes

STEREO ATTRIBUTES: NONE
L11 STR



REP G1=(1-7) A
VAR G2=O/S
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
L13 41 SEA FILE=REGISTRY SUB=L8 SSS FUL (L10 OR L11)

100.0% PROCESSED 82 ITERATIONS 41 ANSWERS
SEARCH TIME: 00.00.01

=> b hcap
FILE 'HCAPLUS' ENTERED AT 10:55:10 ON 05 DEC 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 5 Dec 2008 VOL 149 ISS 24
FILE LAST UPDATED: 4 Dec 2008 (20081204/ED)

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

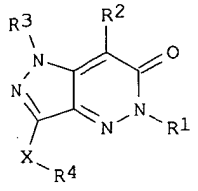
This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d bib abs hitrn fhitstr l16 tot

L16 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:696343 HCAPLUS
DN 141:225525
TI Preparation of pyrazolopyridazines as inhibitors of protein kinases
IN Green, Jeremy; Grey, Ronald; Pierce, Albert C.
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO--2004072029 A2 20040826 2004WO-US0003061 20040204
WO--2004072029 A3 20041216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US-20040192682 A1 20040930 2004US-000772219 20040204
PRAI 2003US-00445529P P 20030206
2004WO-US0003061 A 20040204
OS MARPAT 141:225525
GI



I

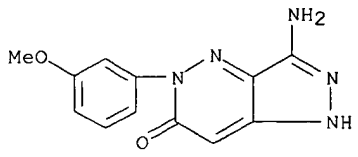
AB The title compds. [I; R1 = substituted Ph, alkylphenyl, CH2Ph, etc.; R2 = halo, NO2, CN, etc.; R3 = H, alkyl; X = a bond, O, S, (un)unsubstituted NH; R4 = H, quinazolinyl, pyrimidinyl, etc.] which are inhibitors of protein kinases, particularly inhibitors of GSK mammalian protein kinase, and more particularly inhibitors of GSK-3 mammalian protein kinase, were prepared E.g., a multi-step synthesis of 3-amino-5-(3,4-dimethoxyphenyl)-1,5-dihydropyrazolo[4,3-c]pyridazine-6-one, starting from 3,4-dimethoxyaniline and di-Me acetonediacarboxylate, was given. The representative compds. I were shown to have Ki of < 4.0 μM for GSK-3β. The invention also provides pharmaceutically acceptable compns. comprising the compds. I and methods of utilizing those compds. and compns. in the treatment of various protein kinase mediated disorders.

IT **746647-38-1P 746647-39-2P 746647-40-5P**
746647-41-6P 746647-42-7P 746647-43-8P
746647-44-9P 746647-45-0P 746647-46-1P
746647-47-2P 746647-48-3P 746647-49-4P
746647-50-7P 746647-51-8P 746647-52-9P
746647-53-0P 746647-54-1P 746647-55-2P
746647-57-4P 746647-60-9P 746647-61-0P
746647-62-1P 746647-63-2P 746647-68-7P
746647-70-1P 746647-71-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyridazines as inhibitors of protein kinases)

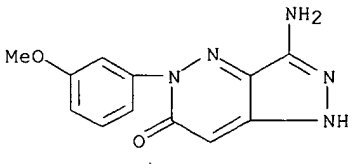
IT **338395-98-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazolopyridazines as inhibitors of protein kinases)

IT **746647-38-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyridazines as inhibitors of protein kinases)

RN 746647-38-1 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 3-amino-1,5-dihydro-5-(3-methoxyphenyl)- (CA INDEX NAME)




```
=> b uspatall
FILE 'USPATFULL' ENTERED AT 10:56:19 ON 05 DEC 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATOLD' ENTERED AT 10:56:19 ON 05 DEC 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:56:19 ON 05 DEC 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitrn fhitstr l18 tot

L18  ANSWER 1 OF 1  USPATFULL on STN
AN     2004:248082  USPATFULL
TI     Compositions useful as inhibitors of protein kinases
IN     Green, Jeremy, Burlington, MA, UNITED STATES
       Grey, Ronald, Cambridge, MA, UNITED STATES
       Pierce, Albert C., Cambridge, MA, UNITED STATES
PI     US-20040192682       A1  20040930
AI     2004US-000772219     A1  20040204 (10)
PRAI   2004WO-US0003061     20040204
       2003US-000445529P    20030206 (60)
DT     Utility
FS     APPLICATION
LREP   VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA,
       02139-4242
CLMN   Number of Claims: 63
ECL    Exemplary Claim: 1
DRWN   No Drawings
LN.CNT 1928
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB     The present invention provides a compound of formula I:   ##STR1##

       or a pharmaceutically acceptable salt or mixtures thereof. These
       compounds are inhibitors of protein kinases, particularly inhibitors of
       GSK mammalian protein kinase, and more particularly inhibitors of GSK-3
       mammalian protein kinase. The invention also provides pharmaceutically
       acceptable compositions comprising the compounds of the invention and
       methods of utilizing those compounds and compositions in the treatment
       of various protein kinase mediated disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT   746647-38-1P 746647-39-2P 746647-40-5P
     746647-41-6P 746647-42-7P 746647-43-8P
     746647-44-9P 746647-45-0P 746647-46-1P
     746647-47-2P 746647-48-3P 746647-49-4P
     746647-50-7P 746647-51-8P 746647-52-9P
     746647-53-0P 746647-54-1P 746647-55-2P
     746647-57-4P 746647-60-9P 746647-61-0P
     746647-62-1P 746647-63-2P 746647-68-7P
     746647-70-1P 746647-71-2P
       (preparation of pyrazolopyridazines as inhibitors of protein kinases)
IT   338395-98-5
       (preparation of pyrazolopyridazines as inhibitors of protein kinases)
IT   746647-38-1P
       (preparation of pyrazolopyridazines as inhibitors of protein kinases)
RN   746647-38-1  USPATFULL
CN   6H-Pyrazolo[4,3-c]pyridazin-6-one,
       3-amino-1,5-dihydro-5-(3-methoxyphenyl)-  (CA INDEX NAME)
```



=> b hcap
FILE 'HCAPLUS' ENTERED AT 10:56:39 ON 05 DEC 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 5 Dec 2008 VOL 149 ISS 24
FILE LAST UPDATED: 4 Dec 2008 (20081204/ED)

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

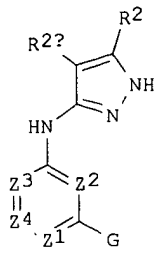
=> d bib abs hitstr l20 tot

L20 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:220584 HCAPLUS
DN 136:247584
TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
IN Bebbington, David; Knegtel, Ronald; Golec, Julian M. C.; Li, Pan; Davies, Robert; Charrier, Jean-Damien
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 356 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 14

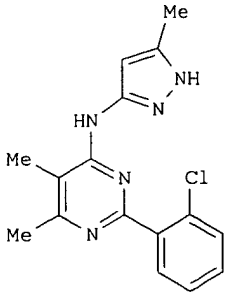
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO--2002022608	A1	20020321	2001WO-US0042152	20010914 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA-----2422380	A1	20020321	2001CA-002422380	20010914 <--
	AU--2001096871	A	20020326	2001AU-000096871	20010914 <--
	US-20030055044	A1	20030320	2001US-000953505	20010914 <--
	US-----6638926	B2	20031028		
	US-20030064981	A1	20030403	2001US-000952836	20010914 <--
	US-----6613776	B2	20030902		
	US-20030064982	A1	20030403	2001US-000952875	20010914 <--

US-20030073687	A1	20030417	2001US-000952671	20010914 <--
US-----6660731	B2	20031209		
US-20030078166	A1	20030424	2001US-000955601	20010914 <--
US-----6696452	B2	20040224		
US-20030083327	A1	20030501	2001US-000952833	20010914 <--
US-----6610677	B2	20030826		
EP-----1317452	A1	20030611	2001EP-000977779	20010914 <--
EP-----1317452	B1	20060517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA--2003001701	A	20040301	2003ZA-000001701	20010914 <--
ZA--2003001703	A	20040302	2003ZA-000001703	20010914 <--
JP--2004509118	T	20040325	2002JP-000526861	20010914 <--
US-20040097501	A1	20040520	2001US-000953471	20010914 <--
US-----7115739	B2	20061003		
HU--2004001819	A2	20041228	2004HU-000001819	20010914 <--
US-20050004110	A1	20050106	2001US-000952878	20010914 <--
US-----7098330	B2	20060829		
ES-----2242771	T3	20051116	2001ES-000971006	20010914 <--
AT------326458	T	20060615	2001AT-000970969	20010914 <--
AT------327990	T	20060615	2001AT-000970971	20010914 <--
AT------327992	T	20060615	2001AT-000971082	20010914 <--
AT------327991	T	20060615	2001AT-000973050	20010914 <--
AT------326459	T	20060615	2001AT-000977779	20010914 <--
EP-----1698627	A1	20060906	2006EP-000010798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT-----1318997	T	20061031	2001PT-000971082	20010914 <--
AT------346064	T	20061215	2001AT-000975210	20010914 <--
ES-----2266258	T3	20070301	2001ES-000970971	20010914 <--
ES-----2266259	T3	20070301	2001ES-000971082	20010914 <--
AT------363284	T	20070615	2001AT-000977783	20010914 <--
NZ------545284	A	20070629	1984NZ-000005452	20010914 <--
CN---100355750	C	20071219	2001CN-000817427	20010914 <--
CA-----2432303	A1	20020829	2001CA-002432303	20011219 <--
AU--2002255452	A1	20020904	2002AU-000255452	20011219 <--
AU--2002255452	B2	20060608		
CA-----2432223	A1	20020906	2001CA-002432223	20011219 <--
CA-----2432223	C	20080520		
AU--2001297619	A1	20020912	2001AU-000297619	20011219 <--
AU--2001297619	B2	20060608		
EP-----1345922	A1	20030924	2001EP-000271061	20011219 <--
EP-----1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP-----1355905	A1	20031029	2001EP-000273861	20011219 <--
EP-----1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ------526472	A	20040430	2001NZ-000526472	20011219 <--
JP--2004518743	T	20040624	2002JP-000565976	20011219 <--
JP--2004519479	T	20040702	2002JP-000567928	20011219 <--
HU--2004000842	A2	20040728	2004HU-000000842	20011219 <--
NZ------526473	A	20050624	2001NZ-000526473	20011219 <--
EP-----1702920	A1	20060920	2006EP-000011799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA--2003001697	A	20040301	2003ZA-000001697	20030228 <--
ZA--2003001699	A	20040301	2003ZA-000001699	20030228 <--
ZA--2003001700	A	20040301	2003ZA-000001700	20030228 <--
ZA--2003001702	A	20040301	2003ZA-000001702	20030228 <--
ZA--2003001704	A	20040301	2003ZA-000001704	20030228 <--
ZA--2003001698	A	20040302	2003ZA-000001698	20030228 <--
IN---200300294	A	20050311	2003IN-000000294	20030310 <--
NO--2003001188	A	20030513	2003NO-000001188	20030314 <--
MX-2003PA02299	A	20030606	2003MX-PA0002299	20030317 <--
ZA--2003004468	A	20040624	2003ZA-000004468	20030609 <--
ZA--2003004469	A	20040624	2003ZA-000004469	20030609 <--
ZA--2003004470	A	20040624	2003ZA-000004470	20030609 <--
ZA--2003004471	A	20040624	2003ZA-000004471	20030609 <--
ZA--2003004473	A	20040624	2003ZA-000004473	20030609 <--
ZA--2003004475	A	20040624	2003ZA-000004475	20030609 <--
ZA--2003004472	A	20040625	2003ZA-000004472	20030609 <--
ZA--2003004474	A	20040625	2003ZA-000004474	20030609 <--

	NO--2003002704	A	20030821	2003NO-000002704	20030613 <--
	MX-2003PA05609	A	20031006	2003MX-PA0005609	20030620 <--
	MX-2003PA05610	A	20031006	2003MX-PA0005610	20030620 <--
	US-20040224944	A1	20041111	2003US-000624800	20030722 <--
	US-----7008948	B2	20060307		
	US-20040116454	A1	20040617	2003US-000692355	20031023 <--
	US-----7390815	B2	20080624		
	US-20040157893	A1	20040812	2003US-000722374	20031125 <--
	HK-----1057888	A1	20061124	2003HK-000108639	20031126 <--
	US-20040132781	A1	20040708	2003US-000736426	20031215 <--
	US-----7087603	B2	20060808		
	US-20040167141	A1	20040826	2004US-000775699	20040210 <--
	US-----7427681	B2	20080923		
	HK-----1060347	A1	20061201	2004HK-000101883	20040315 <--
	JP--2005097322	A	20050414	2004JP-000366925	20041217 <--
	US-20070270444	A1	20071122	2006US-000369220	20060306 <--
	AU--2006201228	A1	20060413	2006AU-000201228	20060321 <--
	AU--2006201229	A1	20060413	2006AU-000201229	20060321 <--
	AU--2006201230	A1	20060413	2006AU-000201230	20060321 <--
	AU--2006201230	B2	20080911		
	AU--2006201262	A1	20060427	2006AU-000201262	20060321 <--
	AU--2006201262	B2	20080904		
	AU--2006201263	A1	20060427	2006AU-000201263	20060321 <--
	AU--2006201264	A1	20060427	2006AU-000201264	20060321 <--
	AU--2006201265	A1	20060427	2006AU-000201265	20060321 <--
	AU--2006201265	B2	20080904		
	AU--2006201391	A1	20060427	2006AU-000201391	20060404 <--
	US-20060258658	A1	20061116	2006US-000492450	20060725 <--
	IN---200702703	A	20080801	2007IN-000002703	20070723 <--
	JP--2008115195	A	20080522	2008JP-000015681	20080125 <--
	JP--2008189682	A	20080821	2008JP-000095581	20080401 <--
	JP--2008260767	A	20081030	2008JP-000095584	20080401 <--
	JP--2008222719	A	20080925	2008JP-000097620	20080403 <--
	JP--2008189687	A	20080821	2008JP-000098506	20080404 <--
	US-20080287444	A1	20081120	2008US-000109598	20080425
	JP--2008201808	A	20080904	2008JP-000121723	20080507 <--
	JP--2008247920	A	20081016	2008JP-000121724	20080507 <--
	JP--2008247921	A	20081016	2008JP-000121727	20080507 <--
PRAI	2000US-00232795P	P	20000915	<--	
	2000US-00257887P	P	20001221	<--	
	2001US-00286949P	P	20010427	<--	
	2001AU-000296871	A3	20010914	<--	
	2001AU-000296875	A3	20010914	<--	
	2001AU-000090944	A3	20010914	<--	
	2001AU-000091013	A3	20010914	<--	
	2001AU-000094558	A3	20010914	<--	
	2001AU-000096871	T0	20010914	<--	
	2001AU-000096875	T0	20010914	<--	
	2001EP-000971082	A3	20010914	<--	
	2002JP-000526860	A3	20010914	<--	
	2001US-000952671	A3	20010914	<--	
	2001US-000953471	A3	20010914	<--	
	2001US-000955601	A3	20010914	<--	
	2001WO-US0042152	W	20010914	<--	
	2001EP-000273861	A	20011219	<--	
	2001EP-000994323	A3	20011219	<--	
	2002JP-000551561	A3	20011219	<--	
	2002JP-000557938	A3	20011219	<--	
	2002JP-000559413	A3	20011219	<--	
	2002JP-000563142	A3	20011219	<--	
	2002JP-000565976	A3	20011219	<--	
	2002JP-000567928	A3	20011219	<--	
	2001US-000026966	A1	20011219	<--	
	2001WO-US0049139	W	20011219	<--	
	2001WO-US0050312	W	20011219	<--	
	2002JP-000551562	A3	20011220	<--	
	2002JP-000559414	A3	20011220	<--	
	2001US-000034019	A3	20011220	<--	
	2001US-000034683	A1	20011220	<--	
	2003IN-000000795	A3	20030619		
	2003US-000624800	A3	20030722		
	2004US-000775699	A1	20040210		
OS	MARPAT 136:247584				
GI					



I



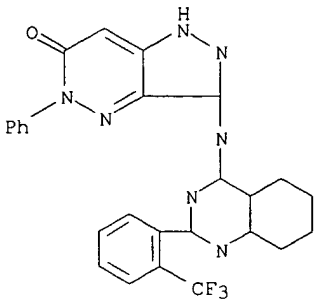
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 = CR9; Z2 and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

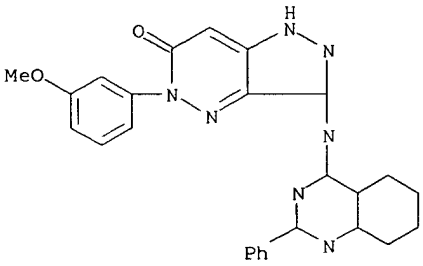
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 HCAPLUS

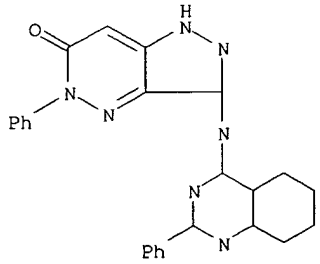
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



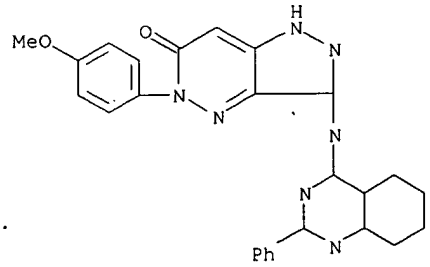
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



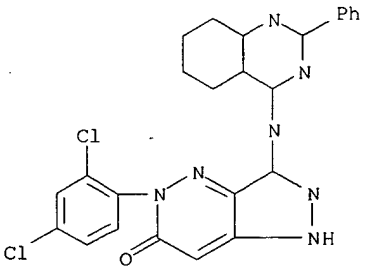
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-17-0 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



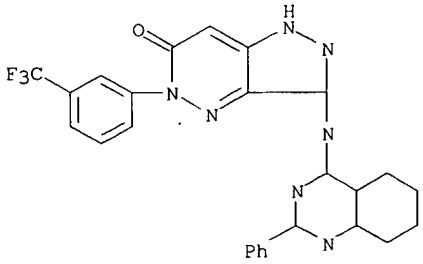
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-18-1 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



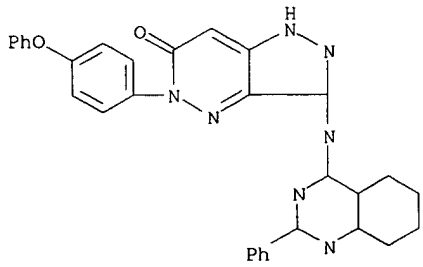
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-19-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-
(CA INDEX NAME)



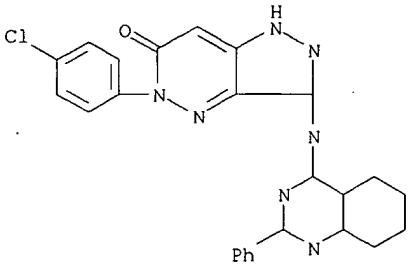
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-21-6 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-22-7 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA
INDEX NAME)



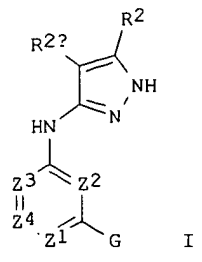
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:220583 HCAPLUS
DN 136:247583
TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
IN Davies, Robert; Bebbington, David; Knegtel, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia; Pierce, Albert; Kay, David
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 373 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 14

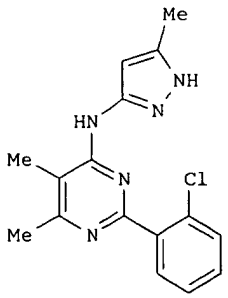
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO--2002022607	A1	20020321	2001WO-US0028940	20010914	<--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
	CA-----2422379	A1	20020321	2001CA-002422379	20010914	<--
	CA-----2422379	C	20081118			
	AU--2001091013	A	20020326	2001AU-000091013	20010914	<--
	US-20030055044	A1	20030320	2001US-000953505	20010914	<--
	US-----6638926	B2	20031028			
	US-20030064981	A1	20030403	2001US-000952836	20010914	<--
	US-----6613776	B2	20030902			
	US-20030064982	A1	20030403	2001US-000952875	20010914	<--
	US-20030073687	A1	20030417	2001US-000952671	20010914	<--
	US-----6660731	B2	20031209			
	US-20030078166	A1	20030424	2001US-000955601	20010914	<--
	US-----6696452	B2	20040224			
	US-20030083327	A1	20030501	2001US-000952833	20010914	<--
	US-----6610677	B2	20030826			
	BR--2001014088	A	20030617	2001BR-000014088	20010914	<--
	EP-----1318997	A1	20030618	2001EP-000971082	20010914	<--
	EP-----1318997	B1	20060531			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
	HU--2003002173	A2	20030929	2003HU-000002173	20010914	<--
	ZA--2003001701	A	20040301	2003ZA-000001701	20010914	<--
	ZA--2003001703	A	20040302	2003ZA-000001703	20010914	<--
	JP--2004509117	T	20040325	2002JP-000526860	20010914	<--
	JP-----4170752	B2	20081022			
	US-20040097501	A1	20040520	2001US-000953471	20010914	<--
	US-----7115739	B2	20061003			
	NZ------525008	A	20041224	2001NZ-000525008	20010914	<--
	US-20050004110	A1	20050106	2001US-000952878	20010914	<--
	US-----7098330	B2	20060829			
	ES-----2242771	T3	20051116	2001ES-000971006	20010914	<--
	AT------326458	T	20060615	2001AT-000970969	20010914	<--

```
AT------327990       T     20060615    2001AT-000970971       20010914 <--
AT------327992       T     20060615    2001AT-000971082       20010914 <--
AT------327991       T     20060615    2001AT-000973050       20010914 <--
AT------326459       T     20060615    2001AT-000977779       20010914 <--
EP-----1698627       A1    20060906    2006EP-000010798       20010914 <--
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PT-----1318997       T     20061031    2001PT-000971082       20010914 <--
AT------346064       T     20061215    2001AT-000975210       20010914 <--
ES-----2266258       T3    20070301    2001ES-000970971       20010914 <--
ES-----2266259       T3    20070301    2001ES-000971082       20010914 <--
CN-----1926132       A     20070307    2001CN-000817434       20010914 <--
AT------363284       T     20070615    2001AT-000977783       20010914 <--
NZ------545284       A     20070629    1984NZ-000005452       20010914 <--
CN---100355750       C     20071219    2001CN-000817427       20010914 <--
CA-----2432303       A1    20020829    2001CA-002432303       20011219 <--
AU--2002255452       A1    20020904    2002AU-000255452       20011219 <--
AU--2002255452       B2    20060608
CA-----2432223       A1    20020906    2001CA-002432223       20011219 <--
CA-----2432223       C     20080520
AU--2001297619       A1    20020912    2001AU-000297619       20011219 <--
AU--2001297619       B2    20060608
EP-----1345922       A1    20030924    2001EP-000271061       20011219 <--
EP-----1345922       B1    20060531
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP-----1355905       A1    20031029    2001EP-000273861       20011219 <--
EP-----1355905       B1    20070221
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ------526472       A     20040430    2001NZ-000526472       20011219 <--
JP--2004518743       T     20040624    2002JP-000565976       20011219 <--
JP--2004519479       T     20040702    2002JP-000567928       20011219 <--
HU--2004000842       A2    20040728    2004HU-000000842       20011219 <--
NZ------526473       A     20050624    2001NZ-000526473       20011219 <--
EP-----1702920       A1    20060920    2006EP-000011799       20011219 <--
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA--2003001697       A     20040301    2003ZA-000001697       20030228 <--
ZA--2003001699       A     20040301    2003ZA-000001699       20030228 <--
ZA--2003001700       A     20040301    2003ZA-000001700       20030228 <--
ZA--2003001702       A     20040301    2003ZA-000001702       20030228 <--
ZA--2003001704       A     20040301    2003ZA-000001704       20030228 <--
ZA--2003001698       A     20040302    2003ZA-000001698       20030228 <--
IN---200300295       A     20060922    2003IN-000000295       20030310 <--
NO--2003001191       A     20030513    2003NO-000001191       20030314 <--
MX-2003PA02291       A     20030606    2003MX-PA0002291       20030317 <--
ZA--2003004468       A     20040624    2003ZA-000004468       20030609 <--
ZA--2003004469       A     20040624    2003ZA-000004469       20030609 <--
ZA--2003004470       A     20040624    2003ZA-000004470       20030609 <--
ZA--2003004471       A     20040624    2003ZA-000004471       20030609 <--
ZA--2003004473       A     20040624    2003ZA-000004473       20030609 <--
ZA--2003004475       A     20040624    2003ZA-000004475       20030609 <--
ZA--2003004472       A     20040625    2003ZA-000004472       20030609 <--
ZA--2003004474       A     20040625    2003ZA-000004474       20030609 <--
NO--2003002704       A     20030821    2003NO-000002704       20030613 <--
MX-2003PA05609       A     20031006    2003MX-PA0005609       20030620 <--
MX-2003PA05610       A     20031006    2003MX-PA0005610       20030620 <--
US-20040224944       A1    20041111    2003US-000624800       20030722 <--
US-----7008948       B2    20060307
US-20040116454       A1    20040617    2003US-000692355       20031023 <--
US-----7390815       B2    20080624
US-20040157893       A1    20040812    2003US-000722374       20031125 <--
US-20040132781       A1    20040708    2003US-000736426       20031215 <--
US-----7087603       B2    20060808
HK-----1058356       A1    20061201    2003HK-000109140       20031215 <--
US-20040167141       A1    20040826    2004US-000775699       20040210 <--
US-----7427681       B2    20080923
HK-----1060347       A1    20061201    2004HK-000101883       20040315 <--
JP--2005097322       A     20050414    2004JP-000366925       20041217 <--
US-20070270444       A1    20071122    2006US-000369220       20060306 <--
AU--2006201228       A1    20060413    2006AU-000201228       20060321 <--
AU--2006201229       A1    20060413    2006AU-000201229       20060321 <--
AU--2006201230       A1    20060413    2006AU-000201230       20060321 <--
```

	AU--2006201230	B2	20080911		
	AU--2006201262	A1	20060427	2006AU-000201262	20060321 <--
	AU--2006201262	B2	20080904		
	AU--2006201263	A1	20060427	2006AU-000201263	20060321 <--
	AU--2006201264	A1	20060427	2006AU-000201264	20060321 <--
	AU--2006201265	A1	20060427	2006AU-000201265	20060321 <--
	AU--2006201265	B2	20080904		
	AU--2006201391	A1	20060427	2006AU-000201391	20060404 <--
	US-20060258658	A1	20061116	2006US-000492450	20060725 <--
	IN---200702703	A	20080801	2007IN-000002703	20070723 <--
	JP--2008115195	A	20080522	2008JP-000015681	20080125 <--
	JP--2008189682	A	20080821	2008JP-000095581	20080401 <--
	JP--2008260767	A	20081030	2008JP-000095584	20080401 <--
	JP--2008222719	A	20080925	2008JP-000097620	20080403 <--
	JP--2008189687	A	20080821	2008JP-000098506	20080404 <--
	US-20080287444	A1	20081120	2008US-000109598	20080425
	JP--2008201808	A	20080904	2008JP-000121723	20080507 <--
	JP--2008247920	A	20081016	2008JP-000121724	20080507 <--
	JP--2008247921	A	20081016	2008JP-000121727	20080507 <--
PRAI	2000US-00232795P	P	20000915	<--	
	2000US-00257887P	P	20001221	<--	
	2001US-00286949P	P	20010427	<--	
	2001AU-000296871	A3	20010914	<--	
	2001AU-000296875	A3	20010914	<--	
	2001AU-000090944	A3	20010914	<--	
	2001AU-000091013	A3	20010914	<--	
	2001AU-000094558	A3	20010914	<--	
	2001AU-000096871	T0	20010914	<--	
	2001AU-000096875	T0	20010914	<--	
	2001EP-000971082	A3	20010914	<--	
	2002JP-000526860	A3	20010914	<--	
	2001US-000952671	A3	20010914	<--	
	2001US-000953471	A3	20010914	<--	
	2001US-000955601	A3	20010914	<--	
	2001WO-US0028940	W	20010914	<--	
	2001EP-000273861	A	20011219	<--	
	2001EP-000994323	A3	20011219	<--	
	2002JP-000551561	A3	20011219	<--	
	2002JP-000557938	A3	20011219	<--	
	2002JP-000559413	A3	20011219	<--	
	2002JP-000563142	A3	20011219	<--	
	2002JP-000565976	A3	20011219	<--	
	2002JP-000567928	A3	20011219	<--	
	2001US-000026966	A1	20011219	<--	
	2001WO-US0049139	W	20011219	<--	
	2001WO-US0050312	W	20011219	<--	
	2002JP-000551562	A3	20011220	<--	
	2002JP-000559414	A3	20011220	<--	
	2001US-000034019	A3	20011220	<--	
	2001US-000034683	A1	20011220	<--	
	2003IN-000000795	A3	20030619		
	2003US-000624800	A3	20030722		
	2004US-000775699	A1	20040210		
OS	MARPAT 136:247583				
GI					



I

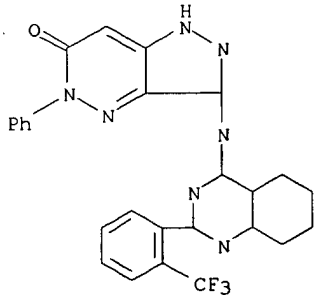


II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring C]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

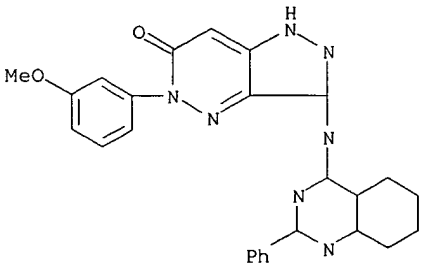
RN 404827-31-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



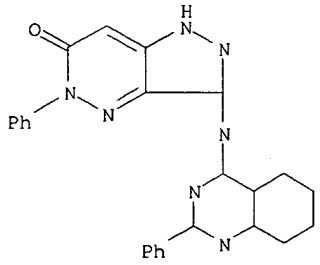
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 HCAPLUS

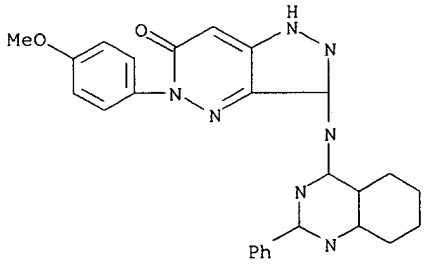
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



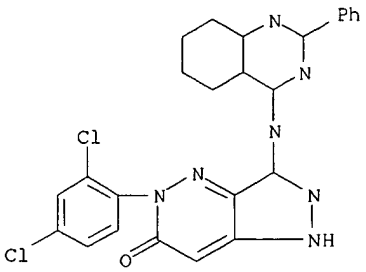
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-17-0 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



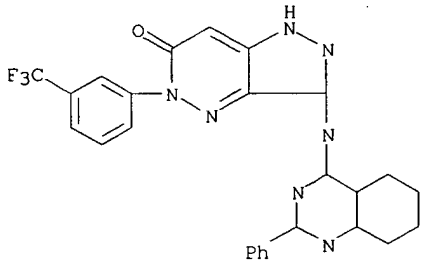
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-18-1 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



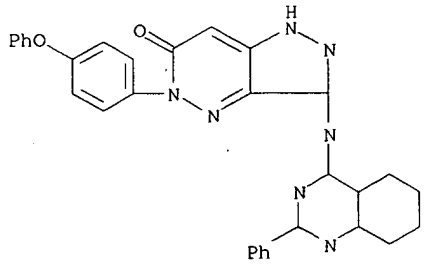
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-19-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-
(CA INDEX NAME)



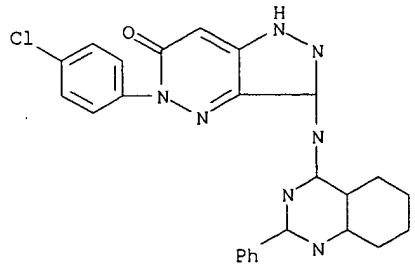
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-21-6 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-22-7 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:220582 HCAPLUS
DN 136:247582
TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
IN Bebbington, David; Binch, Hayley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 355 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 14

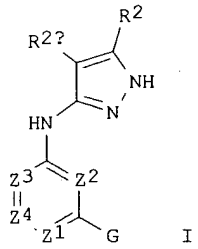
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI WO--2002022606	A1	20020321	2001WO-US028803	20010914	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA-----2422378	A1	20020321	2001CA-002422378	20010914	<--
AU--2001090944	A	20020326	2001AU-000090944	20010914	<--
US-20030055044	A1	20030320	2001US-000953505	20010914	<--
US-----6638926	B2	20031028			
US-20030064981	A1	20030403	2001US-000952836	20010914	<--
US-----6613776	B2	20030902			
US-20030064982	A1	20030403	2001US-000952875	20010914	<--
US-20030073687	A1	20030417	2001US-000952671	20010914	<--
US-----6660731	B2	20031209			
US-20030078166	A1	20030424	2001US-000955601	20010914	<--
US-----6696452	B2	20040224			
US-20030083327	A1	20030501	2001US-000952833	20010914	<--
US-----6610677	B2	20030826			
EP-----1317448	A1	20030611	2001EP-000971006	20010914	<--
EP-----1317448	B1	20050504			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
HU--2003002411	A2	20031128	2003HU-000002411	20010914	<--
ZA--2003001701	A	20040301	2003ZA-000001701	20010914	<--
ZA--2003001703	A	20040302	2003ZA-000001703	20010914	<--
JP--2004509116	T	20040325	2002JP-000526859	20010914	<--
JP-----4105949	B2	20080625			
US-20040097501	A1	20040520	2001US-000953471	20010914	<--
US-----7115739	B2	20061003			
US-20050004110	A1	20050106	2001US-000952878	20010914	<--
US-----7098330	B2	20060829			
AT------294797	T	20050515	2001AT-000971006	20010914	<--
ES-----2242771	T3	20051116	2001ES-000971006	20010914	<--
AT------326458	T	20060615	2001AT-000970969	20010914	<--
AT------327990	T	20060615	2001AT-000970971	20010914	<--
AT------327992	T	20060615	2001AT-000971082	20010914	<--
AT------327991	T	20060615	2001AT-000973050	20010914	<--
AT------326459	T	20060615	2001AT-000977779	20010914	<--
EP-----1698627	A1	20060906	2006EP-000010798	20010914	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
PT-----1318997	T	20061031	2001PT-000971082	20010914	<--
AT------346064	T	20061215	2001AT-000975210	20010914	<--
ES-----2266258	T3	20070301	2001ES-000970971	20010914	<--
ES-----2266259	T3	20070301	2001ES-000971082	20010914	<--
AT------363284	T	20070615	2001AT-000977783	20010914	<--
NZ------545284	A	20070629	1984NZ-000005452	20010914	<--
CN---100355750	C	20071219	2001CN-000817427	20010914	<--

CA-----2432303	A1	20020829	2001CA-002432303	20011219 <--
AU--2002255452	A1	20020904	2002AU-000255452	20011219 <--
AU--2002255452	B2	20060608		
CA-----2432223	A1	20020906	2001CA-002432223	20011219 <--
CA-----2432223	C	20080520		
AU--2001297619	A1	20020912	2001AU-000297619	20011219 <--
AU--2001297619	B2	20060608		
EP-----1345922	A1	20030924	2001EP-000271061	20011219 <--
EP-----1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP-----1355905	A1	20031029	2001EP-000273861	20011219 <--
EP-----1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ------526472	A	20040430	2001NZ-000526472	20011219 <--
JP--2004518743	T	20040624	2002JP-000565976	20011219 <--
JP--2004519479	T	20040702	2002JP-000567928	20011219 <--
HU--2004000842	A2	20040728	2004HU-000000842	20011219 <--
NZ------526473	A	20050624	2001NZ-000526473	20011219 <--
EP-----1702920	A1	20060920	2006EP-000011799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA--2003001697	A	20040301	2003ZA-000001697	20030228 <--
ZA--2003001699	A	20040301	2003ZA-000001699	20030228 <--
ZA--2003001700	A	20040301	2003ZA-000001700	20030228 <--
ZA--2003001702	A	20040301	2003ZA-000001702	20030228 <--
ZA--2003001704	A	20040301	2003ZA-000001704	20030228 <--
ZA--2003001698	A	20040302	2003ZA-000001698	20030228 <--
IN---200300293	A	20050311	2003IN-000000293	20030310 <--
NO--2003001189	A	20030513	2003NO-000001189	20030314 <--
MX-2003PA02293	A	20030606	2003MX-PA0002293	20030317 <--
ZA--2003004468	A	20040624	2003ZA-000004468	20030609 <--
ZA--2003004469	A	20040624	2003ZA-000004469	20030609 <--
ZA--2003004470	A	20040624	2003ZA-000004470	20030609 <--
ZA--2003004471	A	20040624	2003ZA-000004471	20030609 <--
ZA--2003004473	A	20040624	2003ZA-000004473	20030609 <--
ZA--2003004475	A	20040624	2003ZA-000004475	20030609 <--
ZA--2003004472	A	20040625	2003ZA-000004472	20030609 <--
ZA--2003004474	A	20040625	2003ZA-000004474	20030609 <--
NO--2003002704	A	20030821	2003NO-000002704	20030613 <--
MX-2003PA05609	A	20031006	2003MX-PA0005609	20030620 <--
MX-2003PA05610	A	20031006	2003MX-PA0005610	20030620 <--
US-20040224944	A1	20041111	2003US-000624800	20030722 <--
US-----7008948	B2	20060307		
US-20040116454	A1	20040617	2003US-000692355	20031023 <--
US-----7390815	B2	20080624		
US-20040157893	A1	20040812	2003US-000722374	20031125 <--
HK-----1057890	A1	20051216	2003HK-000108726	20031128 <--
US-20040132781	A1	20040708	2003US-000736426	20031215 <--
US-----7087603	B2	20060808		
US-20040167141	A1	20040826	2004US-000775699	20040210 <--
US-----7427681	B2	20080923		
HK-----1060347	A1	20061201	2004HK-000101883	20040315 <--
JP--2005097322	A	20050414	2004JP-000366925	20041217 <--
US-20070270444	A1	20071122	2006US-000369220	20060306 <--
AU--2006201228	A1	20060413	2006AU-000201228	20060321 <--
AU--2006201229	A1	20060413	2006AU-000201229	20060321 <--
AU--2006201230	A1	20060413	2006AU-000201230	20060321 <--
AU--2006201230	B2	20080911		
AU--2006201262	A1	20060427	2006AU-000201262	20060321 <--
AU--2006201262	B2	20080904		
AU--2006201263	A1	20060427	2006AU-000201263	20060321 <--
AU--2006201264	A1	20060427	2006AU-000201264	20060321 <--
AU--2006201265	A1	20060427	2006AU-000201265	20060321 <--
AU--2006201265	B2	20080904		
AU--2006201391	A1	20060427	2006AU-000201391	20060404 <--
US-20060258658	A1	20061116	2006US-000492450	20060725 <--
IN---200702703	A	20080801	2007IN-000002703	20070723 <--
JP--2008115195	A	20080522	2008JP-000015681	20080125 <--
JP--2008189682	A	20080821	2008JP-000095581	20080401 <--
JP--2008260767	A	20081030	2008JP-000095584	20080401 <--
JP--2008222719	A	20080925	2008JP-000097620	20080403 <--
JP--2008189687	A	20080821	2008JP-000098506	20080404 <--

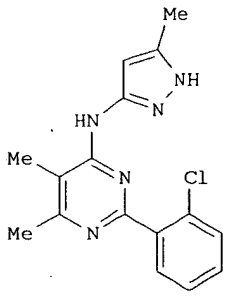
	US-20080287444	A1	20081120	2008US-000109598	20080425
	JP--2008201808	A	20080904	2008JP-000121723	20080507 <--
	JP--2008247920	A	20081016	2008JP-000121724	20080507 <--
	JP--2008247921	A	20081016	2008JP-000121727	20080507 <--
PRAI	2000US-00232795P	P	20000915	<--	
	2000US-00257887P	P	20001221	<--	
	2001US-00286949P	P	20010427	<--	
	2001AU-000296871	A3	20010914	<--	
	2001AU-000296875	A3	20010914	<--	
	2001AU-000090944	A3	20010914	<--	
	2001AU-000091013	A3	20010914	<--	
	2001AU-000094558	A3	20010914	<--	
	2001AU-000096871	T0	20010914	<--	
	2001AU-000096875	T0	20010914	<--	
	2001EP-000971082	A3	20010914	<--	
	2002JP-000526860	A3	20010914	<--	
	2001US-000952671	A3	20010914	<--	
	2001US-000953471	A3	20010914	<--	
	2001US-000955601	A3	20010914	<--	
	2001WO-US0028803	W	20010914	<--	
	2001EP-000273861	A	20011219	<--	
	2001EP-000994323	A3	20011219	<--	
	2002JP-000551561	A3	20011219	<--	
	2002JP-000557938	A3	20011219	<--	
	2002JP-000559413	A3	20011219	<--	
	2002JP-000563142	A3	20011219	<--	
	2002JP-000565976	A3	20011219	<--	
	2002JP-000567928	A3	20011219	<--	
	2001US-000026966	A1	20011219	<--	
	2001WO-US0049139	W	20011219	<--	
	2001WO-US0050312	W	20011219	<--	
	2002JP-000551562	A3	20011220	<--	
	2002JP-000559414	A3	20011220	<--	
	2001US-000034019	A3	20011220	<--	
	2001US-000034683	A1	20011220	<--	
	2003IN-000000795	A3	20030619		
	2003US-000624800	A3	20030722		
	2004US-000775699	A1	20040210		

OS MARPAT 136:247582

GI



I



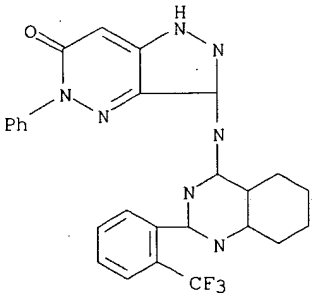
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 =

independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring D]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

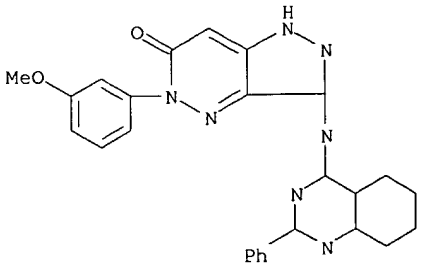
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)

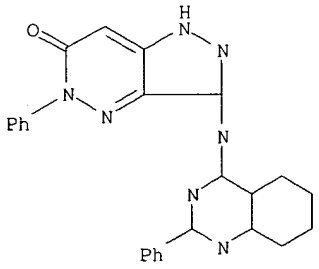


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

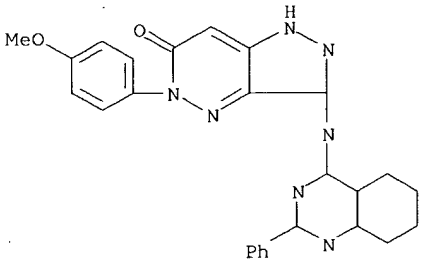
RN 404829-16-9 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



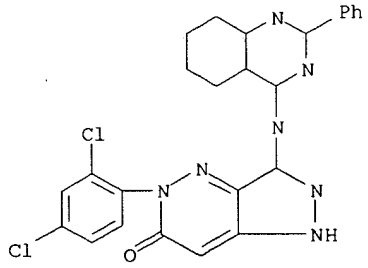
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-17-0 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



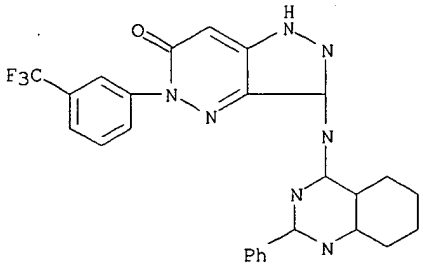
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-18-1 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



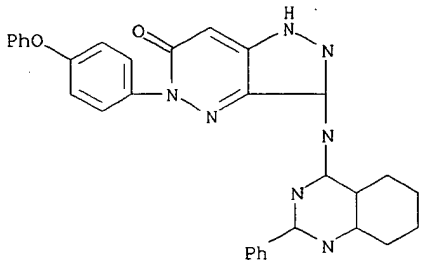
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-19-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-
(CA INDEX NAME)



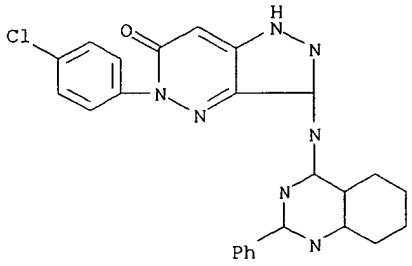
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-21-6 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-22-7 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:220581 HCAPLUS
DN 136:247581
TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
IN Golec, Julian M. C.; Charrier, Jean-Damien; Knegtel, Ronald; Bebbington, David; Davies, Robert; Li, Pan
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 357 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO--2002022605	A1	20020321	2001WO-US0028793	20010914 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

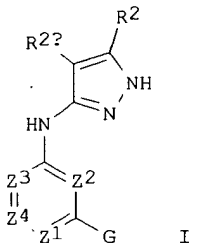
CA-----2422377	A1	20020321	2001CA-002422377	20010914 <--
AU--2001092670	A	20020326	2001AU-000092670	20010914 <--
US-20030055044	A1	20030320	2001US-000953505	20010914 <--
US-----6638926	B2	20031028		
US-20030064981	A1	20030403	2001US-000952836	20010914 <--
US-----6613776	B2	20030902		
US-20030064982	A1	20030403	2001US-000952875	20010914 <--
US-20030073687	A1	20030417	2001US-000952671	20010914 <--
US-----6660731	B2	20031209		
US-20030078166	A1	20030424	2001US-000955601	20010914 <--
US-----6696452	B2	20040224		
US-20030083327	A1	20030501	2001US-000952833	20010914 <--
US-----6610677	B2	20030826		
EP-----1317449	A1	20030611	2001EP-000973050	20010914 <--
EP-----1317449	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA--2003001701	A	20040301	2003ZA-000001701	20010914 <--
ZA--2003001703	A	20040302	2003ZA-000001703	20010914 <--
JP--2004509115	T	20040325	2002JP-000526858	20010914 <--
US-20040097501	A1	20040520	2001US-000953471	20010914 <--
US-----7115739	B2	20061003		
US-20050004110	A1	20050106	2001US-000952878	20010914 <--
US-----7098330	B2	20060829		
NZ------525014	A	20050930	2001NZ-000525014	20010914 <--
ES-----2242771	T3	20051116	2001ES-000971006	20010914 <--
AT------326458	T	20060615	2001AT-000970969	20010914 <--
AT------327990	T	20060615	2001AT-000970971	20010914 <--
AT------327992	T	20060615	2001AT-000971082	20010914 <--
AT------327991	T	20060615	2001AT-000973050	20010914 <--
AT------326459	T	20060615	2001AT-000977779	20010914 <--
EP-----1698627	A1	20060906	2006EP-000010798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT-----1318997	T	20061031	2001PT-000971082	20010914 <--
AT------346064	T	20061215	2001AT-000975210	20010914 <--
ES-----2266258	T3	20070301	2001ES-000970971	20010914 <--
ES-----2266259	T3	20070301	2001ES-000971082	20010914 <--
AT------363284	T	20070615	2001AT-000977783	20010914 <--
NZ------545284	A	20070629	1984NZ-000005452	20010914 <--
CN---100355750	C	20071219	2001CN-000817427	20010914 <--
CA-----2432303	A1	20020829	2001CA-002432303	20011219 <--
AU--2002255452	A1	20020904	2002AU-000255452	20011219 <--
AU--2002255452	B2	20060608		
CA-----2432223	A1	20020906	2001CA-002432223	20011219 <--
CA-----2432223	C	20080520		
AU--2001297619	A1	20020912	2001AU-000297619	20011219 <--
AU--2001297619	B2	20060608		
EP-----1345922	A1	20030924	2001EP-000271061	20011219 <--
EP-----1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP-----1355905	A1	20031029	2001EP-000273861	20011219 <--
EP-----1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ------526472	A	20040430	2001NZ-000526472	20011219 <--
JP--2004518743	T	20040624	2002JP-000565976	20011219 <--
JP--2004519479	T	20040702	2002JP-000567928	20011219 <--
HU--2004000842	A2	20040728	2004HU-000000842	20011219 <--
NZ------526473	A	20050624	2001NZ-000526473	20011219 <--
EP-----1702920	A1	20060920	2006EP-000011799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA--2003001697	A	20040301	2003ZA-000001697	20030228 <--

	ZA--2003001699	A	20040301	2003ZA-000001699	20030228 <--
	ZA--2003001700	A	20040301	2003ZA-000001700	20030228 <--
	ZA--2003001702	A	20040301	2003ZA-000001702	20030228 <--
	ZA--2003001704	A	20040301	2003ZA-000001704	20030228 <--
	ZA--2003001698	A	20040302	2003ZA-000001698	20030228 <--
	MX-2003PA02289	A	20030606	2003MX-PA0002289	20030317 <--
	ZA--2003004468	A	20040624	2003ZA-000004468	20030609 <--
	ZA--2003004469	A	20040624	2003ZA-000004469	20030609 <--
	ZA--2003004470	A	20040624	2003ZA-000004470	20030609 <--
	ZA--2003004471	A	20040624	2003ZA-000004471	20030609 <--
	ZA--2003004473	A	20040624	2003ZA-000004473	20030609 <--
	ZA--2003004475	A	20040624	2003ZA-000004475	20030609 <--
	ZA--2003004472	A	20040625	2003ZA-000004472	20030609 <--
	ZA--2003004474	A	20040625	2003ZA-000004474	20030609 <--
	NO--2003002704	A	20030821	2003NO-000002704	20030613 <--
	MX-2003PA05609	A	20031006	2003MX-PA0005609	20030620 <--
	MX-2003PA05610	A	20031006	2003MX-PA0005610	20030620 <--
	US-20040224944	A1	20041111	2003US-000624800	20030722 <--
	US-----7008948	B2	20060307		
	US-20040116454	A1	20040617	2003US-000692355	20031023 <--
	US-----7390815	B2	20080624		
	HK-----1057887	A1	20061215	2003HK-000108524	20031121 <--
	US-20040157893	A1	20040812	2003US-000722374	20031125 <--
	US-20040132781	A1	20040708	2003US-000736426	20031215 <--
	US-----7087603	B2	20060808		
	US-20040167141	A1	20040826	2004US-000775699	20040210 <--
	US-----7427681	B2	20080923		
	HK-----1060347	A1	20061201	2004HK-000101883	20040315 <--
	JP--2005097322	A	20050414	2004JP-000366925	20041217 <--
	US-20070270444	A1	20071122	2006US-000369220	20060306 <--
	AU--2006201228	A1	20060413	2006AU-000201228	20060321 <--
	AU--2006201229	A1	20060413	2006AU-000201229	20060321 <--
	AU--2006201230	A1	20060413	2006AU-000201230	20060321 <--
	AU--2006201230	B2	20080911		
	AU--2006201262	A1	20060427	2006AU-000201262	20060321 <--
	AU--2006201262	B2	20080904		
	AU--2006201263	A1	20060427	2006AU-000201263	20060321 <--
	AU--2006201264	A1	20060427	2006AU-000201264	20060321 <--
	AU--2006201265	A1	20060427	2006AU-000201265	20060321 <--
	AU--2006201265	B2	20080904		
	AU--2006201391	A1	20060427	2006AU-000201391	20060404 <--
	US-20060258658	A1	20061116	2006US-000492450	20060725 <--
	IN---200702703	A	20080801	2007IN-000002703	20070723 <--
	JP--2008115195	A	20080522	2008JP-000015681	20080125 <--
	JP--2008189682	A	20080821	2008JP-000095581	20080401 <--
	JP--2008260767	A	20081030	2008JP-000095584	20080401 <--
	JP--2008222719	A	20080925	2008JP-000097620	20080403 <--
	JP--2008189687	A	20080821	2008JP-000098506	20080404 <--
	US-20080287444	A1	20081120	2008US-000109598	20080425
	JP--2008201808	A	20080904	2008JP-000121723	20080507 <--
	JP--2008247920	A	20081016	2008JP-000121724	20080507 <--
	JP--2008247921	A	20081016	2008JP-000121727	20080507 <--
PRAI	2000US-00232795P	P	20000915	<--	
	2000US-00257887P	P	20001221	<--	
	2001US-00286949P	P	20010427	<--	
	2001AU-000296871	A3	20010914	<--	
	2001AU-000296875	A3	20010914	<--	
	2001AU-000090944	A3	20010914	<--	
	2001AU-000091013	A3	20010914	<--	
	2001AU-000094558	A3	20010914	<--	
	2001AU-000096871	T0	20010914	<--	
	2001AU-000096875	T0	20010914	<--	
	2001EP-000971082	A3	20010914	<--	
	2002JP-000526860	A3	20010914	<--	
	2001US-000952671	A3	20010914	<--	
	2001US-000953471	A3	20010914	<--	
	2001US-000955601	A3	20010914	<--	
	2001WO-US0028793	W	20010914	<--	
	2001EP-000273861	A	20011219	<--	
	2001EP-000994323	A3	20011219	<--	
	2002JP-000551561	A3	20011219	<--	
	2002JP-000557938	A3	20011219	<--	
	2002JP-000559413	A3	20011219	<--	
	2002JP-000563142	A3	20011219	<--	

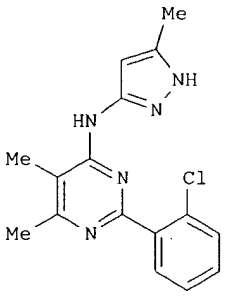
2002JP-000565976	A3	20011219	<--
2002JP-000567928	A3	20011219	<--
2001US-000026966	A1	20011219	<--
2001WO-US0049139	W	20011219	<--
2001WO-US0050312	W	20011219	<--
2002JP-000551562	A3	20011220	<--
2002JP-000559414	A3	20011220	<--
2001US-000034019	A3	20011220	<--
2001US-000034683	A1	20011220	<--
2003IN-000000795	A3	20030619	
2003US-000624800	A3	20030722	
2004US-000775699	A1	20040210	

OS MARPAT 136:247581

GI



I



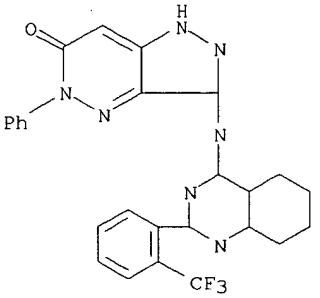
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrazolamines and indazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N; at least one of Z1 or Z3 = N]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**,

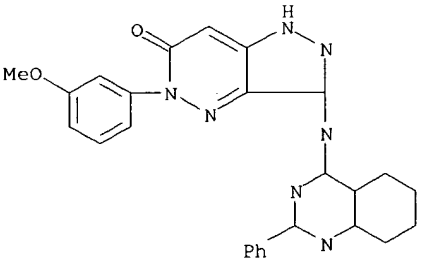
[5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



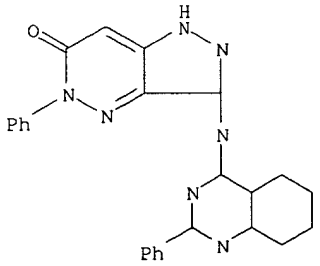
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



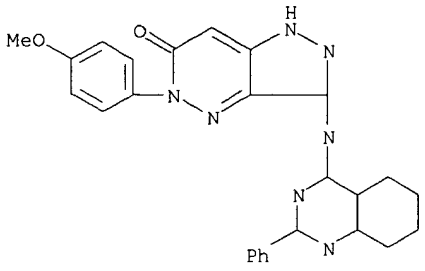
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)

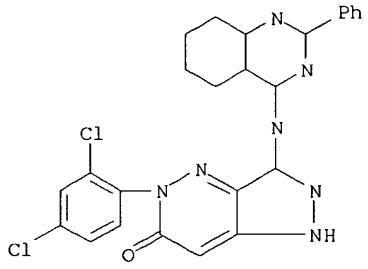


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

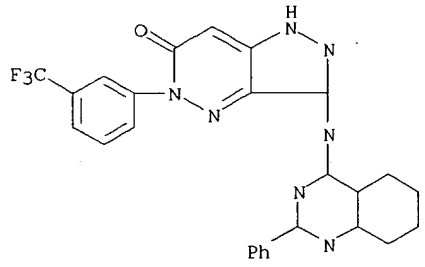
RN 404829-18-1 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



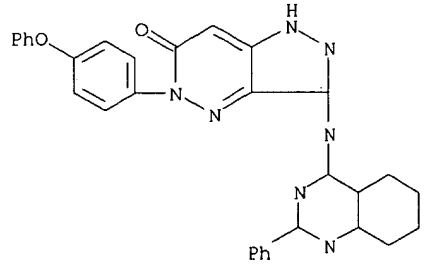
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-19-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-
(CA INDEX NAME)



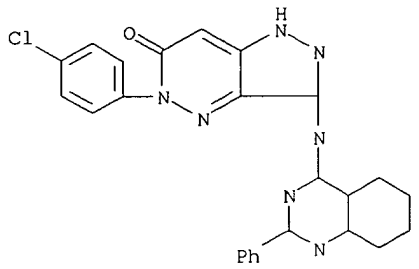
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-21-6 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-22-7 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



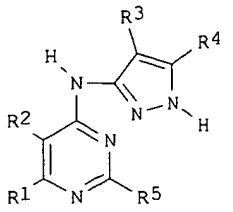
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:220580 HCAPLUS
DN 136:247606
TI Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.
IN Davies, Robert; Bebbington, David; Binch, Haley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 357 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 14

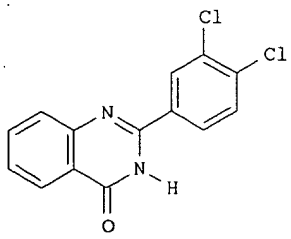
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO--2002022604	A1	20020321	2001WO-US0028792	20010914 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA-----2422371	A1	20020321	2001CA-002422371	20010914 <--
	AU--2001094558	A	20020326	2001AU-000094558	20010914 <--
	US-20030055044	A1	20030320	2001US-000953505	20010914 <--
	US-----6638926	B2	20031028		
	US-20030064981	A1	20030403	2001US-000952836	20010914 <--
	US-----6613776	B2	20030902		
	US-20030064982	A1	20030403	2001US-000952875	20010914 <--
	US-20030073687	A1	20030417	2001US-000952671	20010914 <--
	US-----6660731	B2	20031209		
	US-20030078166	A1	20030424	2001US-000955601	20010914 <--
	US-----6696452	B2	20040224		
	US-20030083327	A1	20030501	2001US-000952833	20010914 <--
	US-----6610677	B2	20030826		
	EP-----1317450	A1	20030611	2001EP-000975210	20010914 <--
	EP-----1317450	B1	20061122		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	HU--2003002172	A2	20030929	2003HU-000002172	20010914 <--
	ZA--2003001701	A	20040301	2003ZA-000001701	20010914 <--
	ZA--2003001703	A	20040302	2003ZA-000001703	20010914 <--
	JP--2004512277	T	20040422	2002JP-000526857	20010914 <--
	JP-----4105948	B2	20080625		

US-20040097501 A1 20040520 2001US-000953471 20010914 <--
US-----7115739 B2 20061003
US-20050004110 A1 20050106 2001US-000952878 20010914 <--
US-----7098330 B2 20060829
NZ------525009 A 20050527 2001NZ-000525009 20010914 <--
ES-----2242771 T3 20051116 2001ES-000971006 20010914 <--
AT------326458 T 20060615 2001AT-000970969 20010914 <--
AT------327990 T 20060615 2001AT-000970971 20010914 <--
AT------327992 T 20060615 2001AT-000971082 20010914 <--
AT------327991 T 20060615 2001AT-000973050 20010914 <--
AT------326459 T 20060615 2001AT-000977779 20010914 <--
EP-----1698627 A1 20060906 2006EP-000010798 20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PT-----1318997 T 20061031 2001PT-000971082 20010914 <--
AT------346064 T 20061215 2001AT-000975210 20010914 <--
ES-----2266258 T3 20070301 2001ES-000970971 20010914 <--
ES-----2266259 T3 20070301 2001ES-000971082 20010914 <--
AT------363284 T 20070615 2001AT-000977783 20010914 <--
NZ------545284 A 20070629 1984NZ-000005452 20010914 <--
CN---100355750 C 20071219 2001CN-000817427 20010914 <--
CA-----2432303 A1 20020829 2001CA-002432303 20011219 <--
AU--2002255452 A1 20020904 2002AU-000255452 20011219 <--
AU--2002255452 B2 20060608
CA-----2432223 A1 20020906 2001CA-002432223 20011219 <--
CA-----2432223 C 20080520
AU--2001297619 A1 20020912 2001AU-000297619 20011219 <--
AU--2001297619 B2 20060608
EP-----1345922 A1 20030924 2001EP-000271061 20011219 <--
EP-----1345922 B1 20060531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP-----1355905 A1 20031029 2001EP-000273861 20011219 <--
EP-----1355905 B1 20070221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ------526472 A 20040430 2001NZ-000526472 20011219 <--
JP--2004518743 T 20040624 2002JP-000565976 20011219 <--
JP--2004519479 T 20040702 2002JP-000567928 20011219 <--
HU--2004000842 A2 20040728 2004HU-000000842 20011219 <--
NZ------526473 A 20050624 2001NZ-000526473 20011219 <--
EP-----1702920 A1 20060920 2006EP-000011799 20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA--2003001697 A 20040301 2003ZA-000001697 20030228 <--
ZA--2003001699 A 20040301 2003ZA-000001699 20030228 <--
ZA--2003001700 A 20040301 2003ZA-000001700 20030228 <--
ZA--2003001702 A 20040301 2003ZA-000001702 20030228 <--
ZA--2003001704 A 20040301 2003ZA-000001704 20030228 <--
ZA--2003001698 A 20040302 2003ZA-000001698 20030228 <--
IN---200300289 A 20050311 2003IN-000000289 20030307 <--
NO--2003001190 A 20030513 2003NO-000001190 20030314 <--
MX-2003PA02292 A 20030606 2003MX-PA0002292 20030317 <--
ZA--2003004468 A 20040624 2003ZA-000004468 20030609 <--
ZA--2003004469 A 20040624 2003ZA-000004469 20030609 <--
ZA--2003004470 A 20040624 2003ZA-000004470 20030609 <--
ZA--2003004471 A 20040624 2003ZA-000004471 20030609 <--
ZA--2003004473 A 20040624 2003ZA-000004473 20030609 <--
ZA--2003004475 A 20040624 2003ZA-000004475 20030609 <--
ZA--2003004472 A 20040625 2003ZA-000004472 20030609 <--
ZA--2003004474 A 20040625 2003ZA-000004474 20030609 <--
NO--2003002704 A 20030821 2003NO-000002704 20030613 <--
MX-2003PA05609 A 20031006 2003MX-PA0005609 20030620 <--
MX-2003PA05610 A 20031006 2003MX-PA0005610 20030620 <--
US-20040224944 A1 20041111 2003US-000624800 20030722 <--
US-----7008948 B2 20060307
US-20040116454 A1 20040617 2003US-000692355 20031023 <--
US-----7390815 B2 20080624
US-20040157893 A1 20040812 2003US-000722374 20031125 <--
HK-----1057748 A1 20070615 2003HK-000108588 20031125 <--
US-20040132781 A1 20040708 2003US-000736426 20031215 <--
US-----7087603 B2 20060808
US-20040167141 A1 20040826 2004US-000775699 20040210 <--
US-----7427681 B2 20080923

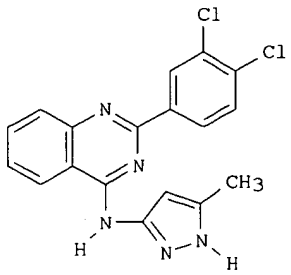
	HK-----1060347	A1	20061201	2004HK-000101883	20040315 <--
	JP--2005097322	A	20050414	2004JP-000366925	20041217 <--
	US-20070270444	A1	20071122	2006US-000369220	20060306 <--
	AU--2006201228	A1	20060413	2006AU-000201228	20060321 <--
	AU--2006201229	A1	20060413	2006AU-000201229	20060321 <--
	AU--2006201230	A1	20060413	2006AU-000201230	20060321 <--
	AU--2006201230	B2	20080911		
	AU--2006201262	A1	20060427	2006AU-000201262	20060321 <--
	AU--2006201262	B2	20080904		
	AU--2006201263	A1	20060427	2006AU-000201263	20060321 <--
	AU--2006201264	A1	20060427	2006AU-000201264	20060321 <--
	AU--2006201265	A1	20060427	2006AU-000201265	20060321 <--
	AU--2006201265	B2	20080904		
	AU--2006201391	A1	20060427	2006AU-000201391	20060404 <--
	US-20060258658	A1	20061116	2006US-000492450	20060725 <--
	IN---200702703	A	20080801	2007IN-000002703	20070723 <--
	JP--2008115195	A	20080522	2008JP-000015681	20080125 <--
	JP--2008189682	A	20080821	2008JP-000095581	20080401 <--
	JP--2008260767	A	20081030	2008JP-000095584	20080401 <--
	JP--2008222719	A	20080925	2008JP-000097620	20080403 <--
	JP--2008189687	A	20080821	2008JP-000098506	20080404 <--
	US-20080287444	A1	20081120	2008US-000109598	20080425
	JP--2008201808	A	20080904	2008JP-000121723	20080507 <--
	JP--2008247920	A	20081016	2008JP-000121724	20080507 <--
	JP--2008247921	A	20081016	2008JP-000121727	20080507 <--
PRAI	2000US-00232795P	P	20000915 <--		
	2000US-00257887P	P	20001221 <--		
	2001US-00286949P	P	20010427 <--		
	2001AU-000296871	A3	20010914 <--		
	2001AU-000296875	A3	20010914 <--		
	2001AU-000090944	A3	20010914 <--		
	2001AU-000091013	A3	20010914 <--		
	2001AU-000094558	A3	20010914 <--		
	2001AU-000096871	T0	20010914 <--		
	2001AU-000096875	T0	20010914 <--		
	2001EP-000971082	A3	20010914 <--		
	2002JP-000526860	A3	20010914 <--		
	2001US-000952671	A3	20010914 <--		
	2001US-000953471	A3	20010914 <--		
	2001US-000955601	A3	20010914 <--		
	2001WO-US0028792	W	20010914 <--		
	2001EP-000273861	A	20011219 <--		
	2001EP-000994323	A3	20011219 <--		
	2002JP-000551561	A3	20011219 <--		
	2002JP-000557938	A3	20011219 <--		
	2002JP-000559413	A3	20011219 <--		
	2002JP-000563142	A3	20011219 <--		
	2002JP-000565976	A3	20011219 <--		
	2002JP-000567928	A3	20011219 <--		
	2001US-000026966	A1	20011219 <--		
	2001WO-US0049139	W	20011219 <--		
	2001WO-US0050312	W	20011219 <--		
	2002JP-000551562	A3	20011220 <--		
	2002JP-000559414	A3	20011220 <--		
	2001US-000034019	A3	20011220 <--		
	2001US-000034683	A1	20011220 <--		
	2003IN-000000795	A3	20030619		
	2003US-000624800	A3	20030722		
	2004US-000775699	A1	20040210		
OS	MARPAT 136:247606				
GI					



I



II



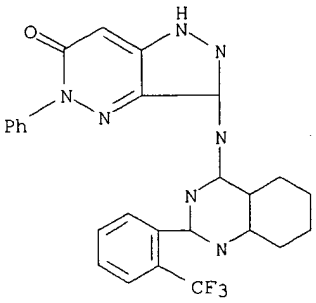
III

AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = dependently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3β (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

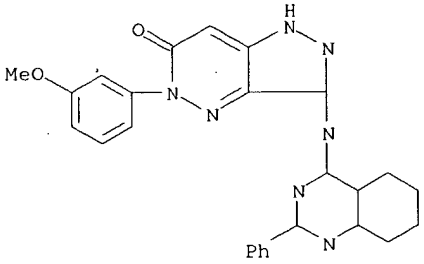
IT **404827-31-2P 404829-16-9P 404829-17-0P**
404829-18-1P 404829-19-2P 404829-21-6P
404829-22-7P 404829-23-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

RN 404827-31-2 HCAPLUS

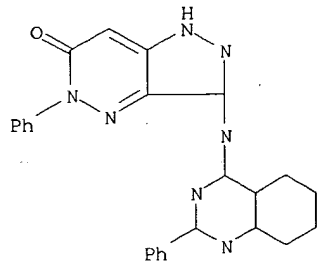
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



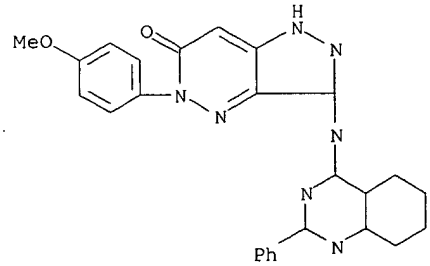
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



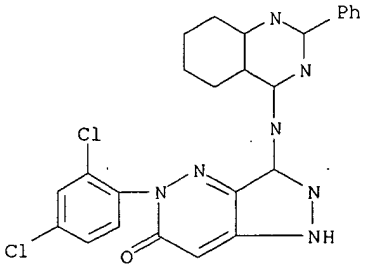
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-17-0 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



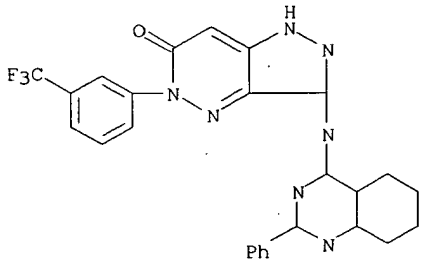
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-18-1 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



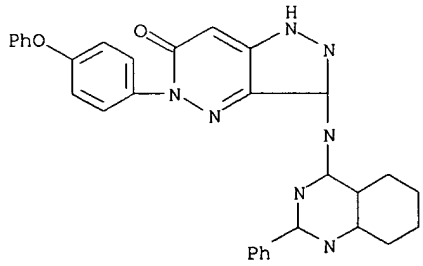
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-19-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-
(CA INDEX NAME)



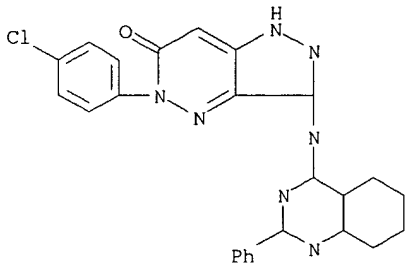
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-21-6 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-22-7 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA
INDEX NAME)



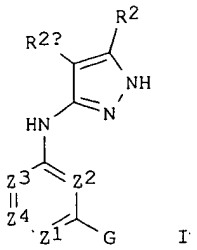
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:220579 HCAPLUS
DN 136:247580
TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
IN Davies, Robert; Li, Pan; Golec, Julian; Bebbington, David
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 406 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 14

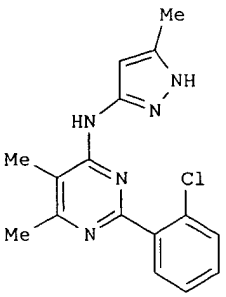
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO--2002022603	A1	20020321	2001WO-US0028738	20010914 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA-----2422367	A1	20020321	2001CA-002422367	20010914 <--
	AU--2001090912	A	20020326	2001AU-000090912	20010914 <--
	US-20030055044	A1	20030320	2001US-000953505	20010914 <--
	US-----6638926	B2	20031028		
	US-20030064981	A1	20030403	2001US-000952836	20010914 <--
	US-----6613776	B2	20030902		
	US-20030064982	A1	20030403	2001US-000952875	20010914 <--
	US-20030073687	A1	20030417	2001US-000952671	20010914 <--
	US-----6660731	B2	20031209		
	US-20030078166	A1	20030424	2001US-000955601	20010914 <--
	US-----6696452	B2	20040224		
	US-20030083327	A1	20030501	2001US-000952833	20010914 <--
	US-----6610677	B2	20030826		
	EP-----1317447	A1	20030611	2001EP-000970969	20010914 <--
	EP-----1317447	B1	20060517		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	ZA--2003001701	A	20040301	2003ZA-000001701	20010914 <--
	ZA--2003001703	A	20040302	2003ZA-000001703	20010914 <--
	US-20040097501	A1	20040520	2001US-000953471	20010914 <--
	US-----7115739	B2	20061003		
	JP--2004525075	T	20040819	2002JP-000526856	20010914 <--
	US-20050004110	A1	20050106	2001US-000952878	20010914 <--
	US-----7098330	B2	20060829		
	ES-----2242771	T3	20051116	2001ES-000971006	20010914 <--
	AT------326458	T	20060615	2001AT-000970969	20010914 <--
	AT------327990	T	20060615	2001AT-000970971	20010914 <--
	AT------327992	T	20060615	2001AT-000971082	20010914 <--
	AT------327991	T	20060615	2001AT-000973050	20010914 <--
	AT------326459	T	20060615	2001AT-000977779	20010914 <--
	EP-----1698627	A1	20060906	2006EP-000010798	20010914 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PT-----1318997 T 20061031 2001PT-000971082 20010914 <--
AT------346064 T 20061215 2001AT-000975210 20010914 <--
ES-----2266258 T3 20070301 2001ES-000970971 20010914 <--
ES-----2266259 T3 20070301 2001ES-000971082 20010914 <--
AT------363284 T 20070615 2001AT-000977783 20010914 <--
NZ------545284 A 20070629 1984NZ-000005452 20010914 <--
CN---100355750 C 20071219 2001CN-000817427 20010914 <--
CA-----2432303 A1 20020829 2001CA-002432303 20011219 <--
AU--2002255452 A1 20020904 2002AU-000255452 20011219 <--
AU--2002255452 B2 20060608
CA-----2432223 A1 20020906 2001CA-002432223 20011219 <--
CA-----2432223 C 20080520
AU--2001297619 A1 20020912 2001AU-000297619 20011219 <--
AU--2001297619 B2 20060608
EP-----1345922 A1 20030924 2001EP-000271061 20011219 <--
EP-----1345922 B1 20060531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP-----1355905 A1 20031029 2001EP-000273861 20011219 <--
EP-----1355905 B1 20070221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ------526472 A 20040430 2001NZ-000526472 20011219 <--
JP--2004518743 T 20040624 2002JP-000565976 20011219 <--
JP--2004519479 T 20040702 2002JP-000567928 20011219 <--
HU--2004000842 A2 20040728 2004HU-000000842 20011219 <--
NZ------526473 A 20050624 2001NZ-000526473 20011219 <--
EP-----1702920 A1 20060920 2006EP-000011799 20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA--2003001697 A 20040301 2003ZA-000001697 20030228 <--
ZA--2003001699 A 20040301 2003ZA-000001699 20030228 <--
ZA--2003001700 A 20040301 2003ZA-000001700 20030228 <--
ZA--2003001702 A 20040301 2003ZA-000001702 20030228 <--
ZA--2003001704 A 20040301 2003ZA-000001704 20030228 <--
ZA--2003001698 A 20040302 2003ZA-000001698 20030228 <--
MX-2003PA02295 A 20030606 2003MX-PA0002295 20030317 <--
ZA--2003004468 A 20040624 2003ZA-000004468 20030609 <--
ZA--2003004469 A 20040624 2003ZA-000004469 20030609 <--
ZA--2003004470 A 20040624 2003ZA-000004470 20030609 <--
ZA--2003004471 A 20040624 2003ZA-000004471 20030609 <--
ZA--2003004473 A 20040624 2003ZA-000004473 20030609 <--
ZA--2003004475 A 20040624 2003ZA-000004475 20030609 <--
ZA--2003004472 A 20040625 2003ZA-000004472 20030609 <--
ZA--2003004474 A 20040625 2003ZA-000004474 20030609 <--
NO--2003002704 A 20030821 2003NO-000002704 20030613 <--
MX-2003PA05609 A 20031006 2003MX-PA0005609 20030620 <--
MX-2003PA05610 A 20031006 2003MX-PA0005610 20030620 <--
US-20040224944 A1 20041111 2003US-000624800 20030722 <--
US-----7008948 B2 20060307
US-20040116454 A1 20040617 2003US-000692355 20031023 <--
US-----7390815 B2 20080624
HK-----1057747 A1 20061201 2003HK-000108474 20031120 <--
US-20040157893 A1 20040812 2003US-000722374 20031125 <--
US-20040132781 A1 20040708 2003US-000736426 20031215 <--
US-----7087603 B2 20060808
US-20040167141 A1 20040826 2004US-000775699 20040210 <--
US-----7427681 B2 20080923
HK-----1060347 A1 20061201 2004HK-000101883 20040315 <--
JP--2005097322 A 20050414 2004JP-000366925 20041217 <--
US-20070270444 A1 20071122 2006US-000369220 20060306 <--
AU--2006201228 A1 20060413 2006AU-000201228 20060321 <--
AU--2006201229 A1 20060413 2006AU-000201229 20060321 <--
AU--2006201230 A1 20060413 2006AU-000201230 20060321 <--
AU--2006201230 B2 20080911
AU--2006201262 A1 20060427 2006AU-000201262 20060321 <--
AU--2006201262 B2 20080904
AU--2006201263 A1 20060427 2006AU-000201263 20060321 <--
AU--2006201264 A1 20060427 2006AU-000201264 20060321 <--
AU--2006201265 A1 20060427 2006AU-000201265 20060321 <--
AU--2006201265 B2 20080904
AU--2006201391 A1 20060427 2006AU-000201391 20060404 <--
US-20060258658 A1 20061116 2006US-000492450 20060725 <--

	IN---200702703	A	20080801	2007IN-000002703	20070723 <--
	JP--2008115195	A	20080522	2008JP-000015681	20080125 <--
	JP--2008189682	A	20080821	2008JP-000095581	20080401 <--
	JP--2008260767	A	20081030	2008JP-000095584	20080401 <--
	JP--2008222719	A	20080925	2008JP-000097620	20080403 <--
	JP--2008189687	A	20080821	2008JP-000098506	20080404 <--
	US-20080287444	A1	20081120	2008US-000109598	20080425
	JP--2008201808	A	20080904	2008JP-000121723	20080507 <--
	JP--2008247920	A	20081016	2008JP-000121724	20080507 <--
	JP--2008247921	A	20081016	2008JP-000121727	20080507 <--
PRAI	2000US-00232795P	P	20000915 <--		
	2000US-00257887P	P	20001221 <--		
	2001US-00286949P	P	20010427 <--		
	2001AU-000296871	A3	20010914 <--		
	2001AU-000296875	A3	20010914 <--		
	2001AU-000090944	A3	20010914 <--		
	2001AU-000091013	A3	20010914 <--		
	2001AU-000094558	A3	20010914 <--		
	2001AU-000096871	T0	20010914 <--		
	2001AU-000096875	T0	20010914 <--		
	2001EP-000971082	A3	20010914 <--		
	2002JP-000526860	A3	20010914 <--		
	2001US-000952671	A3	20010914 <--		
	2001US-000953471	A3	20010914 <--		
	2001US-000955601	A3	20010914 <--		
	2001WO-US0028738	W	20010914 <--		
	2001EP-000273861	A	20011219 <--		
	2001EP-000994323	A3	20011219 <--		
	2002JP-000551561	A3	20011219 <--		
	2002JP-000557938	A3	20011219 <--		
	2002JP-000559413	A3	20011219 <--		
	2002JP-000563142	A3	20011219 <--		
	2002JP-000565976	A3	20011219 <--		
	2002JP-000567928	A3	20011219 <--		
	2001US-000026966	A1	20011219 <--		
	2001WO-US0049139	W	20011219 <--		
	2001WO-US0050312	W	20011219 <--		
	2002JP-000551562	A3	20011220 <--		
	2002JP-000559414	A3	20011220 <--		
	2001US-000034019	A3	20011220 <--		
	2001US-000034683	A1	20011220 <--		
	2003IN-000000795	A3	20030619		
	2003US-000624800	A3	20030722		
	2004US-000775699	A1	20040210		
OS	MARPAT 136:247580				
GI					



I



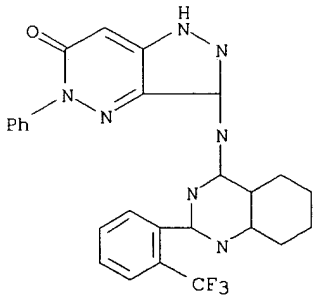
II

AB Title compds: I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6,

C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines and indazolamines I [wherein Z1, Z2, and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

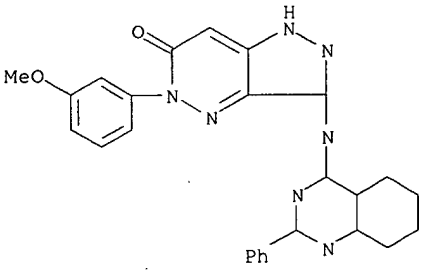
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)

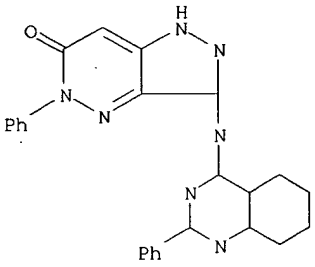


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

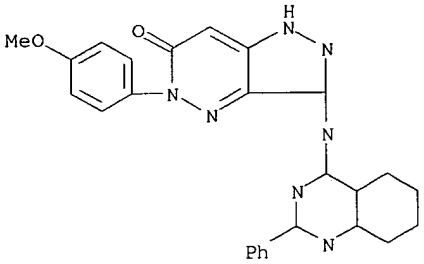
RN 404829-16-9 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



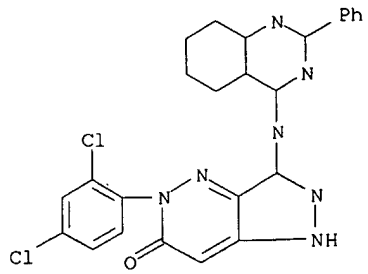
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-17-0 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



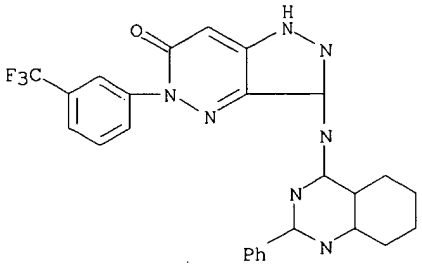
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-18-1 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



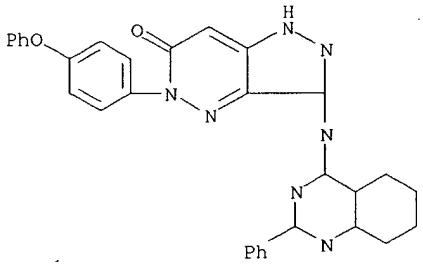
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-19-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-
(CA INDEX NAME)



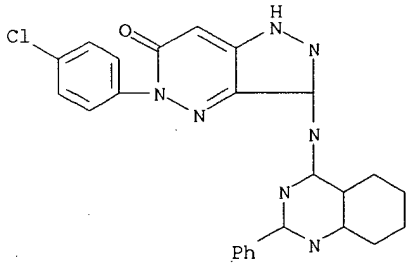
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-21-6 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-22-7 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:220578 HCAPLUS
DN 136:263164
TI Preparation of triazolamines as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
IN Bebbington, David; Knegtel, Ronald; Binch, Haley; Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 377 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 14

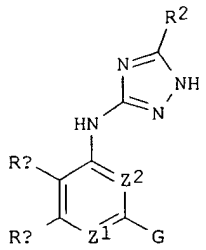
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO--2002022602	A2	20020321	2001WO-US0042162	20010914	<--
	WO--2002022602	A3	20020627			
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
	CA-----2422299	A1	20020321	2001CA-002422299	20010914	<--
	AU--2001096875	A	20020326	2001AU-000096875	20010914	<--
	US-20030055044	A1	20030320	2001US-000953505	20010914	<--
	US-----6638926	B2	20031028			
	US-20030064981	A1	20030403	2001US-000952836	20010914	<--
	US-----6613776	B2	20030902			
	US-20030064982	A1	20030403	2001US-000952875	20010914	<--
	US-20030073687	A1	20030417	2001US-000952671	20010914	<--
	US-----6660731	B2	20031209			
	US-20030078166	A1	20030424	2001US-000955601	20010914	<--
	US-----6696452	B2	20040224			
	US-20030083327	A1	20030501	2001US-000952833	20010914	<--
	US-----6610677	B2	20030826			
	EP-----1318814	A2	20030618	2001EP-000977783	20010914	<--
	EP-----1318814	B1	20070530			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
	ZA--2003001701	A	20040301	2003ZA-000001701	20010914	<--
	ZA--2003001703	A	20040302	2003ZA-000001703	20010914	<--
	JP--2004509114	T	20040325	2002JP-000526855	20010914	<--
	JP-----4105947	B2	20080625			
	US-20040097501	A1	20040520	2001US-000953471	20010914	<--
	US-----7115739	B2	20061003			
	US-20050004110	A1	20050106	2001US-000952878	20010914	<--
	US-----7098330	B2	20060829			
	ES-----2242771	T3	20051116	2001ES-000971006	20010914	<--
	AT------326458	T	20060615	2001AT-000970969	20010914	<--
	AT------327990	T	20060615	2001AT-000970971	20010914	<--
	AT------327992	T	20060615	2001AT-000971082	20010914	<--
	AT------327991	T	20060615	2001AT-000973050	20010914	<--
	AT------326459	T	20060615	2001AT-000977779	20010914	<--
	EP-----1698627	A1	20060906	2006EP-000010798	20010914	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
	PT-----1318997	T	20061031	2001PT-000971082	20010914	<--
	AT------346064	T	20061215	2001AT-000975210	20010914	<--
	ES-----2266258	T3	20070301	2001ES-000970971	20010914	<--
	ES-----2266259	T3	20070301	2001ES-000971082	20010914	<--
	AT------363284	T	20070615	2001AT-000977783	20010914	<--
	NZ------545284	A	20070629	1984NZ-000005452	20010914	<--
	CN---100355750	C	20071219	2001CN-000817427	20010914	<--
	CA-----2432303	A1	20020829	2001CA-002432303	20011219	<--
	AU--2002255452	A1	20020904	2002AU-000255452	20011219	<--
	AU--2002255452	B2	20060608			
	CA-----2432223	A1	20020906	2001CA-002432223	20011219	<--
	CA-----2432223	C	20080520			
	AU--2001297619	A1	20020912	2001AU-000297619	20011219	<--
	AU--2001297619	B2	20060608			
	EP-----1345922	A1	20030924	2001EP-000271061	20011219	<--
	EP-----1345922	B1	20060531			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
	EP-----1355905	A1	20031029	2001EP-000273861	20011219	<--
	EP-----1355905	B1	20070221			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
	NZ------526472	A	20040430	2001NZ-000526472	20011219	<--
	JP--2004518743	T	20040624	2002JP-000565976	20011219	<--

	JP--2004519479	T	20040702	2002JP-000567928	20011219 <--
	HU--2004000842	A2	20040728	2004HU-000000842	20011219 <--
	NZ------526473	A	20050624	2001NZ-000526473	20011219 <--
	EP-----1702920	A1	20060920	2006EP-000011799	20011219 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	ZA--2003001697	A	20040301	2003ZA-000001697	20030228 <--
	ZA--2003001699	A	20040301	2003ZA-000001699	20030228 <--
	ZA--2003001700	A	20040301	2003ZA-000001700	20030228 <--
	ZA--2003001702	A	20040301	2003ZA-000001702	20030228 <--
	ZA--2003001704	A	20040301	2003ZA-000001704	20030228 <--
	ZA--2003001698	A	20040302	2003ZA-000001698	20030228 <--
	MX-2003PA02297	A	20030606	2003MX-PA0002297	20030317 <--
	ZA--2003004468	A	20040624	2003ZA-000004468	20030609 <--
	ZA--2003004469	A	20040624	2003ZA-000004469	20030609 <--
	ZA--2003004470	A	20040624	2003ZA-000004470	20030609 <--
	ZA--2003004471	A	20040624	2003ZA-000004471	20030609 <--
	ZA--2003004473	A	20040624	2003ZA-000004473	20030609 <--
	ZA--2003004475	A	20040624	2003ZA-000004475	20030609 <--
	ZA--2003004472	A	20040625	2003ZA-000004472	20030609 <--
	ZA--2003004474	A	20040625	2003ZA-000004474	20030609 <--
	NO--2003002704	A	20030821	2003NO-000002704	20030613 <--
	MX-2003PA05609	A	20031006	2003MX-PA0005609	20030620 <--
	MX-2003PA05610	A	20031006	2003MX-PA0005610	20030620 <--
	US-20040224944	A1	20041111	2003US-000624800	20030722 <--
	US-----7008948	B2	20060307		
	US-20040116454	A1	20040617	2003US-000692355	20031023 <--
	US-----7390815	B2	20080624		
	US-20040157893	A1	20040812	2003US-000722374	20031125 <--
	HK-----1057702	A1	20071102	2003HK-000108682	20031127 <--
	US-20040132781	A1	20040708	2003US-000736426	20031215 <--
	US-----7087603	B2	20060808		
	US-20040167141	A1	20040826	2004US-000775699	20040210 <--
	US-----7427681	B2	20080923		
	HK-----1060347	A1	20061201	2004HK-000101883	20040315 <--
	JP--2005097322	A	20050414	2004JP-000366925	20041217 <--
	US-20070270444	A1	20071122	2006US-000369220	20060306 <--
	AU--2006201228	A1	20060413	2006AU-000201228	20060321 <--
	AU--2006201229	A1	20060413	2006AU-000201229	20060321 <--
	AU--2006201230	A1	20060413	2006AU-000201230	20060321 <--
	AU--2006201230	B2	20080911		
	AU--2006201262	A1	20060427	2006AU-000201262	20060321 <--
	AU--2006201262	B2	20080904		
	AU--2006201263	A1	20060427	2006AU-000201263	20060321 <--
	AU--2006201264	A1	20060427	2006AU-000201264	20060321 <--
	AU--2006201265	A1	20060427	2006AU-000201265	20060321 <--
	AU--2006201265	B2	20080904		
	AU--2006201391	A1	20060427	2006AU-000201391	20060404 <--
	US-20060258658	A1	20061116	2006US-000492450	20060725 <--
	IN---200702703	A	20080801	2007IN-000002703	20070723 <--
	JP--2008115195	A	20080522	2008JP-000015681	20080125 <--
	JP--2008189682	A	20080821	2008JP-000095581	20080401 <--
	JP--2008260767	A	20081030	2008JP-000095584	20080401 <--
	JP--2008222719	A	20080925	2008JP-000097620	20080403 <--
	JP--2008189687	A	20080821	2008JP-000098506	20080404 <--
	US-20080287444	A1	20081120	2008US-000109598	20080425
	JP--2008201808	A	20080904	2008JP-000121723	20080507 <--
	JP--2008247920	A	20081016	2008JP-000121724	20080507 <--
	JP--2008247921	A	20081016	2008JP-000121727	20080507 <--
PRAI	2000US-00232795P	P	20000915	<--	
	2000US-00257887P	P	20001221	<--	
	2001US-00286949P	P	20010427	<--	
	2001AU-000296871	A3	20010914	<--	
	2001AU-000296875	A3	20010914	<--	
	2001AU-000090944	A3	20010914	<--	
	2001AU-000091013	A3	20010914	<--	
	2001AU-000094558	A3	20010914	<--	
	2001AU-000096871	T0	20010914	<--	
	2001AU-000096875	T0	20010914	<--	
	2001EP-000971082	A3	20010914	<--	
	2002JP-000526860	A3	20010914	<--	
	2001US-000952671	A3	20010914	<--	
	2001US-000953471	A3	20010914	<--	
	2001US-000955601	A3	20010914	<--	

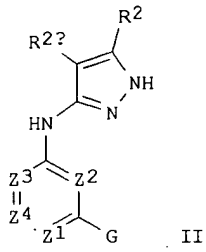
2001WO-US0042162	W	20010914	<--
2001EP-000273861	A	20011219	<--
2001EP-000994323	A3	20011219	<--
2002JP-000551561	A3	20011219	<--
2002JP-000557938	A3	20011219	<--
2002JP-000559413	A3	20011219	<--
2002JP-000563142	A3	20011219	<--
2002JP-000565976	A3	20011219	<--
2002JP-000567928	A3	20011219	<--
2001US-000026966	A1	20011219	<--
2001WO-US0049139	W	20011219	<--
2001WO-US0050312	W	20011219	<--
2002JP-000551562	A3	20011220	<--
2002JP-000559414	A3	20011220	<--
2001US-000034019	A3	20011220	<--
2001US-000034683	A1	20011220	<--
2003IN-000000795	A3	20030619	
2003US-000624800	A3	20030722	
2004US-000775699	A1	20040210	

OS MARPAT 136:263164

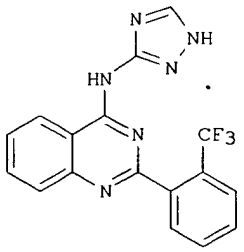
GI



I



II



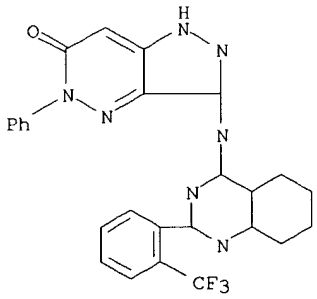
III

AB Triazolamines I and pyrazolamines II [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; R9 is defined above]. Examples include data for approx. 300 invention compds.

prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 1.0-20 μM for Aurora-2.

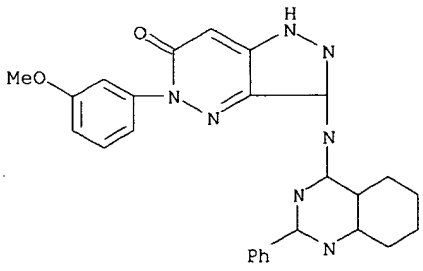
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of triazolamines, pyrazolamines, and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



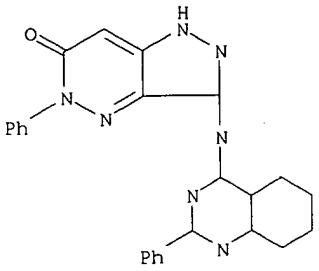
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)

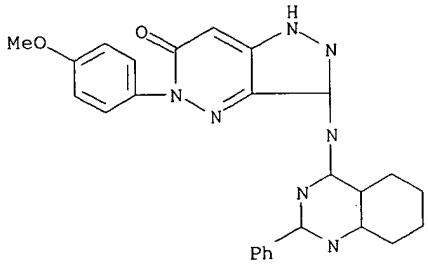


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

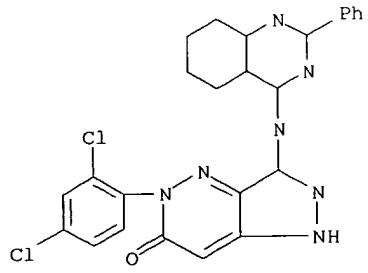
RN 404829-17-0 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



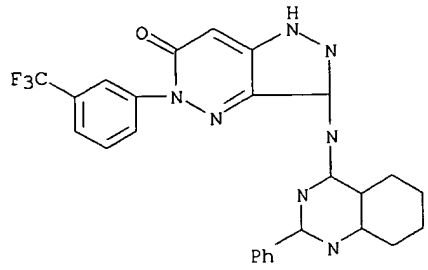
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-18-1 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



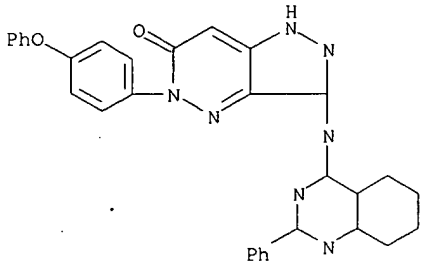
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-19-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-
(CA INDEX NAME)



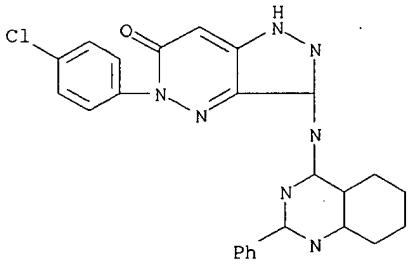
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-21-6 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-22-7 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

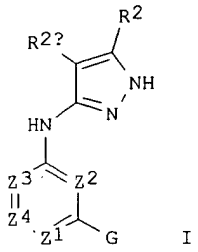
L20 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:220577 HCAPLUS
DN 136:247579
TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
IN Knegtel, Ronald; Bebbington, David; Binch, Hayley; Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 376 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO--2002022601	A1	20020321	2001WO-US0028740	20010914 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA-----2422354	A1	20020321	2001CA-002422354	20010914 <--
	AU--2001090914	A	20020326	2001AU-000090914	20010914 <--
	US-20030055044	A1	20030320	2001US-000953505	20010914 <--
	US-----6638926	B2	20031028		
	US-20030064981	A1	20030403	2001US-000952836	20010914 <--
	US-----6613776	B2	20030902		

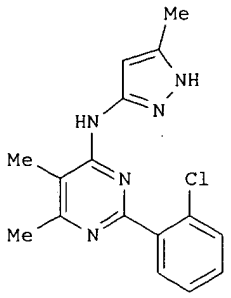
US-20030064982 A1 20030403 2001US-000952875 20010914 <--
US-20030073687 A1 20030417 2001US-000952671 20010914 <--
US-----6660731 B2 20031209
US-20030078166 A1 20030424 2001US-000955601 20010914 <--
US-----6696452 B2 20040224
US-20030083327 A1 20030501 2001US-000952833 20010914 <--
US-----6610677 B2 20030826
EP-----1317444 A1 20030611 2001EP-000970971 20010914 <--
EP-----1317444 B1 20060531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA--2003001701 A 20040301 2003ZA-000001701 20010914 <--
ZA--2003001703 A 20040302 2003ZA-000001703 20010914 <--
JP--2004509113 T 20040325 2002JP-000526854 20010914 <--
JP-----4111824 B2 20080702
US-20040097501 A1 20040520 2001US-000953471 20010914 <--
US-----7115739 B2 20061003
US-20050004110 A1 20050106 2001US-000952878 20010914 <--
US-----7098330 B2 20060829
ES-----2242771 T3 20051116 2001ES-000971006 20010914 <--
AT------326458 T 20060615 2001AT-000970969 20010914 <--
AT------327990 T 20060615 2001AT-000970971 20010914 <--
AT------327992 T 20060615 2001AT-000971082 20010914 <--
AT------327991 T 20060615 2001AT-000973050 20010914 <--
AT------326459 T 20060615 2001AT-000977779 20010914 <--
EP-----1698627 A1 20060906 2006EP-000010798 20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PT-----1318997 T 20061031 2001PT-000971082 20010914 <--
AT------346064 T 20061215 2001AT-000975210 20010914 <--
ES-----2266258 T3 20070301 2001ES-000970971 20010914 <--
ES-----2266259 T3 20070301 2001ES-000971082 20010914 <--
AT------363284 T 20070615 2001AT-000977783 20010914 <--
NZ------545284 A 20070629 1984NZ-000005452 20010914 <--
CN---100355750 C 20071219 2001CN-000817427 20010914 <--
CA-----2432303 A1 20020829 2001CA-002432303 20011219 <--
AU--2002255452 A1 20020904 2002AU-000255452 20011219 <--
AU--2002255452 B2 20060608
CA-----2432223 A1 20020906 2001CA-002432223 20011219 <--
CA-----2432223 C 20080520
AU--2001297619 A1 20020912 2001AU-000297619 20011219 <--
AU--2001297619 B2 20060608
EP-----1345922 A1 20030924 2001EP-000271061 20011219 <--
EP-----1345922 B1 20060531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP-----1355905 A1 20031029 2001EP-000273861 20011219 <--
EP-----1355905 B1 20070221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ------526472 A 20040430 2001NZ-000526472 20011219 <--
JP--2004518743 T 20040624 2002JP-000565976 20011219 <--
JP--2004519479 T 20040702 2002JP-000567928 20011219 <--
HU--2004000842 A2 20040728 2004HU-000000842 20011219 <--
NZ------526473 A 20050624 2001NZ-000526473 20011219 <--
EP-----1702920 A1 20060920 2006EP-000011799 20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA--2003001697 A 20040301 2003ZA-000001697 20030228 <--
ZA--2003001699 A 20040301 2003ZA-000001699 20030228 <--
ZA--2003001700 A 20040301 2003ZA-000001700 20030228 <--
ZA--2003001702 A 20040301 2003ZA-000001702 20030228 <--
ZA--2003001704 A 20040301 2003ZA-000001704 20030228 <--
ZA--2003001698 A 20040302 2003ZA-000001698 20030228 <--
MX-2003PA02294 A 20050908 2003MX-PA0002294 20030317 <--
ZA--2003004468 A 20040624 2003ZA-000004468 20030609 <--
ZA--2003004469 A 20040624 2003ZA-000004469 20030609 <--
ZA--2003004470 A 20040624 2003ZA-000004470 20030609 <--
ZA--2003004471 A 20040624 2003ZA-000004471 20030609 <--
ZA--2003004473 A 20040624 2003ZA-000004473 20030609 <--
ZA--2003004475 A 20040624 2003ZA-000004475 20030609 <--
ZA--2003004472 A 20040625 2003ZA-000004472 20030609 <--
ZA--2003004474 A 20040625 2003ZA-000004474 20030609 <--
NO--2003002704 A 20030821 2003NO-000002704 20030613 <--

	MX-2003PA05609	A	20031006	2003MX-PA0005609	20030620	<--
	MX-2003PA05610	A	20031006	2003MX-PA0005610	20030620	<--
	US-20040224944	A1	20041111	2003US-000624800	20030722	<--
	US-----7008948	B2	20060307			
	US-20040116454	A1	20040617	2003US-000692355	20031023	<--
	US-----7390815	B2	20080624			
	HK-----1057543	A1	20061215	2003HK-000108309	20031114	<--
	US-20040157893	A1	20040812	2003US-000722374	20031125	<--
	US-20040132781	A1	20040708	2003US-000736426	20031215	<--
	US-----7087603	B2	20060808			
	US-20040167141	A1	20040826	2004US-000775699	20040210	<--
	US-----7427681	B2	20080923			
	HK-----1060347	A1	20061201	2004HK-000101883	20040315	<--
	JP--2005097322	A	20050414	2004JP-000366925	20041217	<--
	US-20070270444	A1	20071122	2006US-000369220	20060306	<--
	AU--2006201228	A1	20060413	2006AU-000201228	20060321	<--
	AU--2006201229	A1	20060413	2006AU-000201229	20060321	<--
	AU--2006201230	A1	20060413	2006AU-000201230	20060321	<--
	AU--2006201230	B2	20080911			
	AU--2006201262	A1	20060427	2006AU-000201262	20060321	<--
	AU--2006201262	B2	20080904			
	AU--2006201263	A1	20060427	2006AU-000201263	20060321	<--
	AU--2006201264	A1	20060427	2006AU-000201264	20060321	<--
	AU--2006201265	A1	20060427	2006AU-000201265	20060321	<--
	AU--2006201265	B2	20080904			
	AU--2006201391	A1	20060427	2006AU-000201391	20060404	<--
	AU--2006201396	A1	20060504	2006AU-000201396	20060404	<--
	AU--2006201396	B2	20081002			
	US-20060258658	A1	20061116	2006US-000492450	20060725	<--
	IN---200702703	A	20080801	2007IN-000002703	20070723	<--
	JP--2008115195	A	20080522	2008JP-000015681	20080125	<--
	JP--2008189682	A	20080821	2008JP-000095581	20080401	<--
	JP--2008260767	A	20081030	2008JP-000095584	20080401	<--
	JP--2008222719	A	20080925	2008JP-000097620	20080403	<--
	JP--2008189687	A	20080821	2008JP-000098506	20080404	<--
	US-20080287444	A1	20081120	2008US-000109598	20080425	
	JP--2008201808	A	20080904	2008JP-000121723	20080507	<--
	JP--2008247920	A	20081016	2008JP-000121724	20080507	<--
	JP--2008247921	A	20081016	2008JP-000121727	20080507	<--
PRAI	2000US-00232795P	P	20000915	<--		
	2000US-00257887P	P	20001221	<--		
	2001US-00286949P	P	20010427	<--		
	2001AU-000296871	A3	20010914	<--		
	2001AU-000296875	A3	20010914	<--		
	2001AU-000090914	A3	20010914	<--		
	2001AU-000090944	A3	20010914	<--		
	2001AU-000091013	A3	20010914	<--		
	2001AU-000094558	A3	20010914	<--		
	2001AU-000096871	T0	20010914	<--		
	2001AU-000096875	T0	20010914	<--		
	2001EP-000971082	A3	20010914	<--		
	2002JP-000526860	A3	20010914	<--		
	2001US-000952671	A3	20010914	<--		
	2001US-000953471	A3	20010914	<--		
	2001US-000955601	A3	20010914	<--		
	2001WO-US0028740	W	20010914	<--		
	2001EP-000273861	A	20011219	<--		
	2001EP-000994323	A3	20011219	<--		
	2002JP-000551561	A3	20011219	<--		
	2002JP-000557938	A3	20011219	<--		
	2002JP-000559413	A3	20011219	<--		
	2002JP-000563142	A3	20011219	<--		
	2002JP-000565976	A3	20011219	<--		
	2002JP-000567928	A3	20011219	<--		
	2001US-000026966	A1	20011219	<--		
	2001WO-US0049139	W	20011219	<--		
	2001WO-US0050312	W	20011219	<--		
	2002JP-000551562	A3	20011220	<--		
	2002JP-000559414	A3	20011220	<--		
	2001US-000034019	A3	20011220	<--		
	2001US-000034683	A1	20011220	<--		
	2003IN-000000795	A3	20030619			
	2003US-000624800	A3	20030722			
	2004US-000775699	A1	20040210			

OS MARPAT 136:247579
GI



I



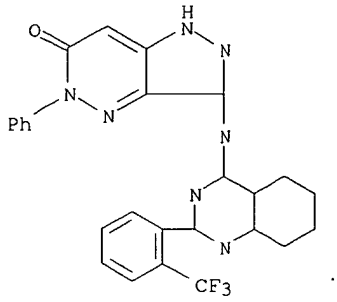
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and pyridinyl- pyrazolamines and indazolamines I [wherein Z1 = N, CRa, or CH; Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CRx; Z4 = CRy; Ra = halo, OR, COR, CO2R, COCOR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, etc.; R and R4 are defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

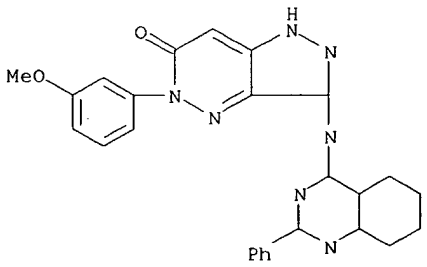
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,

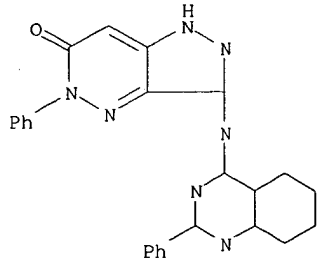
1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



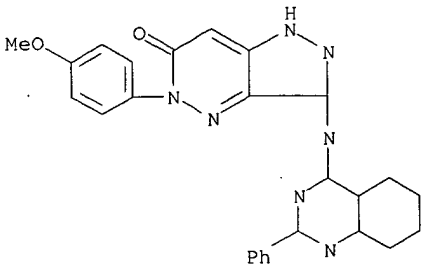
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



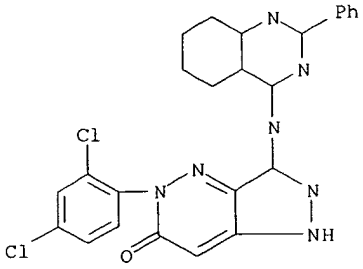
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-17-0 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



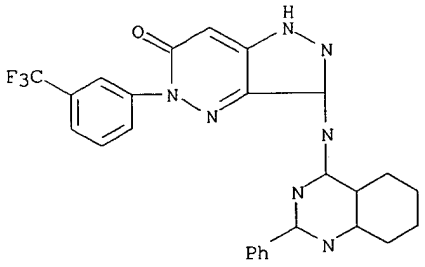
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-18-1 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



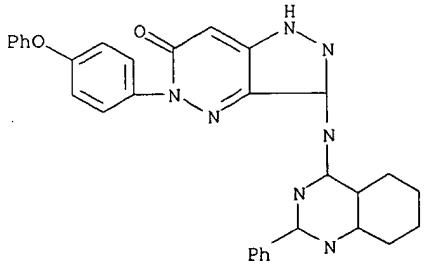
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-19-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-
(CA INDEX NAME)



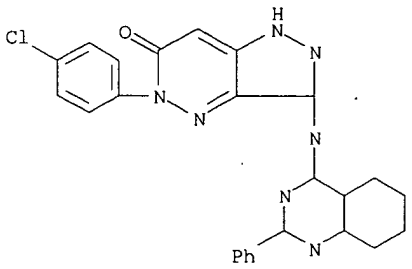
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-21-6 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-22-7 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)

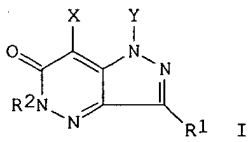


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1993:482777 HCAPLUS
DN 119:82777
OREF 119:14663a,14666a
TI Preparation of photographic cyan couplers
IN Ikesu, Satoru; Kita, Hiroshi; Kaneko, Yutaka
PA Konica Co., Japan
SO Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP----04307542	A	19921029	1991JP-000097860	19910404 <--
PRAI 1991JP-000097860		19910404 <--		

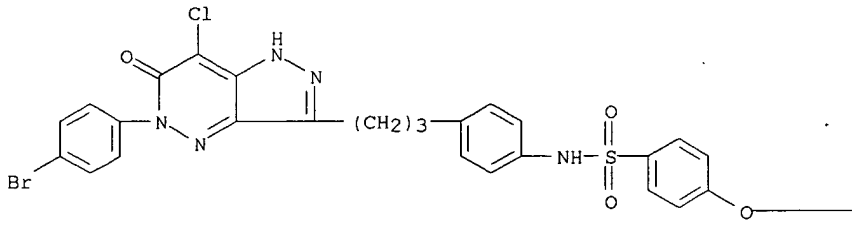
GI



I

AB Pyrazolopyridazine derivs. (I; R1, R2, Y = H, substituent; X = H, substituent leaving upon reaction with the oxidized form of a color developing agent) are prepared I showed excellent stability against heat, humidity, and light.
IT **148665-09-2 148665-15-0 148665-16-1**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. cyan coupler)
RN 148665-09-2 HCAPLUS
CN Benzenesulfonamide, N-[4-[3-[5-(4-bromophenyl)-7-chloro-5,6-dihydro-6-oxo-1H-pyrazolo[4,3-c]pyridazin-3-yl]propyl]phenyl]-4-(dodecyloxy)- (CA INDEX NAME)

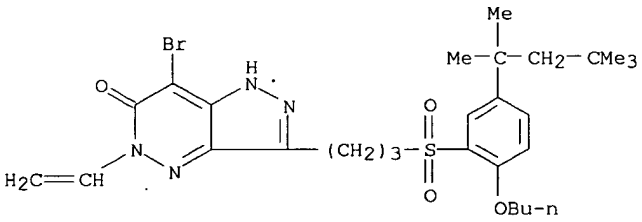
PAGE 1-A



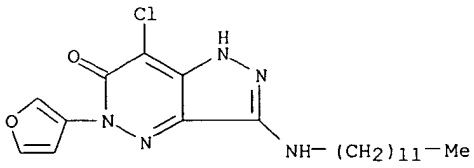
PAGE 1-B

— $(CH_2)_{11}$ — Me

RN 148665-15-0 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 7-bromo-3-[3-[[2-butoxy-5-(1,1,3,3-tetramethylbutyl)phenyl]sulfonyl]propyl]-5-ethenyl-1,5-dihydro- (CA INDEX NAME)



RN 148665-16-1 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 7-chloro-3-(dodecylamino)-5-(3-furanyl)-1,5-dihydro- (CA INDEX NAME)



=> b uspatall
FILE 'USPATFULL' ENTERED AT 10:57:28 ON 05 DEC 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

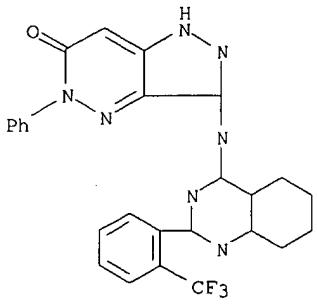
FILE 'USPATOLD' ENTERED AT 10:57:28 ON 05 DEC 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:57:28 ON 05 DEC 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitstr l21 tot

L21 ANSWER 1 OF 40 USPATFULL on STN
AN 2007:309342 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Golec, Julian, Ashbury, UNITED KINGDOM
Patel, Sanjay, Abingdom, UNITED KINGDOM
Charrier, Jean-Damien, Bishop's Itchington, UNITED KINGDOM
Kay, David, UNITED STATES
Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Wannamaker, Marion, Stow, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES
PI US-20070270444 A1 20071122
AI 2006US-000369220 A1 20060306 (11)
RLI Division of Ser. No. 2003US-000624800, filed on 22 Jul 2003, GRANTED, Pat. No. US-----7008948 Division of Ser. No. 2001US-000952671, filed on 14 Sep 2001, GRANTED, Pat. No. US-----6660731
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA, 02139-4242, US
CLMN Number of Claims: 19
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8161
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IV: ##STR1## wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3, or taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered ring having 1-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen; and R.sup.2, R.sup.2', T, and R.sup.3 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

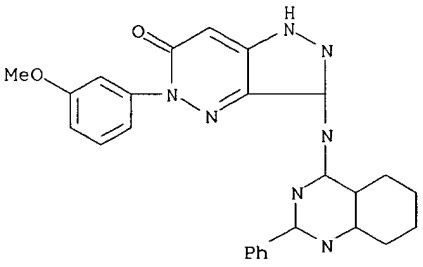
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL

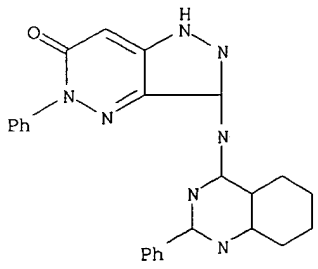
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

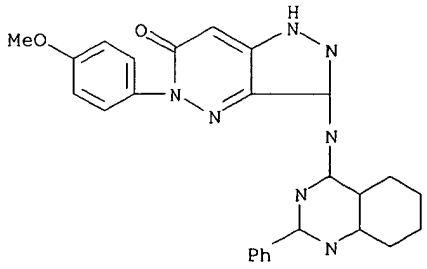
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

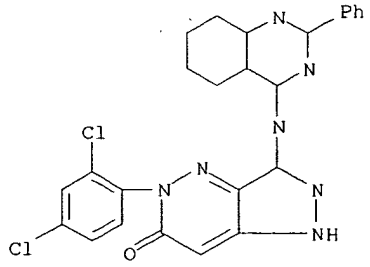
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

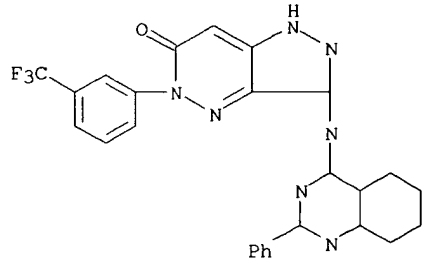
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

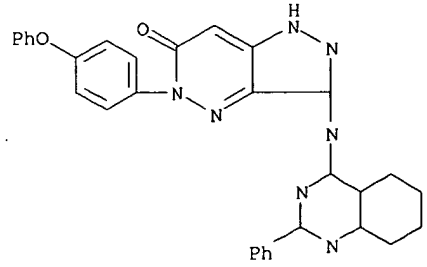
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

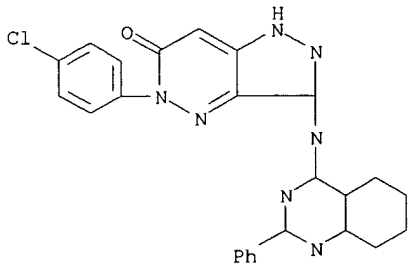
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 2 OF 40 USPATFULL on STN

AN 2006:302298 USPATFULL

TI Triazole compounds useful as protein kinase inhibitors

IN Bebbington, David, Newbury, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Golec, Julian M. C., Faringdon, UNITED KINGDOM
Li, Pan, Arlington, MA, UNITED STATES
Charrier, Jean-Damien, Grove, UNITED KINGDOM

PI US-20060258658 A1 20061116

AI 2006US-000492450 A1 20060725 (11)

RLI Division of Ser. No. 2001US-000953471, filed on 14 Sep 2001, GRANTED, Pat. No. US-----7115739

PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--

DT Utility

FS APPLICATION

LREP VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA, 02139-4242, US

CLMN Number of Claims: 47

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 9400

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

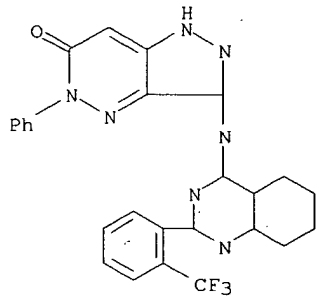
AB This invention describes novel triazole compounds of formula IX: ##STR1## wherein Z.sup.1 is nitrogen or CR.sup.9 and Z.sup.2 is nitrogen or CH, provided that at least one of Z.sup.1 and Z.sup.2 is nitrogen; G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused ring; R.sup.1, R.sup.3, and T are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of GSK-3 and Aurora, for treating diseases such as diabetes, cancer, and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**,

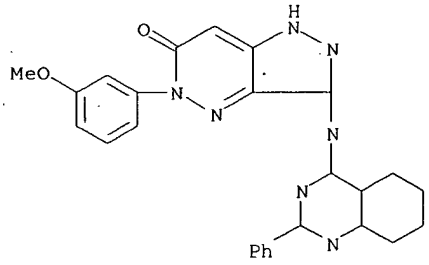
[6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



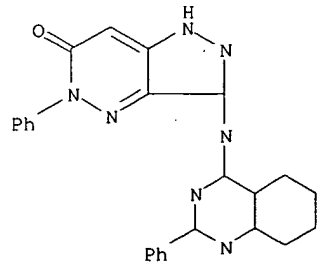
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

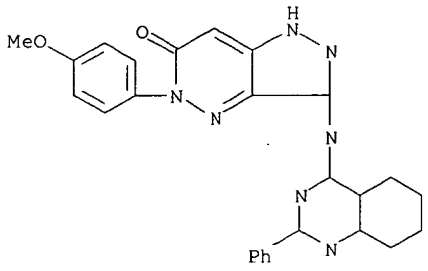
RN 404829-17-0 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA

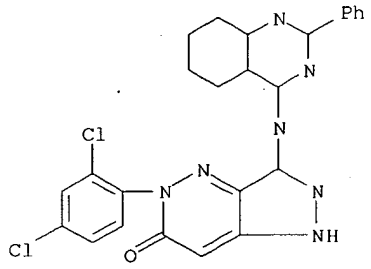
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

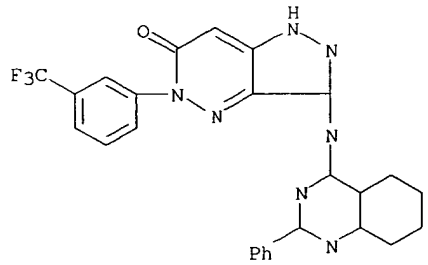
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

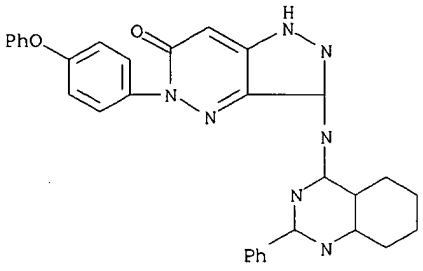
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

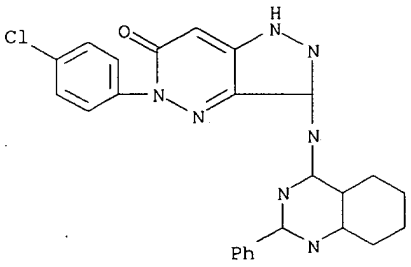
RN 404829-22-7 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)

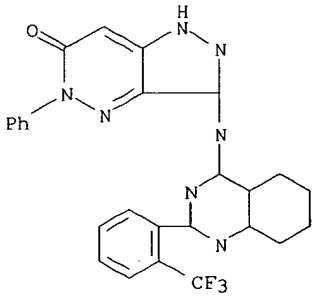


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

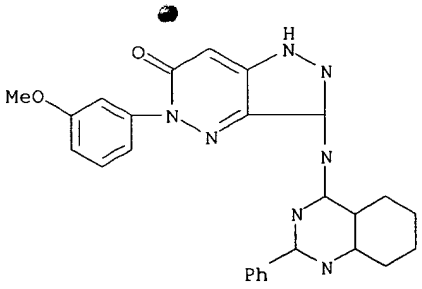
L21 ANSWER 3 OF 40 USPATFULL on STN
AN 2005:5004 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Binch, Hayley, Harwell Oxon, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Golec, Julian, Ashbury, UNITED KINGDOM
Patel, Sanjay, Abingdom, UNITED KINGDOM
Charrier, Jean- Damien, Bishop's Itchington, UNITED KINGDOM
Kay, David, Somerville, MA, UNITED STATES
Davies, Robert, Arlington, MA, UNITED STATES
PI US-20050004110 A1 20050106
US-----7098330 B2 20060829
AI 2001US-000952878 A1 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP Andrew S. Marks, Esq., VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02139-4242
CLMN Number of Claims: 36
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8420
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula III:
##STR1##

wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered carbocyclo ring; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

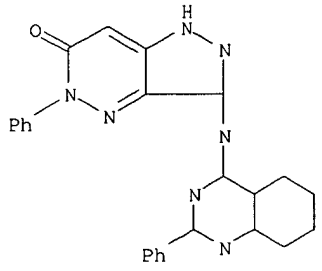
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



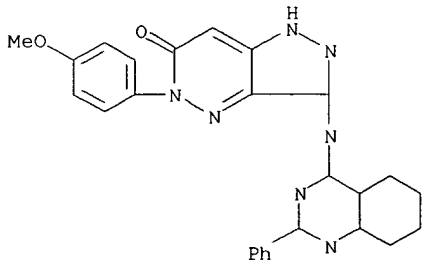
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-17-0 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

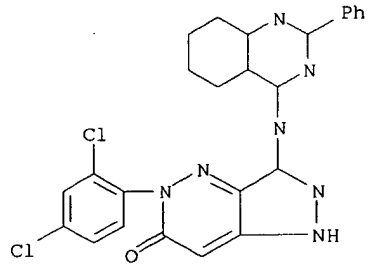
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

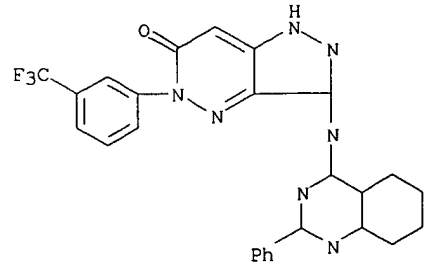
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

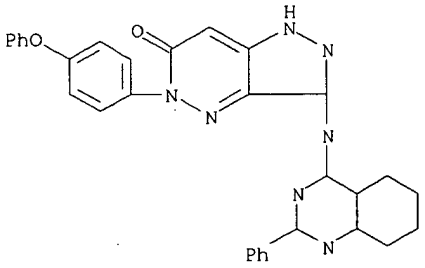
RN 404829-21-6 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



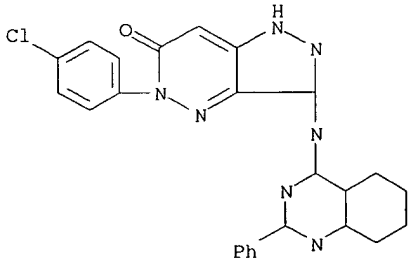
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 4 OF 40 USPATFULL on STN
AN 2004:286776 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury Berkshire, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Golec, Julian, Swinden Wilts, UNITED KINGDOM
Patel, Sanjay, Abingdom, UNITED KINGDOM
Charrier, Jean-Damien, Southam, UNITED KINGDOM
Kay, David, Church Path, UNITED KINGDOM
Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Wannamaker, Marion, Stow, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES
PI US-20040224944 A1 20041111
US-----7008948 B2 20060307
AI 2003US-000624800 A1 20030722 (10)
RLI Division of Ser. No. 2001US-000952671, filed on 14 Sep 2001, GRANTED, Pat. No. US-----6660731
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA, 02139-4242
CLMN Number of Claims: 28
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8533

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention describes novel pyrazole compounds of formula IV: ##STR1##

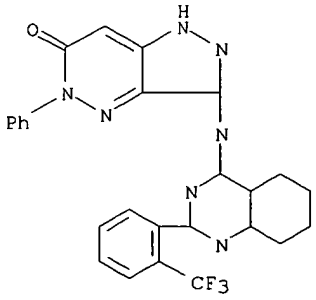
wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3, or taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered ring having 1-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen; and R.sup.2, R.sup.2', T, and R.sup.3 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL

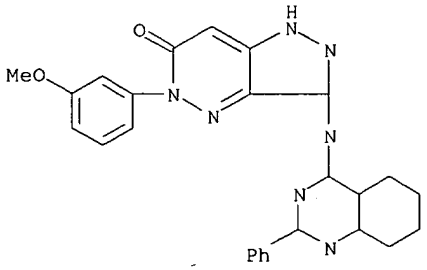
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL

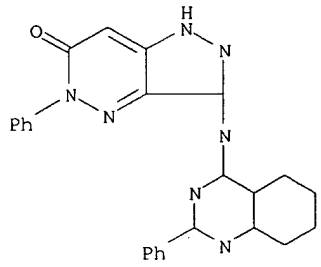
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

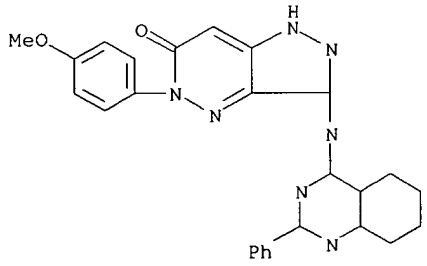
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

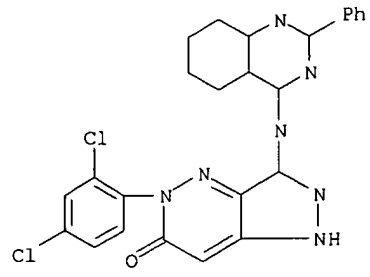
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

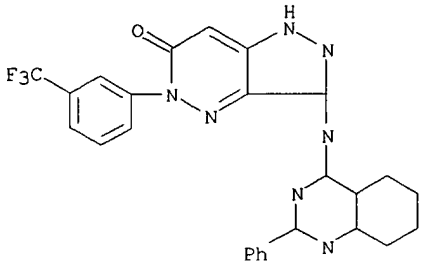
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



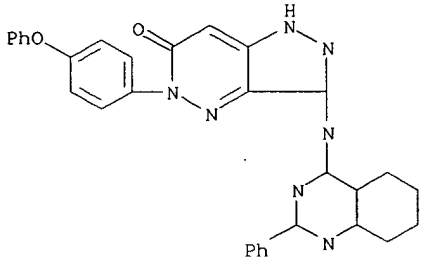
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



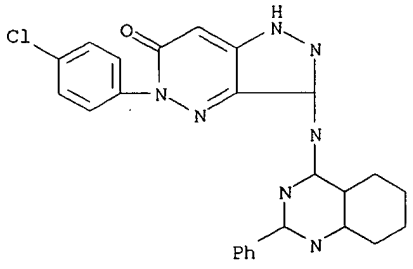
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



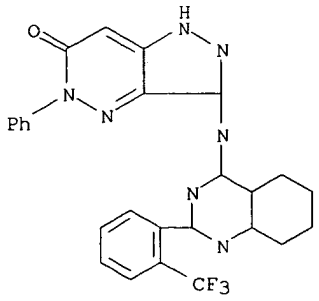
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 5 OF 40 USPATFULL on STN
AN 2004:274312 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Miller, Andrew, Didcot, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
PI US-20040214814 A1 20041028
AI 2001US-000026992 A1 20011219 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--

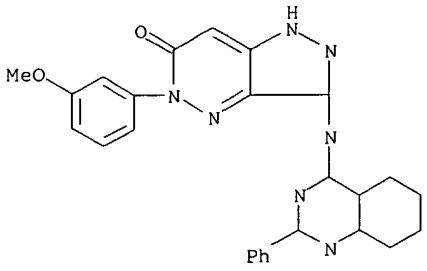
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP Tina Powers, VERTEX PHARMACEUTICALS INC., 130 Waverly Street, Cambridge, MA, 02139-4242
CLMN Number of Claims: 27
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8610
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IIIc: ##STR1##

wherein R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x, R.sup.y, R.sup.2, and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



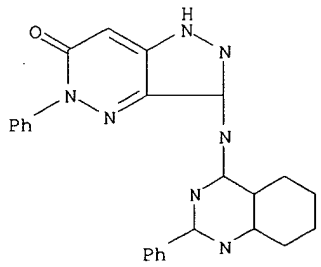
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

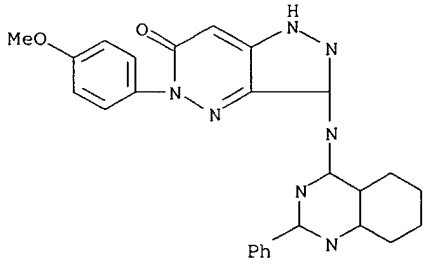
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

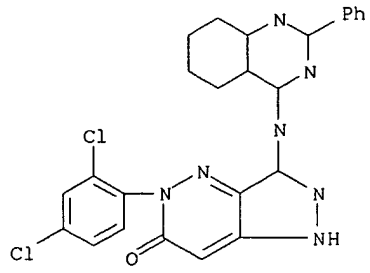
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

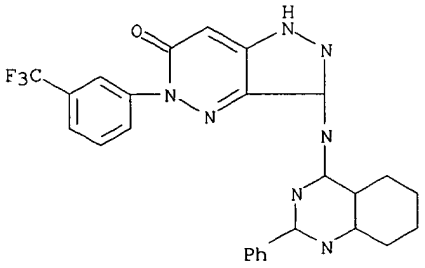
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



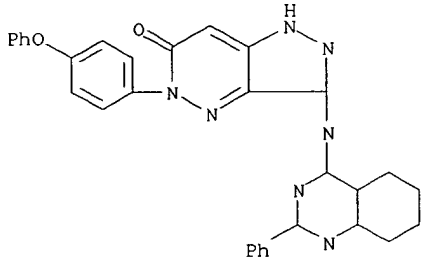
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



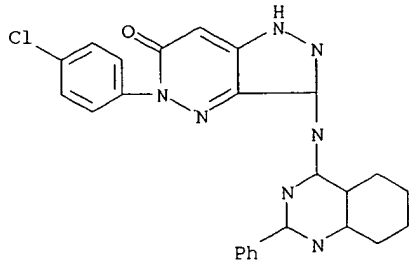
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



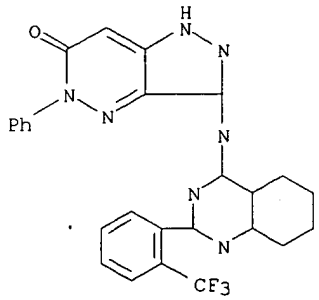
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 6 OF 40 USPATFULL on STN
AN 2004:216032 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Pierard, Francoise, Drayton, UNITED KINGDOM
PI US-20040167141 A1 20040826
US-----7427681 B2 20080923
AI 2004US-000775699 A1 20040210 (10)
RLI Division of Ser. No. 2001US-000034019, filed on 20 Dec 2001, GRANTED,

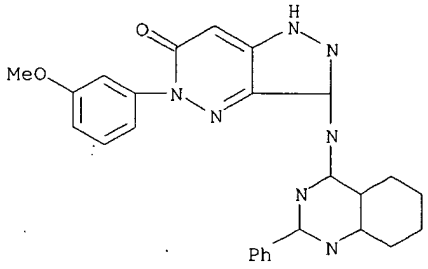
Pat. No. US-----6727251
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA, 02139-4242
CLMN Number of Claims: 31
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2292
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II: ##STR1##

wherein Z.sup.1 is nitrogen or CR.sup.8; Q is --S--, --O--, --N(R.sup.4)--, or --CH(R.sup.6)--; R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.y, R.sup.2, and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



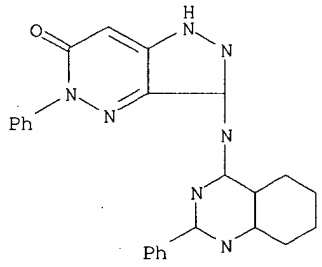
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

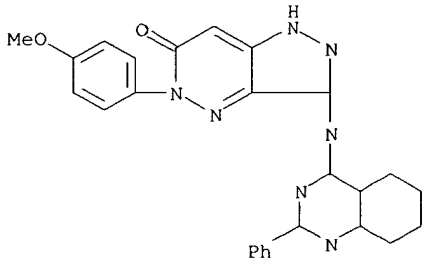
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

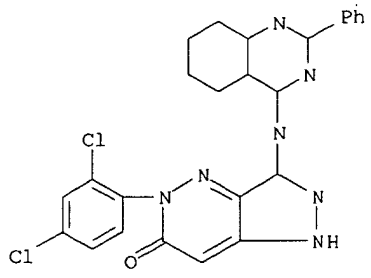
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

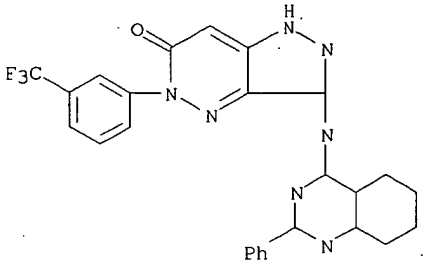
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



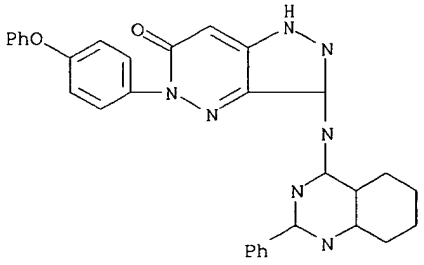
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



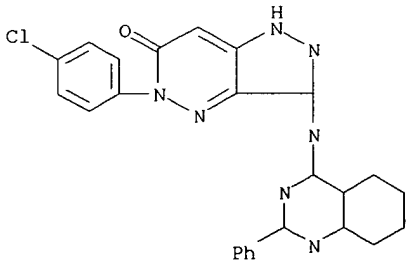
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



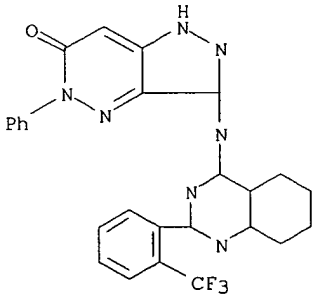
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 7 OF 40 USPATFULL on STN
AN 2004:204001 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
PI US-20040157893 A1 20040812
AI 2003US-000722374 A1 20031125 (10)
RLI Continuation of Ser. No. 2001US-000034683, filed on 20 Dec 2001, GRANTED, Pat. No. US-----6656939
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--

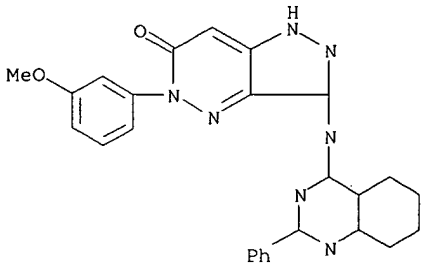
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA, 02139-4242
CLMN Number of Claims: 26
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2148
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula III: ##STR1##

wherein Z.sup.1, Z.sup.2 and Z.sup.3 are as described in the specification; Q is --S--, --O--, --N(R.sup.4)--, or --CH(R.sup.6)--; R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



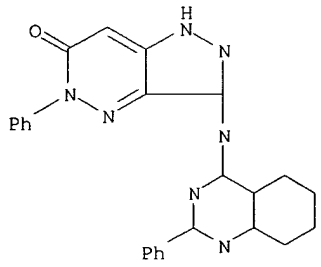
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

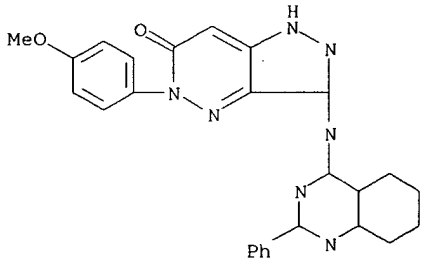
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

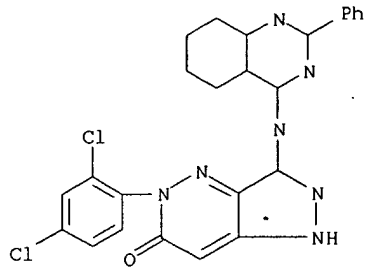
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

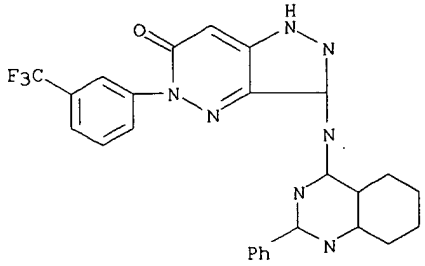
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



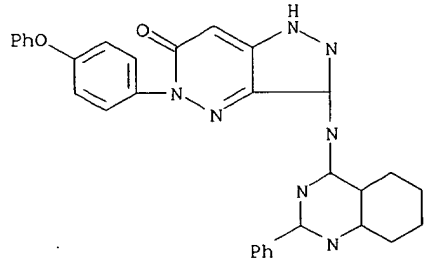
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 .USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



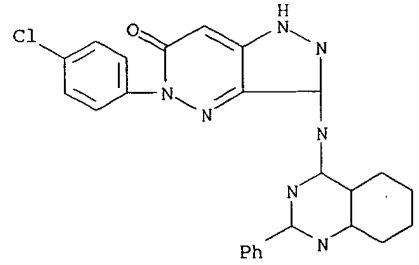
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



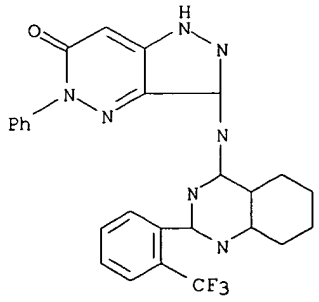
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 8 OF 40 USPATFULL on STN
AN 2004:172617 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
PI US-20040132781 A1 20040708
US-----7087603 B2 20060808
AI 2003US-000736426 A1 20031215 (10)
RLI Continuation of Ser. No. 2001US-000026966, filed on 19 Dec 2001, ABANDONED
PRAI 2000US-000257887P 20001221 (60) <--

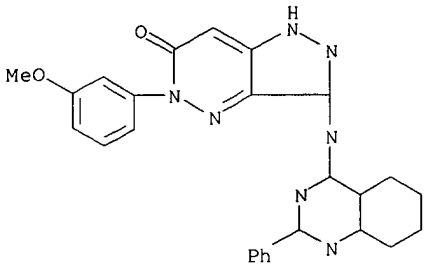
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA, 02139-4242
CLMN Number of Claims: 29
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8905
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IV: ##STR1##

wherein Z.sup.1 or Z.sup.2 is nitrogen, Q is --S--, --O--, --N(R.sup.4)--, --C(R.sup.6').sub.2--, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, and R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3 or L-Z-R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7-membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



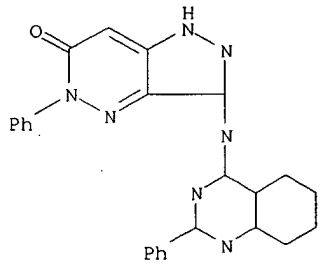
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

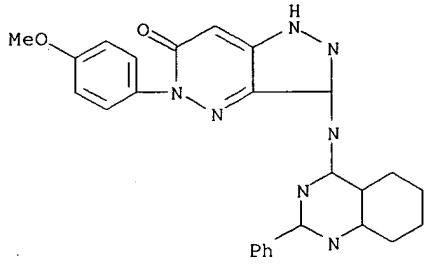
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

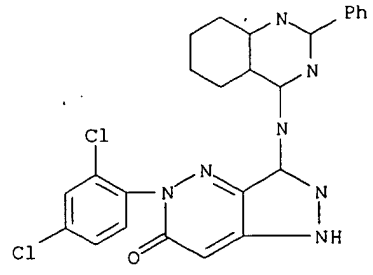
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

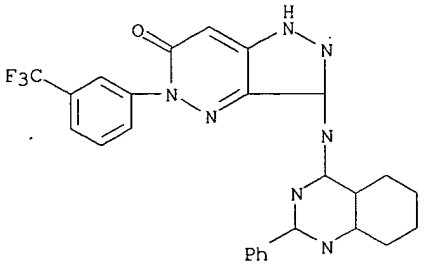
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

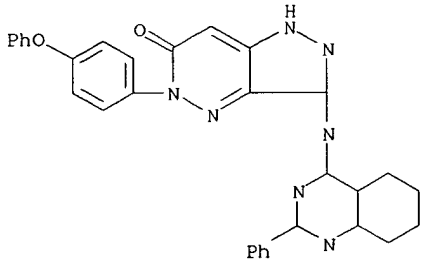
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

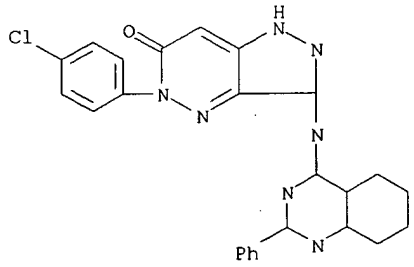
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 9 OF 40 USPATFULL on STN

AN 2004:152232 USPATFULL

TI Pyrazole compounds useful as protein kinase inhibitors

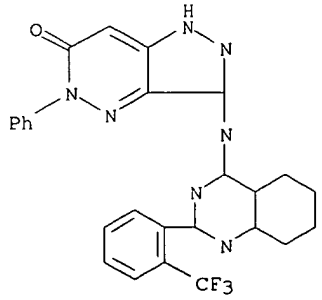
IN Davies, Robert, Arlington, MA, UNITED STATES
Bebbington, David, Berkshire, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Wannamaker, Marion, Stow, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES

PI US-20040116454 A1 20040617

US-----7390815 B2 20080624
AI 2003US-000692355 A1 20031023 (10)
RLI Division of Ser. No. 2001US-000955601, filed on 14 Sep 2001, GRANTED, Pat. No. US-----6696452
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA, 02139-4242
CLMN Number of Claims: 34
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8549
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II: ##STR1##

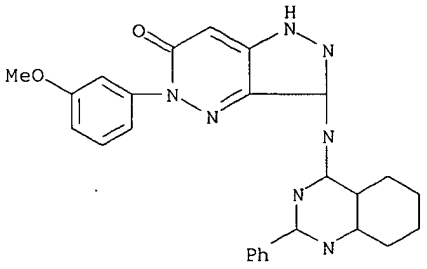
wherein Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, and R.sup.2, R.sup.2', R.sup.x, and R.sup.y are as described in the specification. Ring C has an ortho substituent and is optionally substituted in the non-ortho positions. R.sup.2 and R.sup.2' are optionally taken together with their intervening atoms to form a fused ring system, such as an indazole ring; and R.sup.x and R.sup.y are optionally taken together with their intervening atoms to form a fused ring system, such as a quinazoline ring. The compounds are useful as protein kinase inhibitors, especially as inhibitors of GSK-3, for treating diseases such as diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL

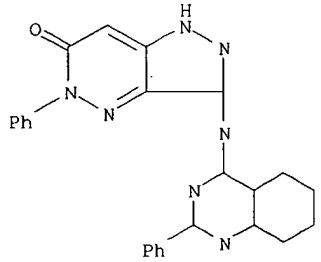
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

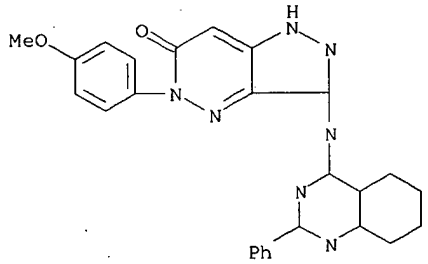
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

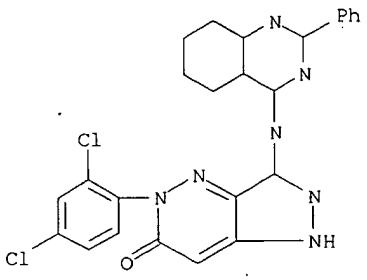
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

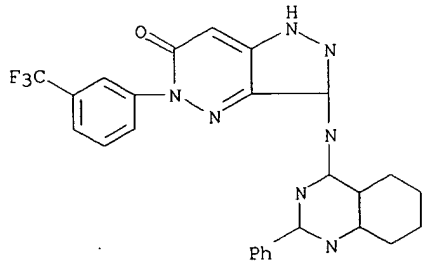
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

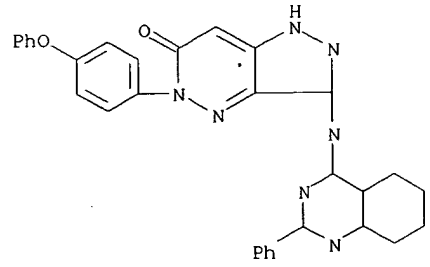
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

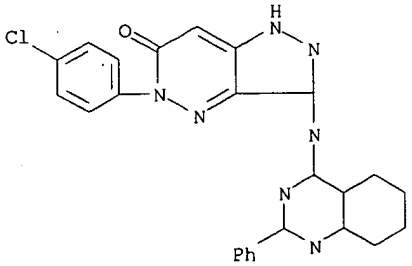
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)

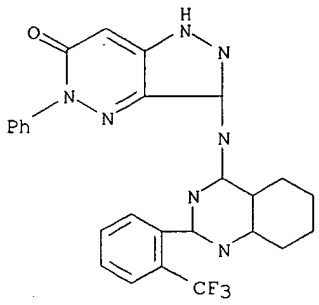


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 10 OF 40 USPATFULL on STN
AN 2004:127517 USPATFULL
TI Triazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury Berkshire, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Binch, Hayley, Harwell Oxon, UNITED KINGDOM
Golec, Julian, Asbury Swinden, UNITED KINGDOM
Li, Pan, Arlington, MA, UNITED STATES
Charier, Jean-Damien, Bishop's Itchington, UNITED KINGDOM
PI US-20040097501 A1 20040520
US-----7115739 B2 20061003
AI 2001US-000953471 A1 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646
CLMN Number of Claims: 47
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 9118
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel triazole compounds of formula IX:
##STR1##

wherein Z.sup.1 is nitrogen or CR.sup.9 and Z.sup.2 is nitrogen or CH, provided that at least one of Z.sup.1 and Z.sup.2 is nitrogen; G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused ring; R.sup.1, R.sup.3, and T are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of GSK-3 and Aurora, for treating diseases such as diabetes, cancer, and Alzheimer's disease.

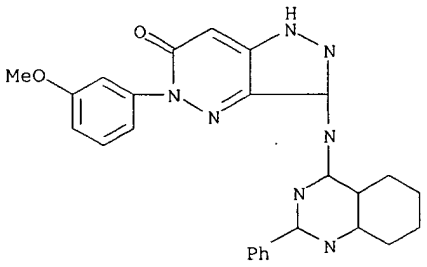
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL

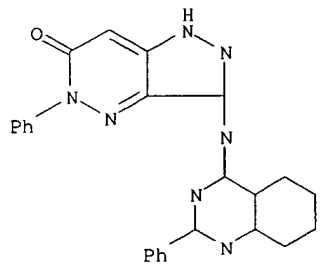
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

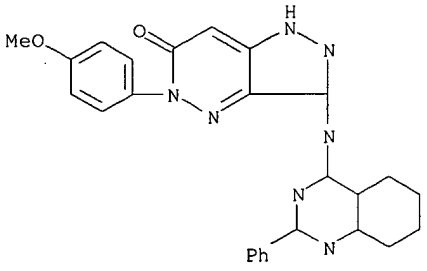
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

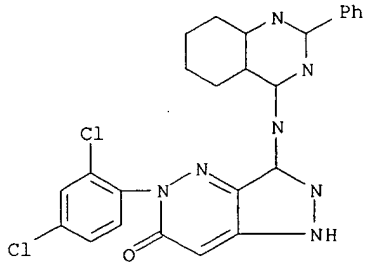
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

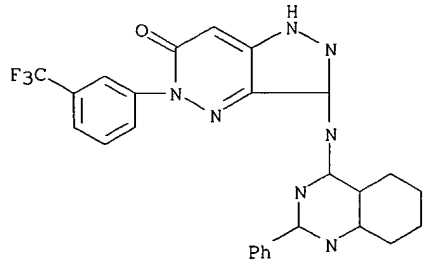
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

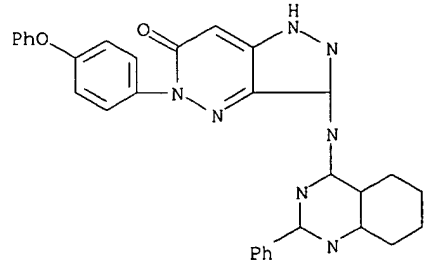
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

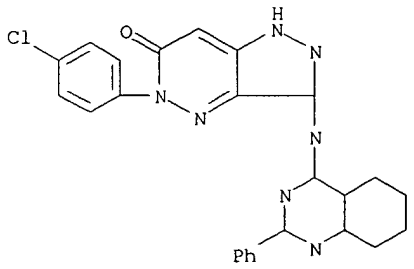
RN 404829-22-7 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

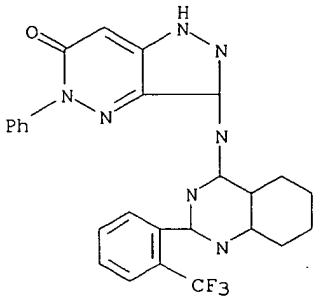
L21 ANSWER 11 OF 40 USPATFULL on STN
AN 2003:153422 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
PI US-20030105090 A1 20030605
AI 2001US-000026966 A1 20011219 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP Tina Powers, VERTEX PHARMACEUTICALS INC., 130 Waverly Street, Cambridge, MA, 02139-4242
CLMN Number of Claims: 29
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 9063
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IV: ##STR1##

wherein Z.sup.1 or Z.sup.2 is nitrogen, Q is --S--, --O--, --N(R.sup.4)--, --C(R.sup.6).sub.2--, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, and R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3 or L-Z-R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-

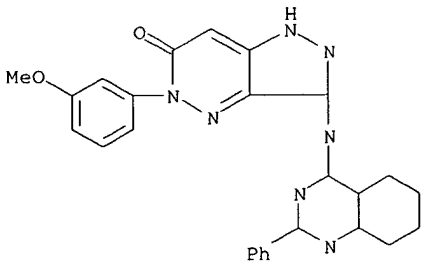
phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



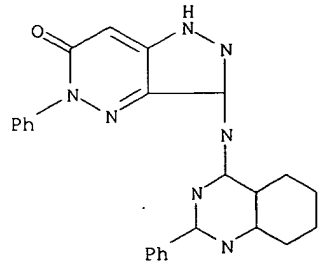
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



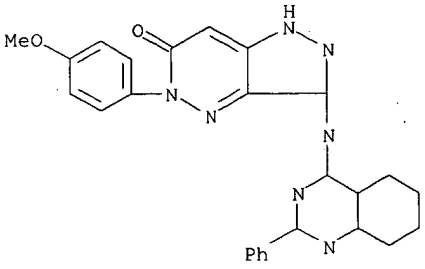
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

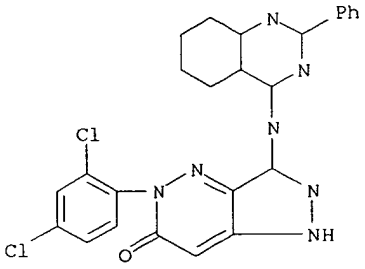
RN 404829-18-1 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

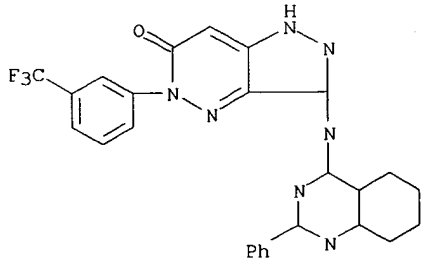
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

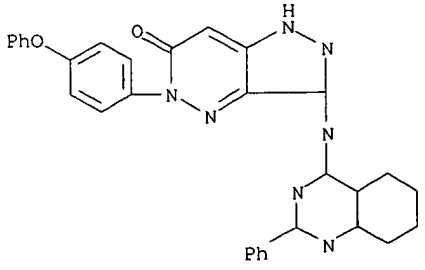
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

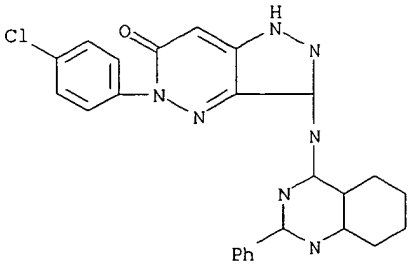
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 12 OF 40 USPATFULL on STN

AN 2003:120843 USPATFULL

TI Pyrazole compounds useful as protein kinase inhibitors

IN Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES

PI US-20030083327 A1 20030501
US-----6610677 B2 20030826

AI 2001US-000952833 A1 20010914 (9) <--

PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--

DT Utility

FS APPLICATION

LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646

CLMN Number of Claims: 25

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 8910

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention describes novel pyrazole compositions comprising a pharmaceutically acceptable carrier and a compound of formula VIII: ##STR1##

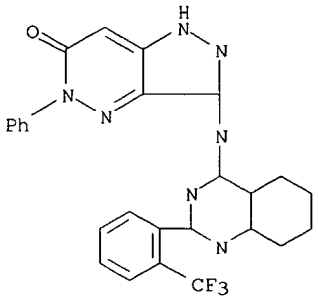
wherein Z.sup.1 is N or C--R.sup.9, Z.sup.2 is N or CH, and Z.sup.3 is N or C--R.sup.x, provided that one of Z.sup.1 and Z.sup.3 is nitrogen; G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.x, R.sup.1, R.sup.2, R.sup.2', R.sup.3, and R.sup.9 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-

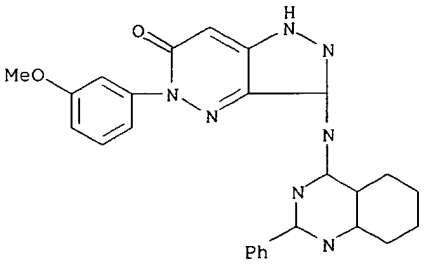
yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**,
[5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



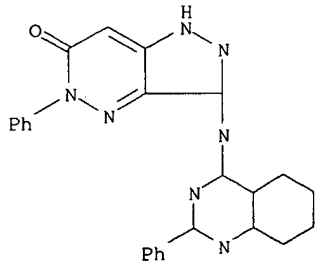
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



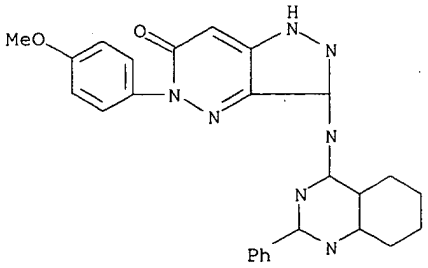
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

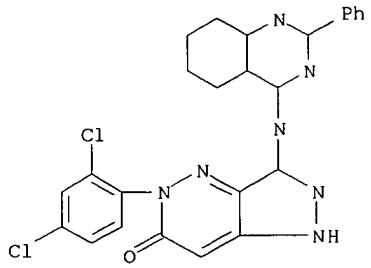
RN 404829-18-1 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

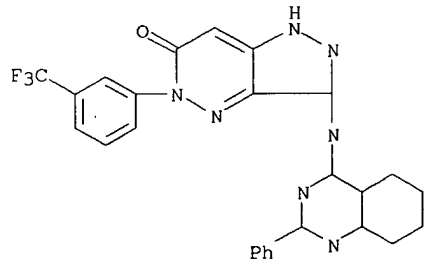
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

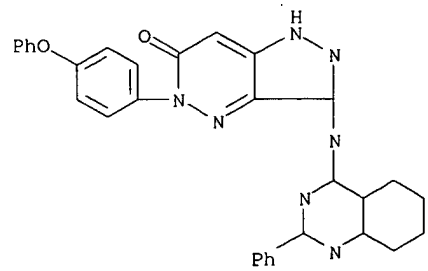
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

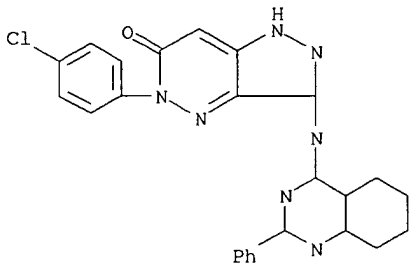
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 13 OF 40 USPATFULL on STN

AN 2003:113534 USPATFULL

TI Pyrazole compounds useful as protein kinase inhibitors

IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Davies, Robert, Arlington, MA, UNITED STATES
Golec, Julian M.C., Swindon, UNITED KINGDOM
Kay, David, Purton, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Patel, Sanjay, Abingdon, UNITED KINGDOM

PI US-20030078275 A1 20030424
US-----6653301 B2 20031125

AI 2001US-000027001 A1 20011219 (10) <--

PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--

DT Utility

FS APPLICATION

LREP Tina Powers, VERTEX PHARMACEUTICALS INC., 130 Waverly Street, Cambridge, MA, 02139-4242

CLMN Number of Claims: 30

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 9081

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention describes novel pyrazole compounds of formula IIa: ##STR1##

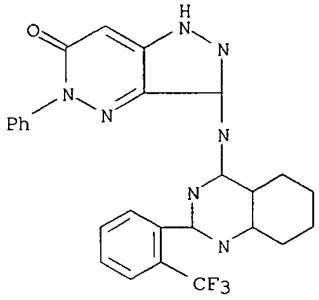
wherein R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**,

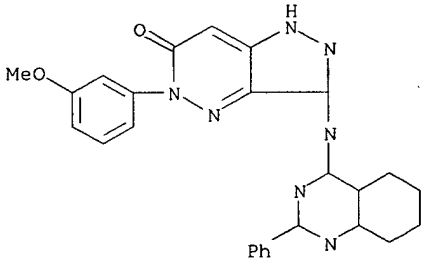
[5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



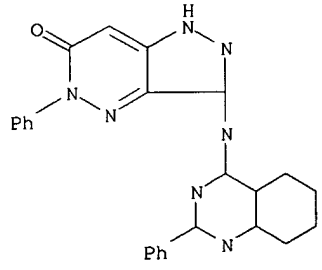
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



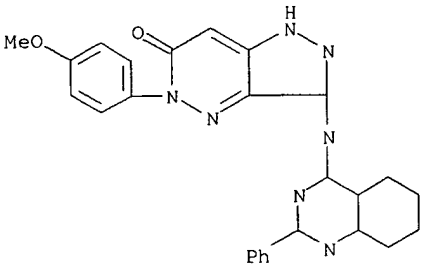
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

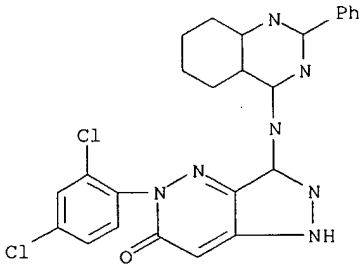
RN 404829-18-1 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

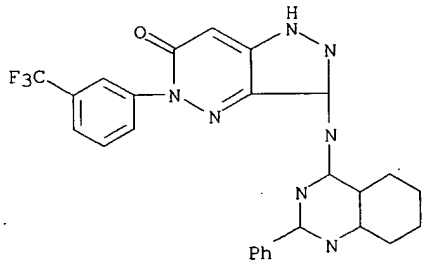
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

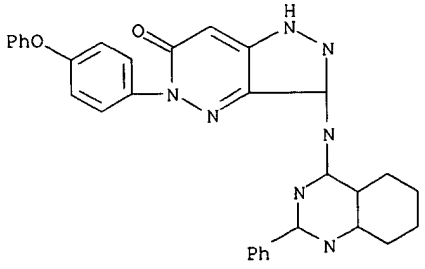
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

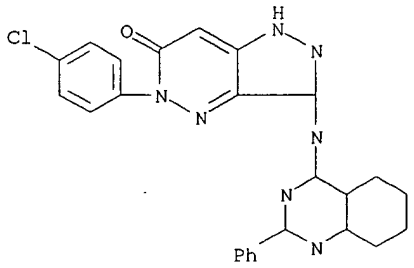
RN 404829-22-7 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

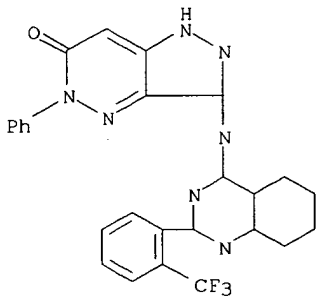
L21 ANSWER 14 OF 40 USPATFULL on STN
AN 2003:113425 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Davies, Robert, Arlington, MA, UNITED STATES
Bebbington, David, Newbury, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Wannamaker, Marion, Stow, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES
PI US-20030078166 A1 20030424
US-----6696452 B2 20040224
AI 2001US-000955601 A1 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646
CLMN Number of Claims: 34
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8804
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II:
##STR1##

wherein Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, and R.sup.2, R.sup.2', R.sup.x, and R.sup.y are as described in the specification. Ring C has an ortho substituent and is optionally substituted in the non-ortho positions. R.sup.2 and R.sup.2' are optionally taken together with their intervening atoms to form a fused ring system, such as an indazole ring; and R.sup.x and R.sup.y are optionally taken together with their intervening atoms to form a fused ring system, such as a quinazoline ring. The compounds are useful as protein kinase inhibitors, especially as inhibitors of GSK-3, for treating diseases such as diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**,

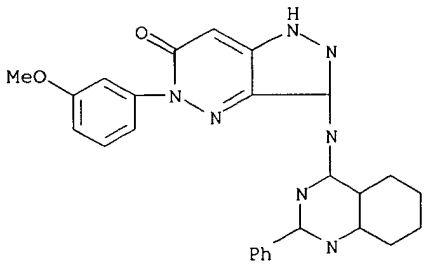
[6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



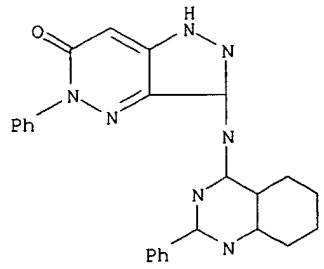
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

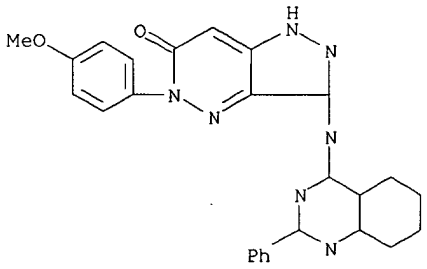
RN 404829-17-0 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA

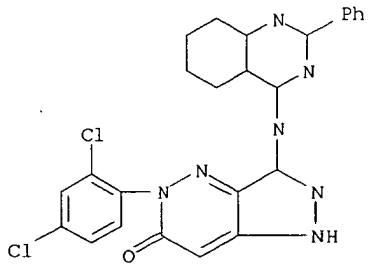
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

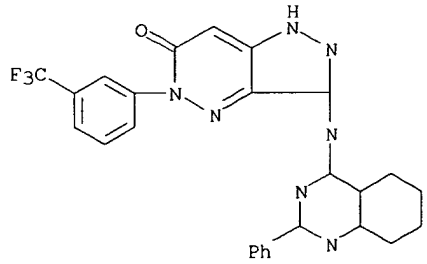
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

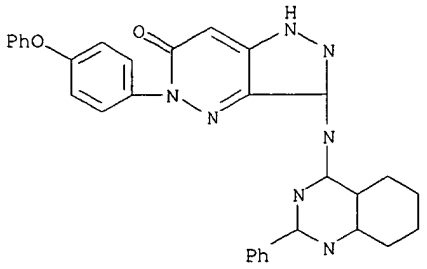
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

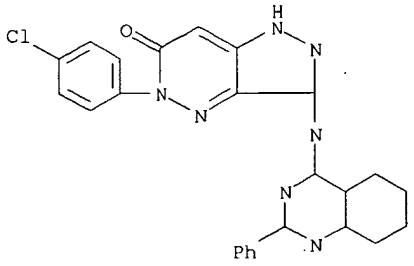
RN 404829-22-7 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 15 OF 40 USPATFULL on STN
AN 2003:106775 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury Berkshire, UNITED KINGDOM
Binch, Hayley, Harwell Oxon, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Golec, Julian, Ashbury, UNITED KINGDOM
Patel, Sanjay, Abingdom, UNITED KINGDOM
Charrier, Jean-Damien, Bishop's Itchington, UNITED KINGDOM
Kay, David, Church Path, UNITED KINGDOM
Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Wannamaker, Marion, Stow, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES
PI US-20030073687 A1 20030417
US-----6660731 B2 20031209
AI 2001US-000952671 A1 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646
CLMN Number of Claims: 25
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8698
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IV:
##STR1##

wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3, or taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered ring having

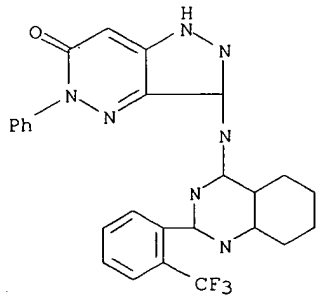
1-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen; and R.sup.2, R.sup.2, T, and R.sup.3 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL

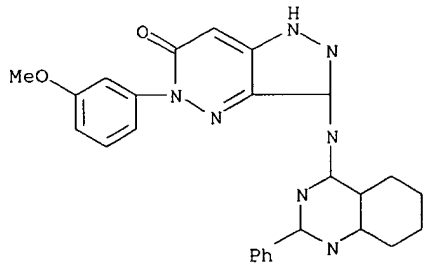
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL

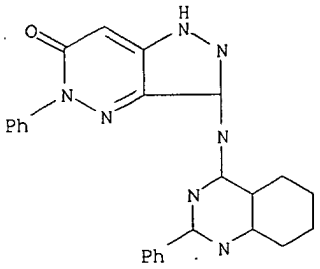
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

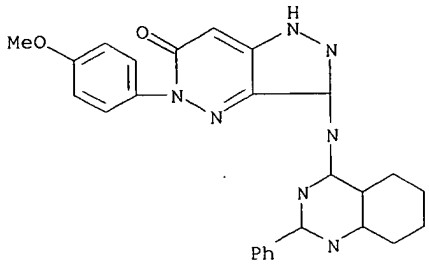
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

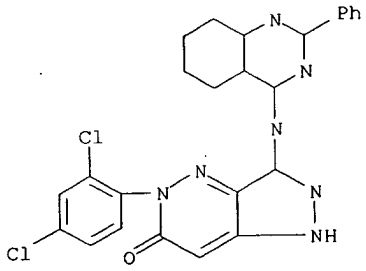
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

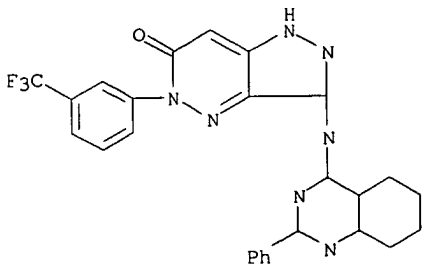
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

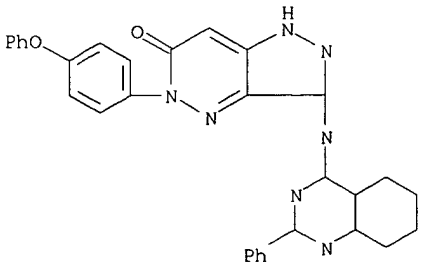
RN 404829-21-6 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



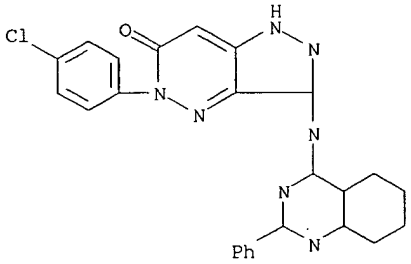
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 16 OF 40 USPATFULL on STN
AN 2003:93621 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
PI US-20030064982 A1 20030403
AI 2001US-000952875 A1 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646
CLMN Number of Claims: 25
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8570
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel protein kinase inhibitors of formula VII: ##STR1##

wherein G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.y is T-R.sup.3"; T is a valence bond or a C.sub.1-4 alkylidene chain; R.sup.3" is an optionally substituted group selected from C.sub.1-6 aliphatic, C.sub.3-10 carbocyclyl, C.sub.6-10 aryl, a heteroaryl ring having 5-10 ring atoms, or a heterocyclyl ring having 5-10 ring atoms; and R.sup.1, R.sup.2, and R.sup.2' are as

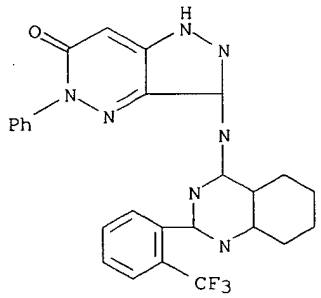
described in the specification. The protein kinase are useful for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL

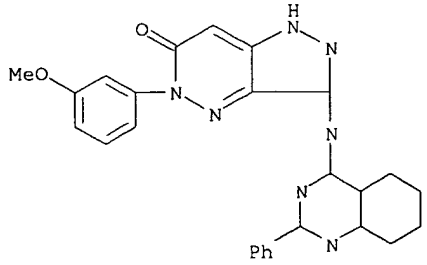
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL

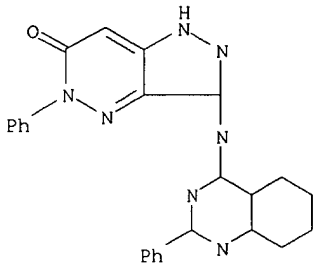
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

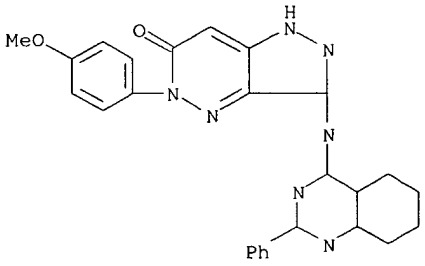
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

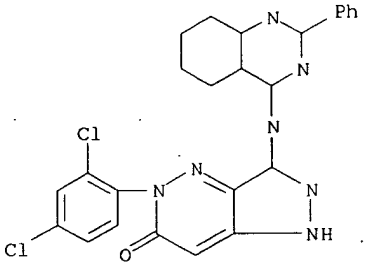
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

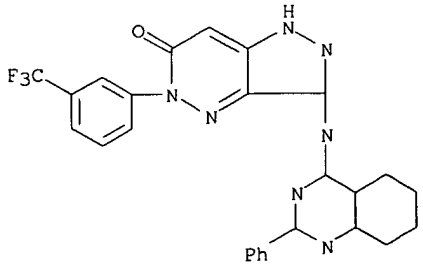
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

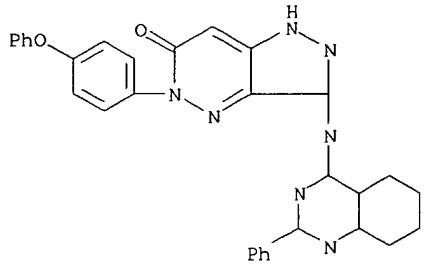
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

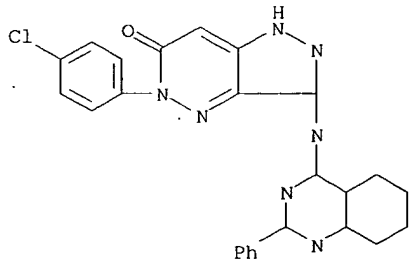
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 17 OF 40 USPATFULL on STN

AN 2003:93620 USPATFULL

TI Pyrazole compounds useful as protein kinase inhibitors

IN Knegtel, Ronald, Abingdom, UNITED KINGDOM
Bebbington, David, Newbury Berkshire, UNITED KINGDOM
Binch, Hayley, Oxon, UNITED KINGDOM
Golec, Julian, Swinden, UNITED KINGDOM
Patel, Sanjay, Oxon, UNITED KINGDOM
Charrier, Jean-Damien, Bishop's Itchington, UNITED KINGDOM
Kay, David, Purton Wiltshire, UNITED KINGDOM
Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Wannamaker, Marion, Stow, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES

PI US-20030064981 A1 20030403
US-----6613776 B2 20030902

AI 2001US-000952836 A1 20010914 (9) <--

PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--

DT Utility

FS APPLICATION

LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646

CLMN Number of Claims: 31

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 8962

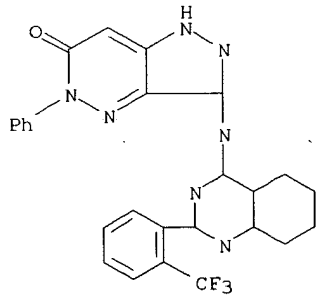
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention describes novel pyrazole compositions comprising a

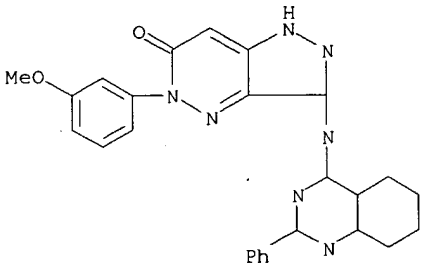
pharmaceutically acceptable carrier and a compound of formula V:
##STR1##

wherein Z.sup.1 is N, CR.sup.a, or CH, and Z.sup.2 is N or CH, provided one of Z.sup.1 and Z.sup.2 is nitrogen; G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T--R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused ring; and R.sup.1, R.sup.2, R.sup.2', R.sup.3, and T are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



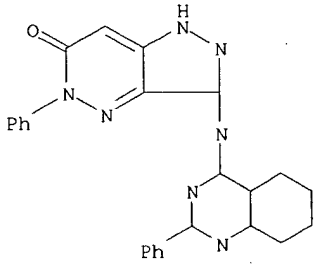
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

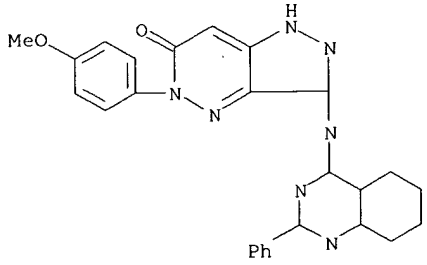
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

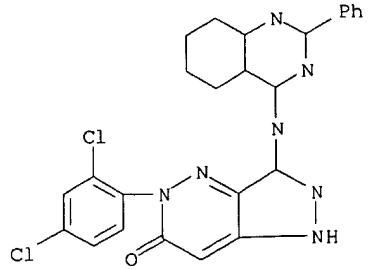
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

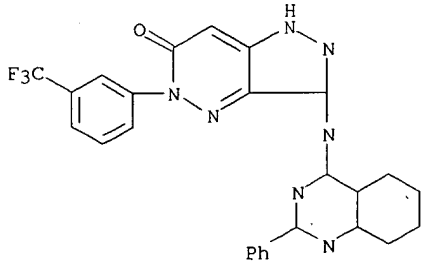
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



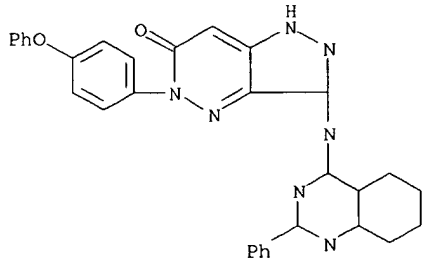
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



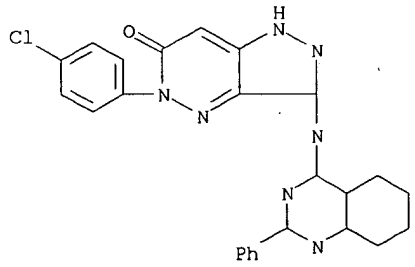
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



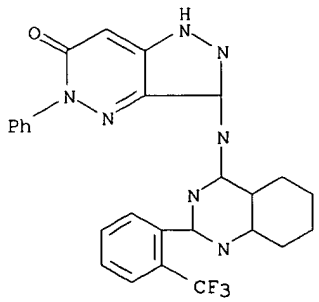
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 18 OF 40 USPATFULL on STN
AN 2003:79141 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Davies, Robert, Arlington, MA, UNITED STATES
Everitt, Simon, Beaconsfield, UNITED KINGDOM
Kay, David, Purton, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Patel, Sanjay, Abingdon, UNITED KINGDOM
PI US-20030055068 A1 20030320

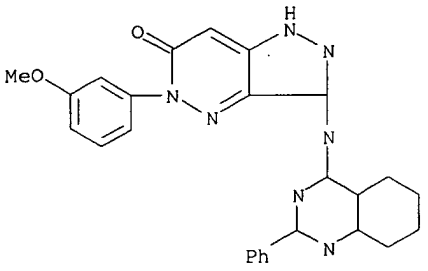
US-----6989385 B2 20060124
AI 2001US-000026967 A1 20011219 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP Tina Powers, VERTEX PHARMACEUTICALS INC., 130 Waverly Street, Cambridge, MA, 02139-4242
CLMN Number of Claims: 39
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8979
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IIc: ##STR1##

wherein R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



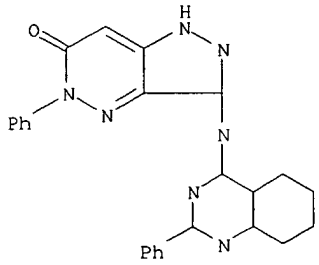
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

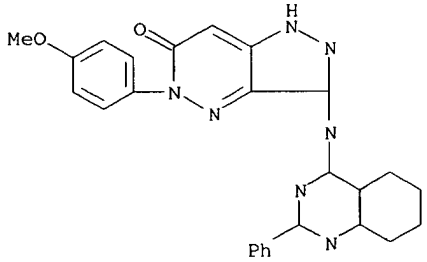
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

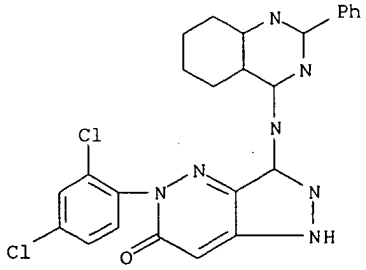
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

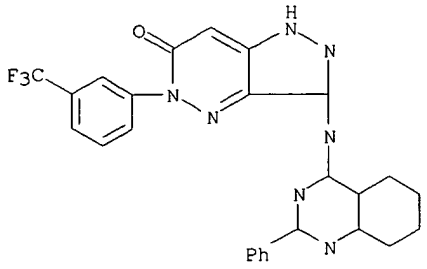
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

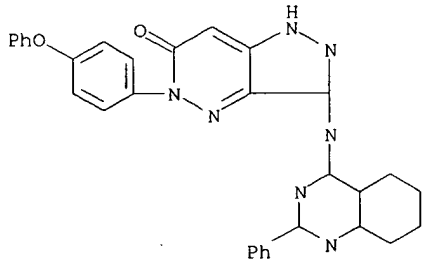
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

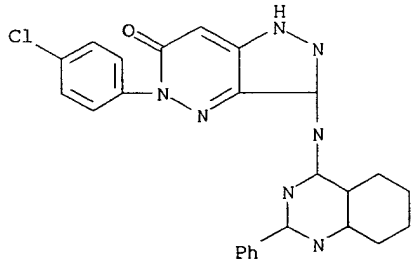
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 19 OF 40 USPATFULL on STN

AN 2003:79117 USPATFULL

TI Pyrazole compounds useful as protein kinase inhibitors

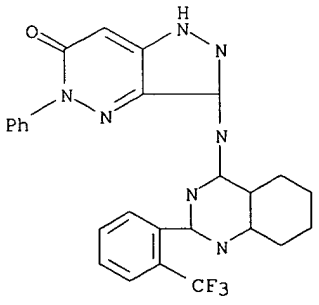
IN Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Golec, Julian, Ashbury, UNITED KINGDOM

PI	US-20030055044	A1	20030320	
	US-----6638926	B2	20031028	
AI	2001US-000953505	A1	20010914 (9)	<--
PRAI	2000US-000232795P		20000915 (60)	<--
	2000US-000257887P		20001221 (60)	<--
	2001US-000286949P		20010427 (60)	<--

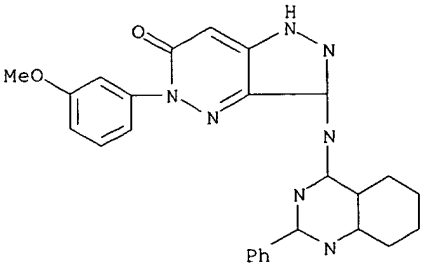
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646
CLMN Number of Claims: 58
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 9881
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention provides novel pyrazole compounds that are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3. The compounds may be used to treat abnormal physiological function leading to diseases such as cancer, diabetes and Alzheimer's disease. The compounds are represented by formula VI: ##STR1##

wherein G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.y is T--R.sup.3'; T is a valence bond or a C.sub.1-4 alkylidene chain; R.sup.3 is an optionally substituted group selected from C.sub.1-6 aliphatic, C.sub.3-10 carbocyclyl, C.sub.6-10 aryl, a heteroaryl ring having 5-10 ring atoms, or a heterocyclyl ring having 5-10 ring atoms; and R.sup.1, R.sup.2, and R.sup.' are as described in the specification.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



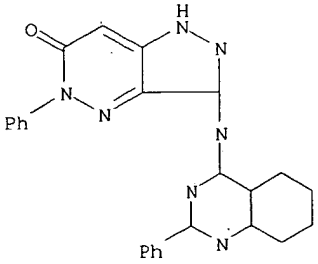
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

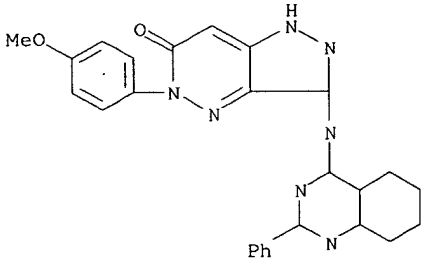
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

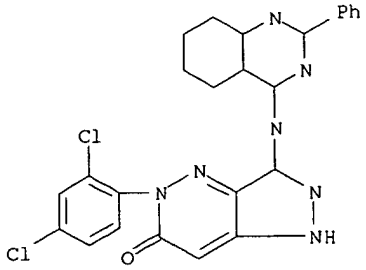
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

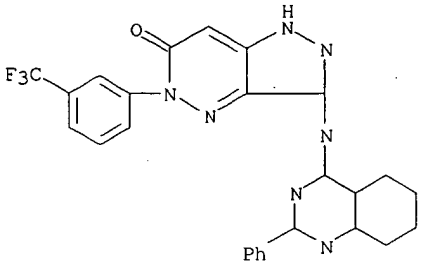
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



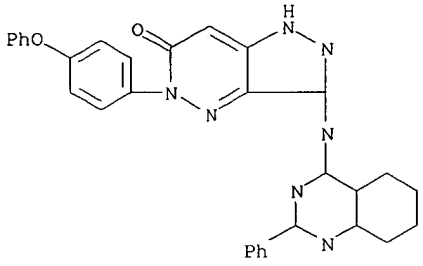
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



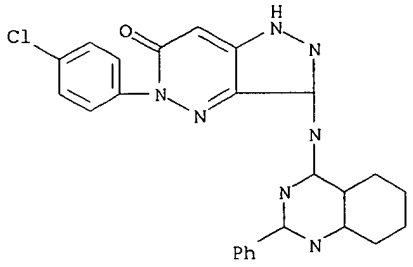
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



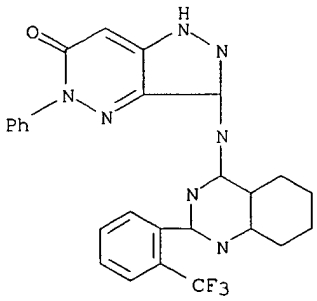
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 20 OF 40 USPATFULL on STN
AN 2003:51585 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Miller, Andrew, Didcot, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
PI US-20030036543 A1 20030220
US-----6664247 B2 20031216
AI 2001US-000025164 A1 20011219 (10) <--

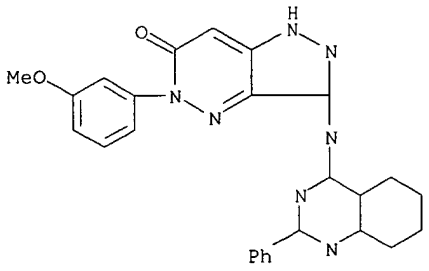
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP Tina Powers, VERTEX PHARMACEUTICALS INC., 130 Waverly Street, Cambridge, MA, 02139-4242
CLMN Number of Claims: 28
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8794
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IIIa: ##STR1##

Wherein R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.X, R.sup.y, R.sup.2, and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



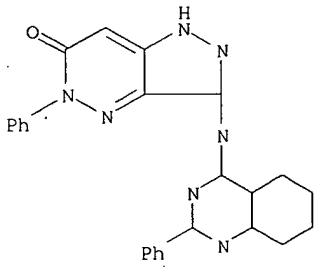
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

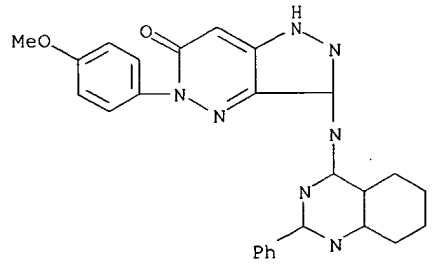
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

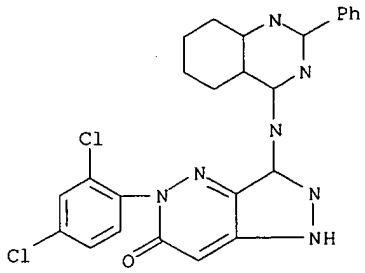
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

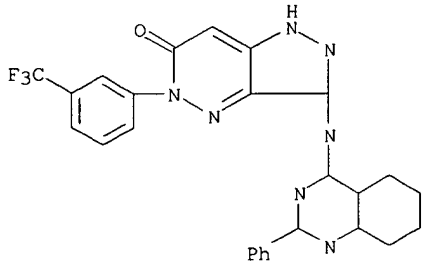
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



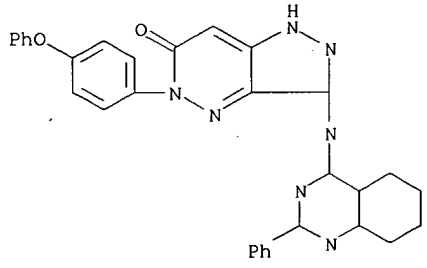
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-(3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



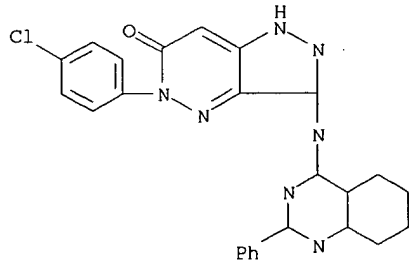
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



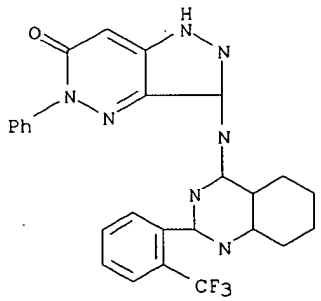
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 21 OF 40 USPATFULL on STN
AN 2003:30936 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Pierard, Francoise, Drayton, UNITED KINGDOM
PI US-20030022885 A1 20030130 <--
US-----6727251 B2 20040427
AI 2001US-000034019 A1 20011220 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--

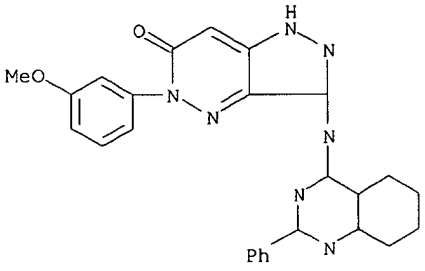
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP Tina Powers, VERTEX PHARMACEUTICALS INC., 130 Waverly Street, Cambridge, MA, 02139-4242
CLMN Number of Claims: 31
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2271
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II:
##STR1##

wherein Z.sup.1 is nitrogen or CR.sup.8; Q is --S--, --O--, --N(R.sup.4)--, or --CH(R.sup.6)--; R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.y, R.sup.2, and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



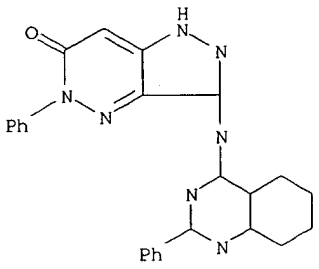
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

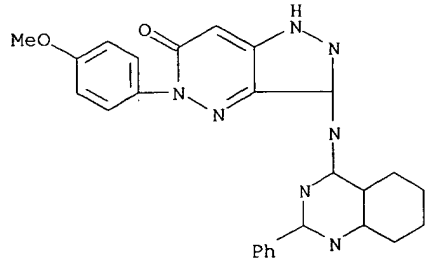
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

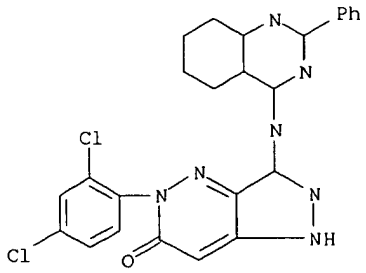
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

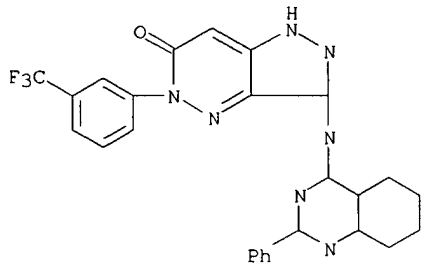
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



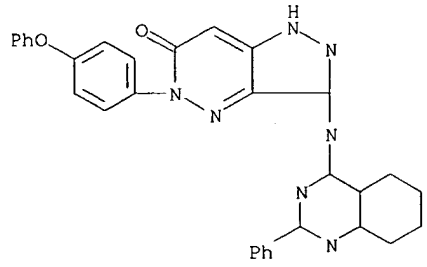
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



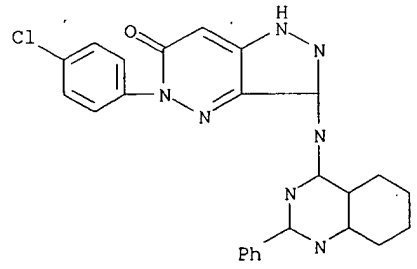
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 22 OF 40 USPATFULL on STN
AN 2003:4125 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM

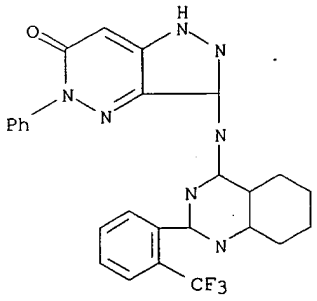
PI	US-20030004164	A1	20030102	<--
	US-----6656939	B2	20031202	
AI	2001US-000034683	A1	20011220 (10)	<--
PRAI	2000US-000257887P		20001221 (60)	<--
	2001US-000286949P		20010427 (60)	<--

DT Utility

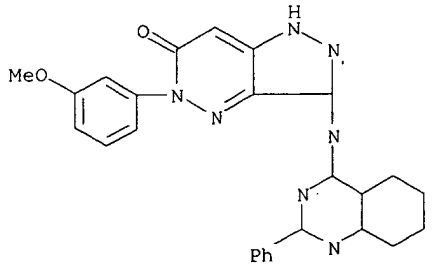
FS APPLICATION
LREP Tina Powers, VERTEX PHARMACEUTICALS INC., 130 Waverly Street, Cambridge, MA, 02139-4242
CLMN Number of Claims: 26
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2215
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula III: ##STR1##

wherein Z.sup.1, Z.sup.2, and Z.sup.3 are as described in the specification; Q is --S--, --O--, --N(R.sup.4)--, or --CH(R.sup.6)--; R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



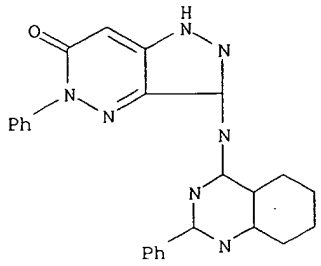
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

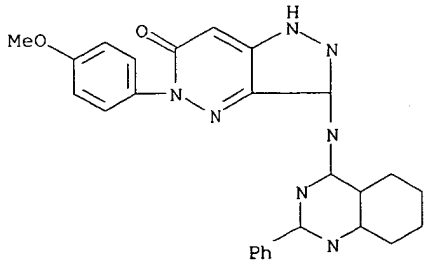
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

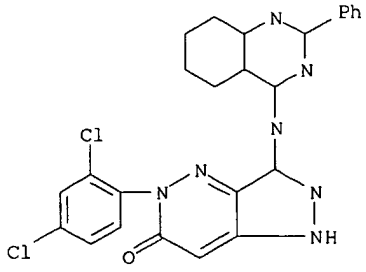
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

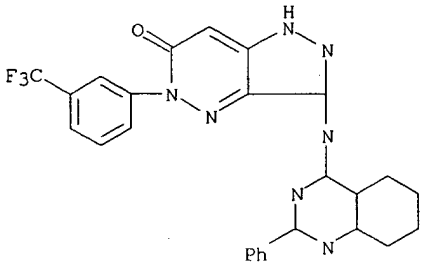
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



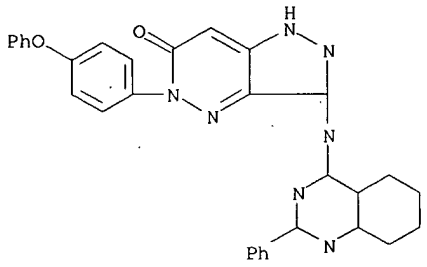
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



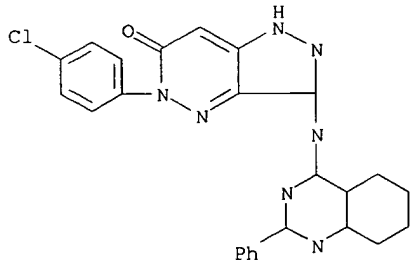
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



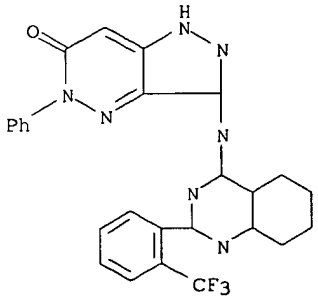
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 23 OF 40 USPATFULL on STN
AN 2003:4122 USPATFULL
TI Pyrazole compounds useful as protein kinase inhititors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jeań-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Green, Jeremy, Burlington, MA, UNITED STATES
Kay, David, Wiltshire, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Miller, Andrew, Upton Didcot, UNITED KINGDOM
Tomlison, Ronald, Marlborough, MA, UNITED STATES

Li, Pan, Arlington, MA, UNITED STATES
PI US-20030004161 A1 20030102 <--
US-----6653300 B2 20031125
AI 2001US-000026975 A1 20011219 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646
CLMN Number of Claims: 43
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 9244
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula I':
##STR1##

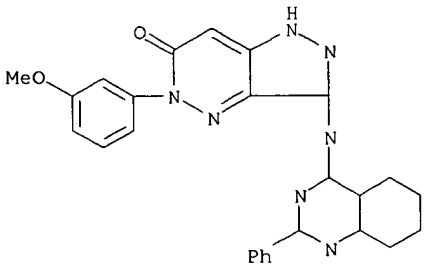
wherein Q' is --O--, --C(R.sup.6').sub.2--, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclopropanediyl, and R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3 or L-Z-R.sup.3 or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL

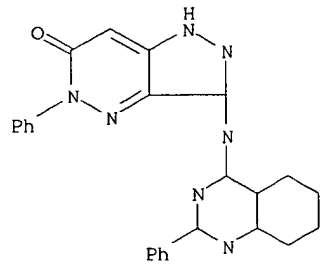
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

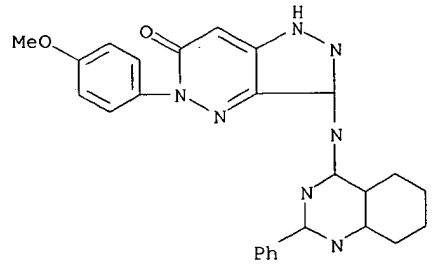
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

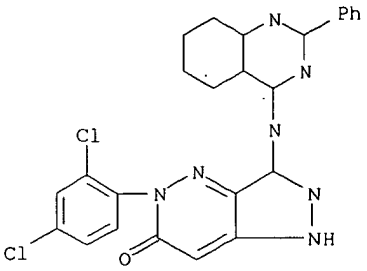
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

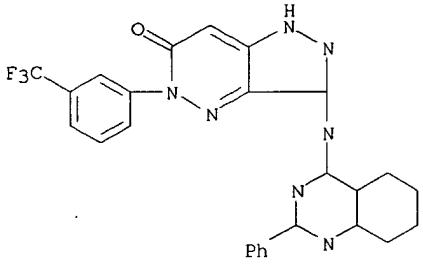
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

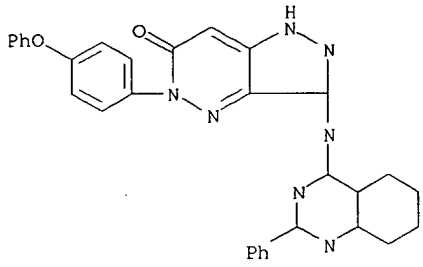
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

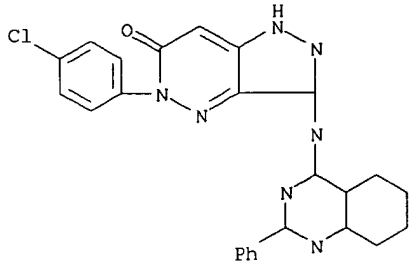
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)

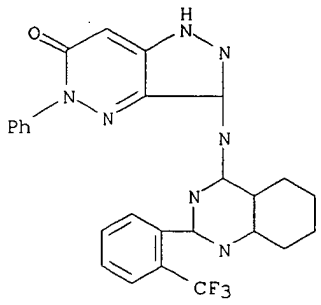


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 24 OF 40 USPAT2 on STN
AN 2005:5004 USPAT2
TI Pyrazolylamine substituted quinazoline compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Golec, Julian M. C., Swinden, UNITED KINGDOM
Patel, Sanjay, Abingdon, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Kay, David, Purton, UNITED KINGDOM
Davies, Robert J., Arlington, MA, UNITED STATES
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, UNITED STATES (U.S. corporation)
PI US-----7098330 B2 20060829
AI 2001US-000952878 20010914 (9) <--
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
2000US-000232795P 20000915 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: McKenzie, Thomas C.
LREP Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 15
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8192
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula III:

##STR1## wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered carbocyclo ring; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

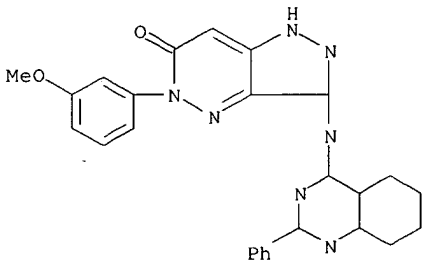
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

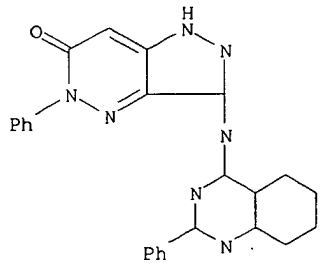
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

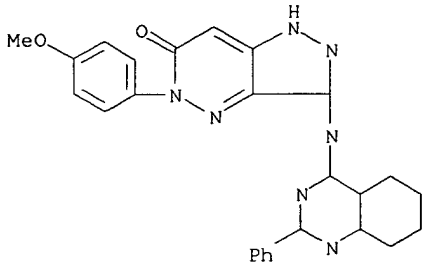
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

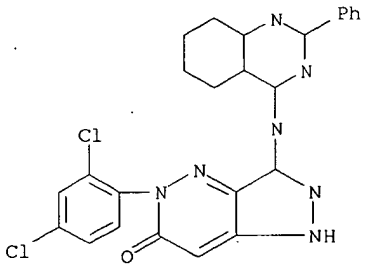
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

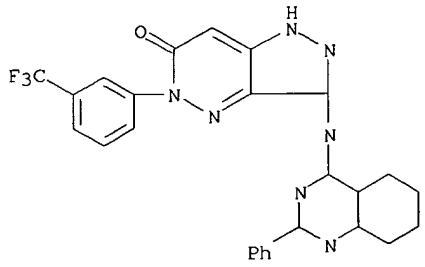
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

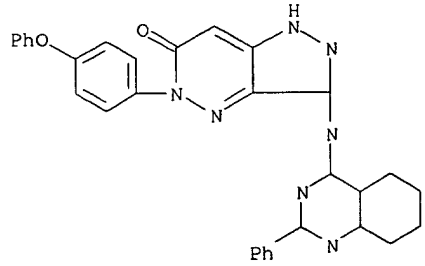
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2

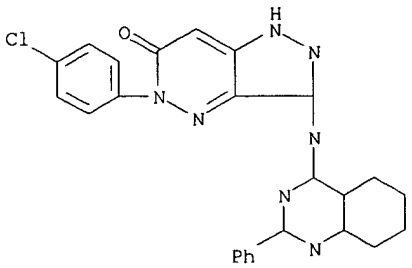
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 25 OF 40 USPAT2 on STN

AN 2004:286776 USPAT2

TI Fused pyrimidyl pyrazole compounds useful as protein kinase inhibitors

IN Bebbington, David, Newbury, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Patel, Sanjay, Abingdon, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Kay, David, Purton, UNITED KINGDOM
Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Wannamaker, Marion, Stow, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES

PA Vertex Pharmaceuticals, Incorporated, Cambridge, MA, UNITED STATES (U.S. corporation)

PI US-----7008948 B2 20060307

AI 2003US-000624800 20030722 (10)

RLI Division of Ser. No. 2001US-000952671, filed on 14 Sep 2001, Pat. No. US-----6660731

PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
2000US-000232795P 20000915 (60) <--

DT Utility

FS GRANTED

EXNAM Primary Examiner: McKenzie, Thomas C.

LREP Dixon, Lisa A.

CLMN Number of Claims: 16

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 8282

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

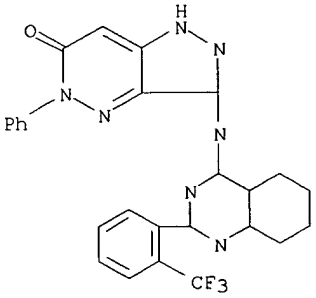
AB This invention describes novel pyrazole compounds of formula IV: ##STR1## wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3, or taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered ring having 1-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen; and R.sup.2, R.sup.2', T, and R.sup.3 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine
404829-16-9P, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
404829-17-0P, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-

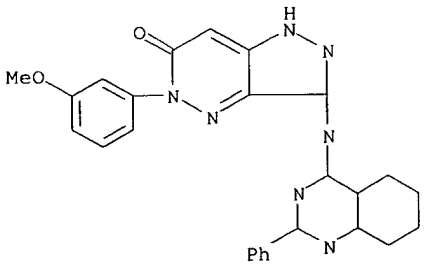
c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**,
[5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**,
[5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**,
[6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**,
[6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**,
[5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



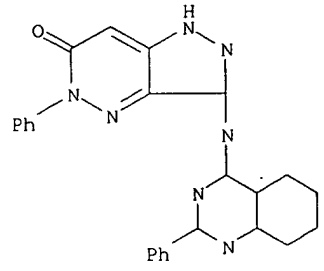
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

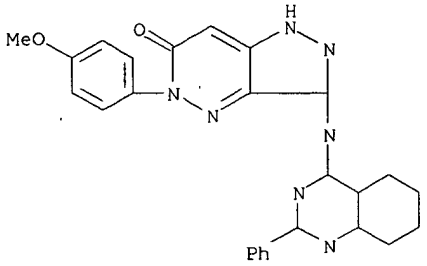
RN 404829-17-0 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

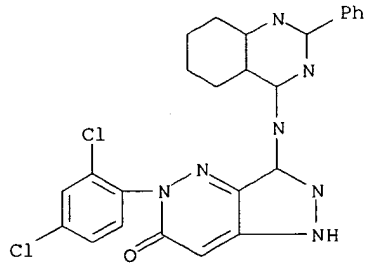
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

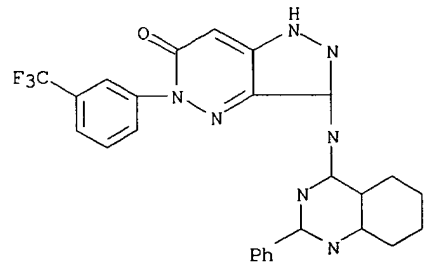
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

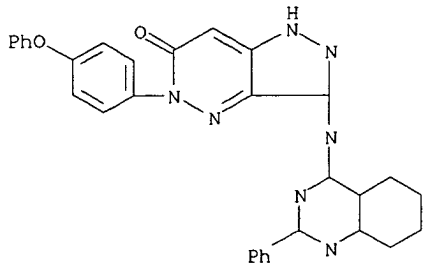
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

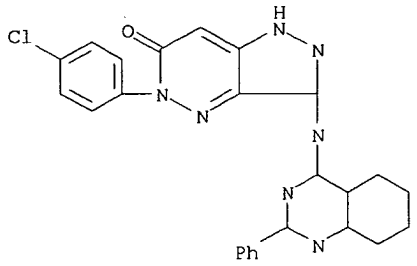
RN 404829-22-7 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

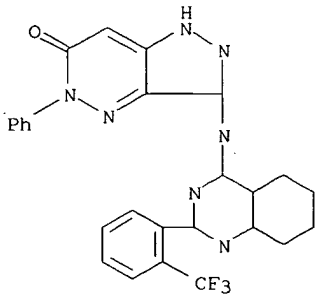
L21 ANSWER 26 OF 40 USPAT2 on STN
AN 2004:216032 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Pierard, Fran.cedilla.oise, Drayton, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, UNITED STATES (U.S. corporation)
PI US-----7427681 B2 20080923
AI 2004US-000775699 20040210 (10)
RLI Division of Ser. No. 2001US-000034019, filed on 20 Dec 2001, Pat. No. US-----6727251
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Wilson, James O.; Assistant Examiner: Truong, Tamthom N
LREP Chung, H. Joon
CLMN Number of Claims: 10
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2405
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II:

##STR1## wherein Z.sup.1 is nitrogen or CR.sup.8; Q is --S--, --O--, --N(R.sup.4)--, or --CH(R.sup.6)--; R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.y, R.sup.2, and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-

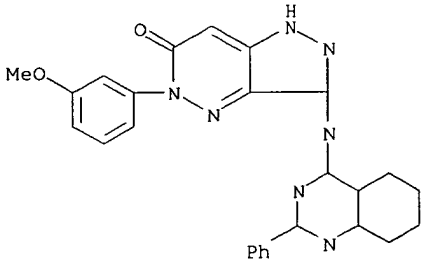
c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



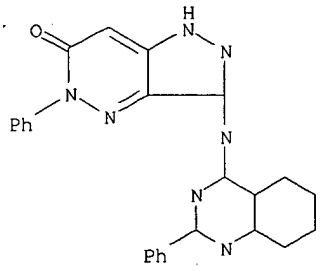
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

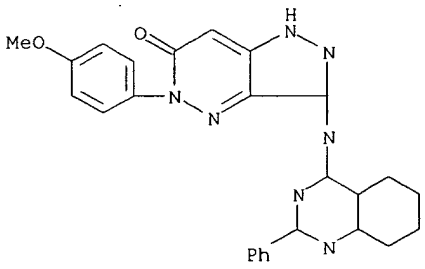
RN 404829-17-0 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

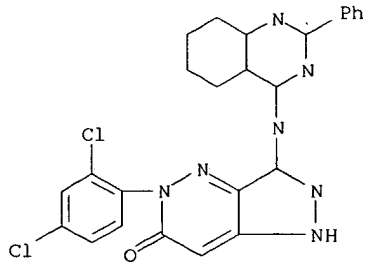
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

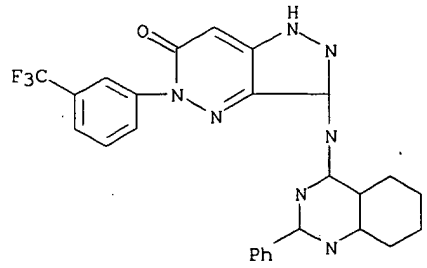
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

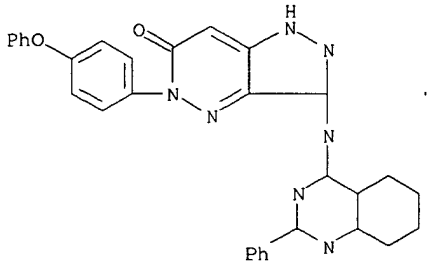
RN 404829-21-6 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



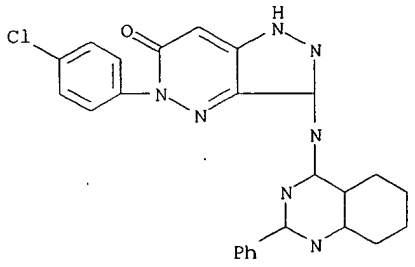
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 27 OF 40 USPAT2 on STN
AN 2004:172617 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, UNITED STATES (U.S. corporation)
PI US-----7087603 B2 20060808
AI 2003US-000736426 20031215 (10)
RLI Continuation of Ser. No. 2001US-000026966, filed on 19 Dec 2001, ABANDONED
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Habte, Kahsay
LREP Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 14
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8415
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IV:

##STR1## wherein Z.sup.1 or Z.sup.2 is nitrogen, Q is --S--, --O--, --N(R.sup.4)--, --C(R.sup.6').sub.2--, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, and R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T--R.sup.3 or L--Z--R.sup.3, or R.sup.x and R.sup.y are taken together

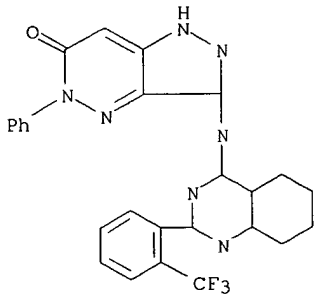
with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2

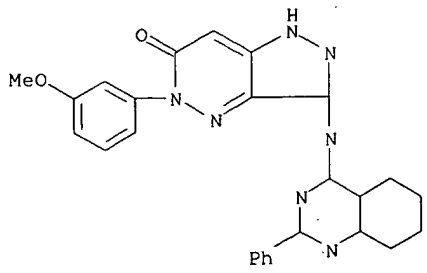
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

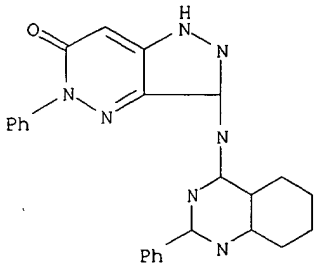
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

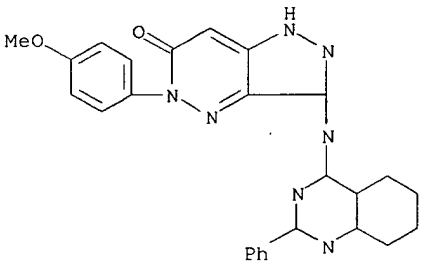
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

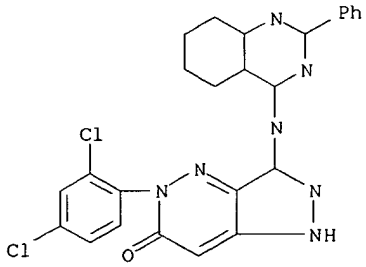
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

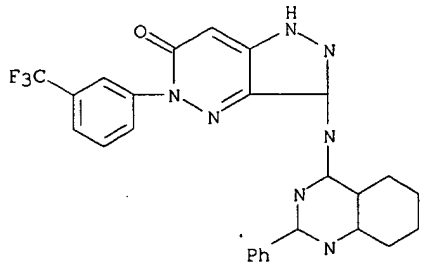
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



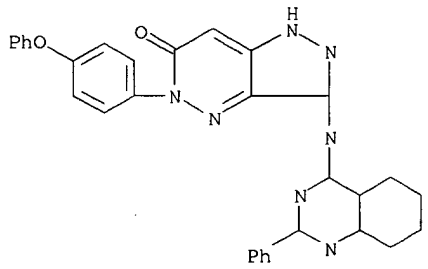
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

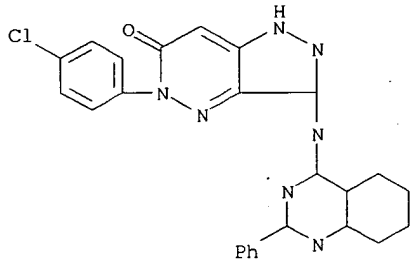
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 28 OF 40 USPAT2 on STN
AN 2004:152232 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Davies, Robert, Arlington, MA, UNITED STATES
Bebbington, David, Newbury, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Wannamaker, Marion, Stow, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, UNITED STATES (U.S. corporation)
PI US-----7390815 B2 20080624
AI 2003US-000692355 20031023 (10)
RLI Division of Ser. No. 2001US-000955601, filed on 14 Sep 2001, Pat. No. US-----6696452
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Habte, Kahsay
LREP Che, Jennifer G.
CLMN Number of Claims: 17
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8330
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II:

##STR1## wherein Ring C is selected from a phenyl, pyridinyl,

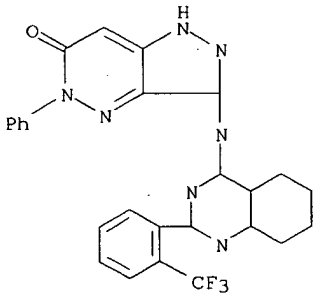
pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, and R.sup.2, R.sup.2', R.sup.x, and R.sup.y are as described in the specification. Ring C has an ortho substituent and is optionally substituted in the non-ortho positions. R.sup.2 and R.sup.2' are optionally taken together with their intervening atoms to form a fused ring system, such as an indazole ring; and R.sup.x and R.sup.y are optionally taken together with their intervening atoms to form a fused ring system, such as a quinazoline ring. The compounds are useful as protein kinase inhibitors, especially as inhibitors of GSK-3, for treating diseases such as diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2

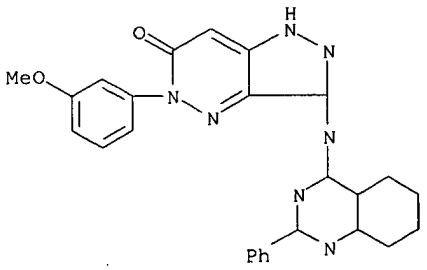
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

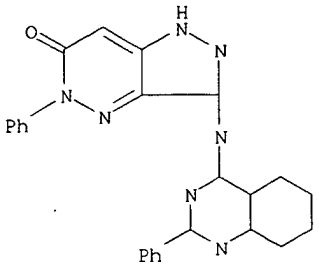
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

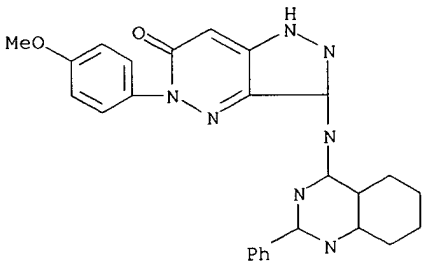
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

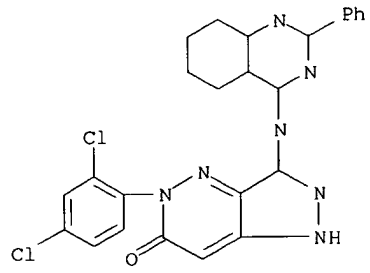
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

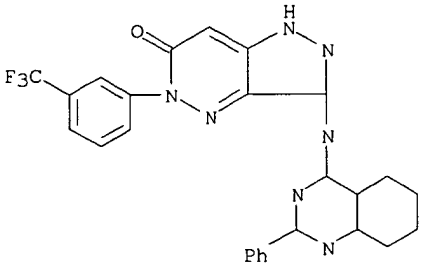
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

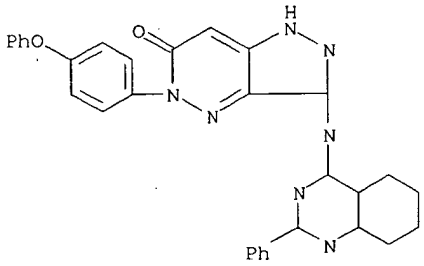
RN 404829-21-6 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



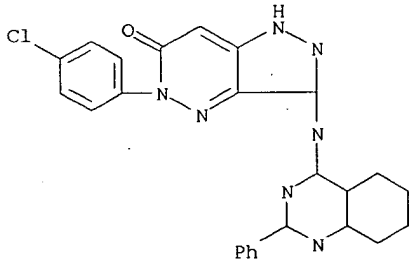
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



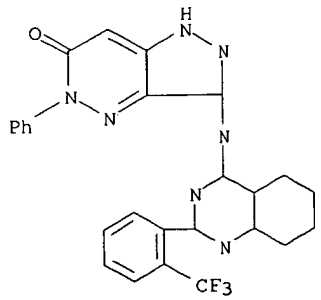
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 29 OF 40 USPAT2 on STN
AN 2004:127517 USPAT2
TI Triazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Golec, Julian M. C., Faringdon, UNITED KINGDOM
Li, Pan, Arlington, MA, UNITED STATES
Charier, Jean-Damien, Wantage, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, UNITED STATES (U.S. corporation)
PI US-----7115739 B2 20061003
AI 2001US-000953471 20010914 (9) <--
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
2000US-000232795P 20000915 (60) <--
DT Utility
FS GRANTED

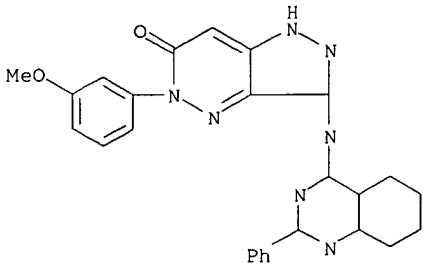
EXNAM Primary Examiner: McKenzie, Thomas C.
LREP Dixon, Lisa A., Che, Jennifer G., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 18
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8169
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel triazole compounds of formula IX:

##STR1## wherein Z.sup.1 is nitrogen or CR.sup.9 and Z.sup.2 is nitrogen or CH, provided that at least one of Z.sup.1 and Z.sup.2 is nitrogen; G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused ring; R.sup.1, R.sup.3, and T are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of GSK-3 and Aurora, for treating diseases such as diabetes, cancer, and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



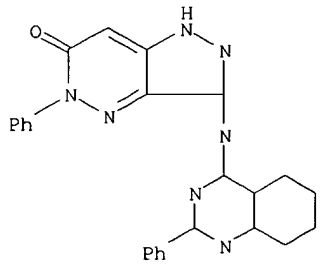
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

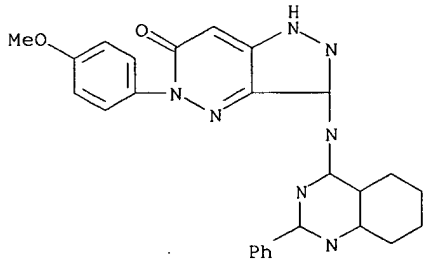
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

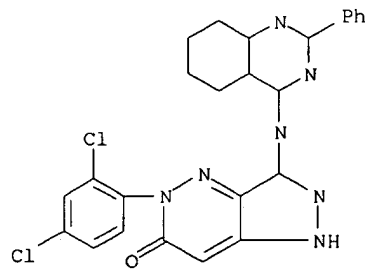
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

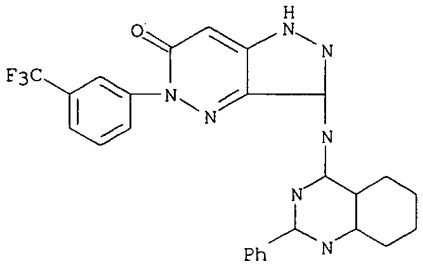
RN 404829-19-2 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



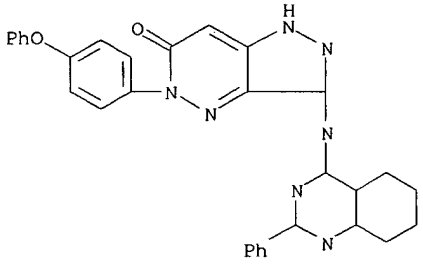
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



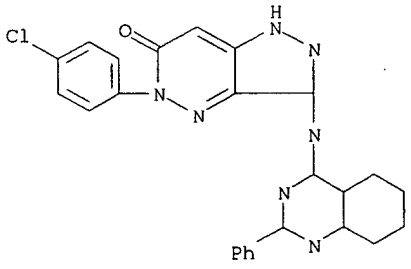
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



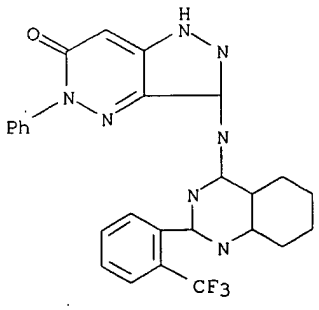
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 30 OF 40 USPAT2 on STN
AN 2003:120843 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Davies, Robert, Arlington, MA, United States
Li, Pan, Arlington, MA, United States
Golec, Julian M. C., Swindon, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Knegtel, Ronald, Abingdom Oxon, UNITED KINGDOM
Bebbington, David, Newbury, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)

PI US-----6610677 B2 20030826
AI 2001US-000952833 20010914 (9) <--
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
2000US-000232795P 20000915 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: McKenzie, Thomas C
LREP Robidoux, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 16
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8363
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compositions comprising a pharmaceutically acceptable carrier and a compound of formula VIII: ##STR1##

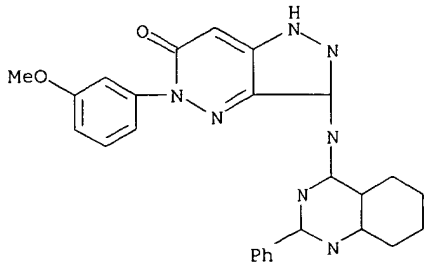
wherein Z.sup.1 is N or C--R.sup.9, Z.sup.2 is N or CH, and Z.sup.3 is N or C--R.sup.x, provided that one of Z.sup.1 and Z.sup.3 is nitrogen; G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.x, R.sup.1, R.sup.2, R.sup.2', R.sup.3, and R.sup.9 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)

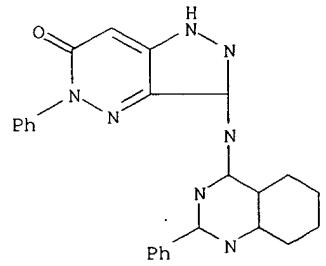


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

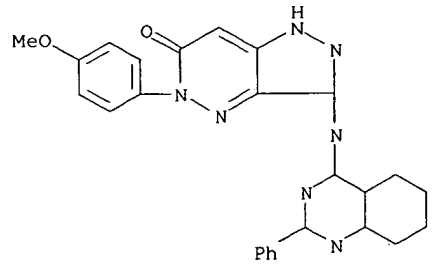
RN 404829-16-9 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



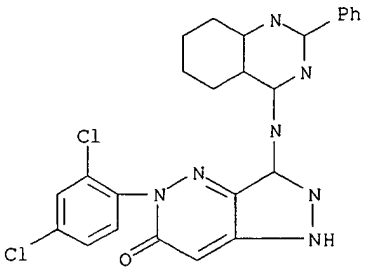
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-17-0 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-18-1 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



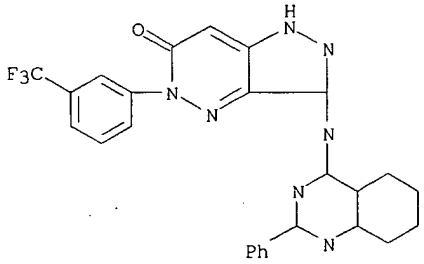
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-19-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

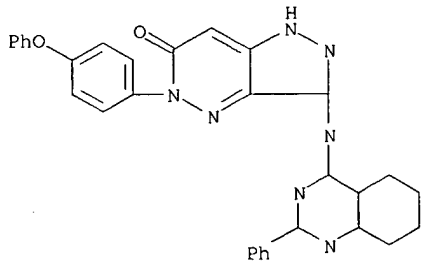
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2

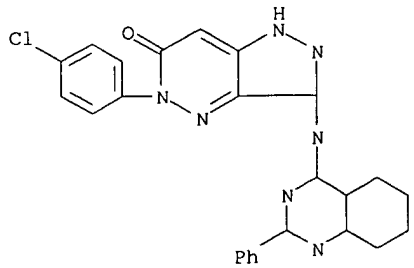
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)

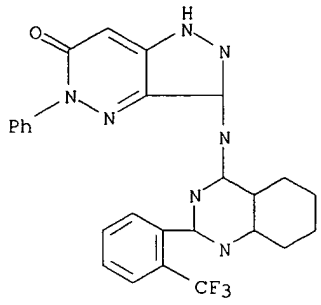


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 31 OF 40 USPAT2 on STN
AN 2003:113534 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Davies, Robert, Arlington, MA, United States
Golec, Julian M. C., Swindon, UNITED KINGDOM
Kay, David, Purton, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Patel, Sanjay, Abingdon, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6653301 B2 20031125
AI 2001US-000027001 20011219 (10) <--
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Patel, Sudhaker B.
LREP Robidoux, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 26
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8765
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IIa:
##STR1##

wherein R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

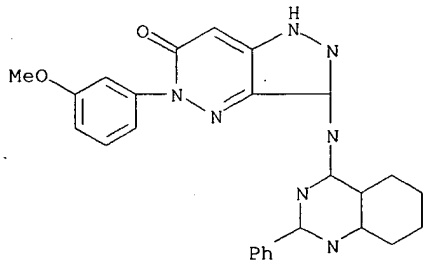
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

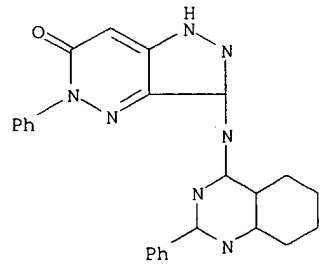
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

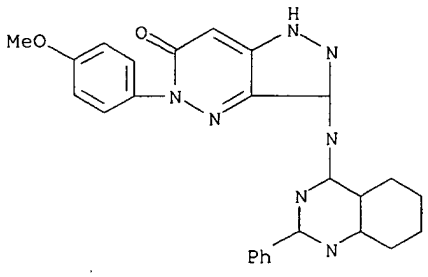
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



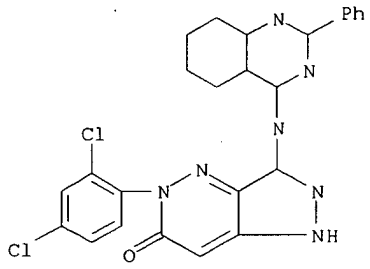
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

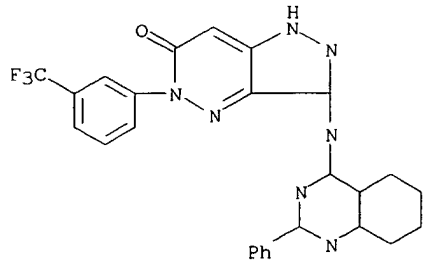
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



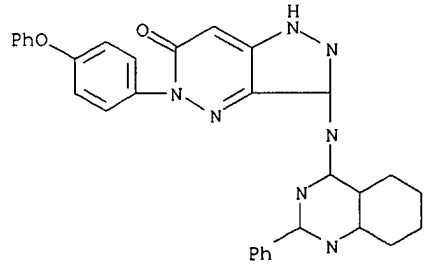
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-19-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-
(CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-21-6 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)

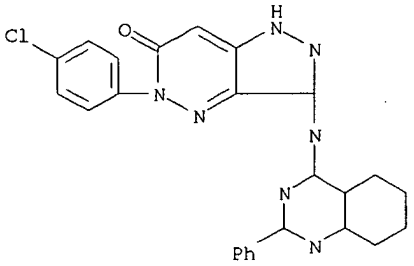


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

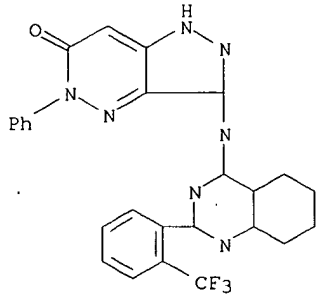
L21 ANSWER 32 OF 40 USPAT2 on STN
AN 2003:113425 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Davies, Robert, Arlington, MA, United States
Bebbington, David, Newbury, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Wannamaker, Marion, Stow, MA, United States
Li, Pan, Arlington, MA, United States
Forster, Cornelia, Pelham, NH, United States
Pierce, Albert, Somerville, MA, United States
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6696452 B2 20040224
AI 2001US-000955601 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Berch, Mark L.; Assistant Examiner: Habte, Kahsay
LREP Robidoux, Andrea L.C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 21
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8476
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II:
##STR1##

wherein Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, and R.sup.2, R.sup.2', R.sup.x, and R.sup.y are as described in the specification. Ring C has an ortho substituent and is optionally substituted in the non-ortho positions. R.sup.2 and R.sup.2' are optionally taken together with their intervening atoms to form a fused ring system, such as an indazole ring; and R.sup.x and R.sup.y are optionally taken together with their intervening atoms to form a fused ring system, such as a quinazoline ring. The compounds are useful as protein kinase inhibitors, especially as inhibitors of GSK-3, for treating diseases such as diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-

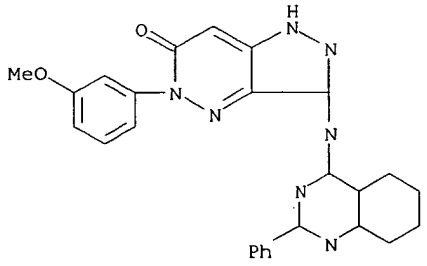
yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**,
[6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**,
[6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**,
[5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



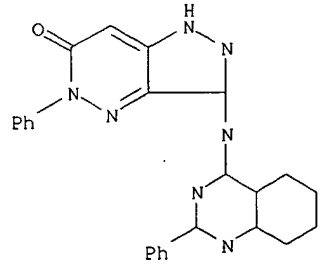
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

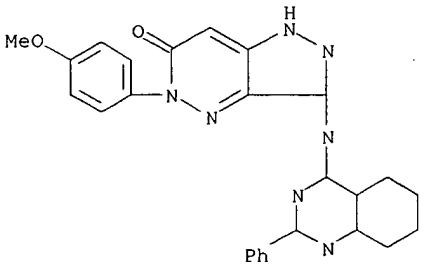
RN 404829-17-0 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,

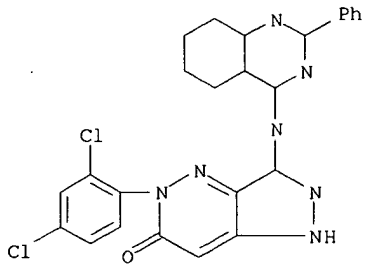
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

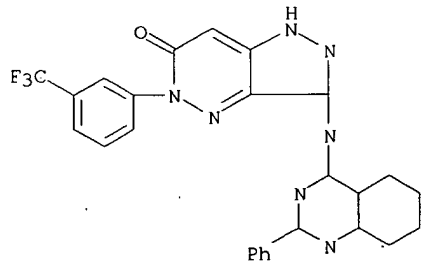
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

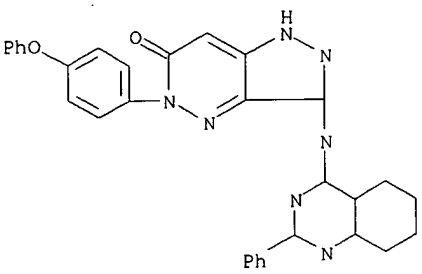
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

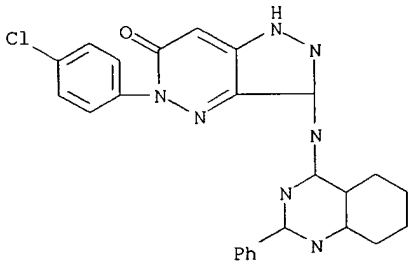
RN 404829-22-7 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 33 OF 40 USPAT2 on STN
AN 2003:106775 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury Berkshire, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Golec, Julian, Swinden, UNITED KINGDOM
Patel, Sanjay, Abingdom, UNITED KINGDOM
Charrier, Jean- Damien, Southam, UNITED KINGDOM
Kay, David, 4 Church Path, UNITED KINGDOM
Davies, Robert, Arlington, MA, United States
Li, Pan, Arlington, MA, United States
Wannamaker, Marion, Stow, MA, United States
Forster, Cornelia, Pelham, NH, United States
Pierce, Albert, Somerville, MA, United States
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6660731 B2 20031209
AI 2001US-000952671 20010914 (9) <--
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
2000US-000232795P 20000915 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: McKenzie, Thomas C
LREP Robidoux, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 15
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8222
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IV: ##STR1##

wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from

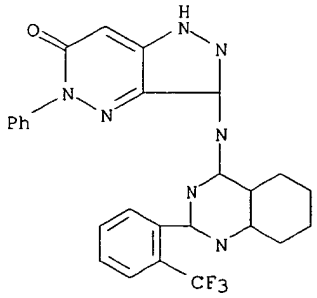
T-R.sup.3, or taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered ring having 1-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen; and R.sup.2, R.sup.2, T, and R.sup.3 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2

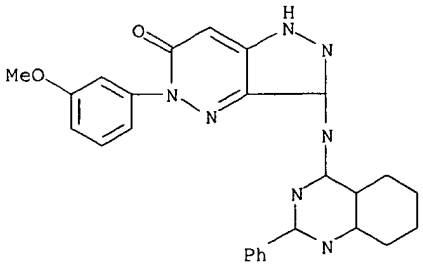
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

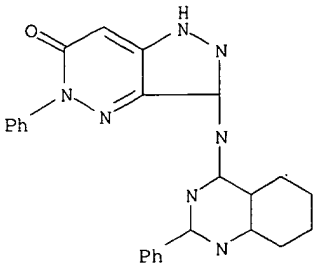
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

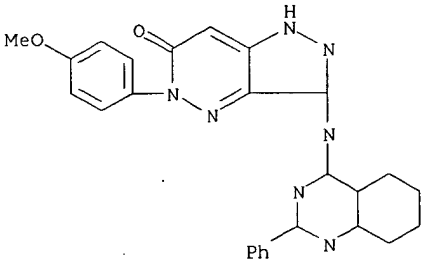
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

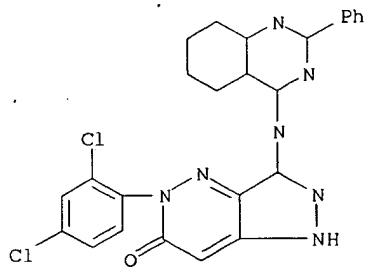
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

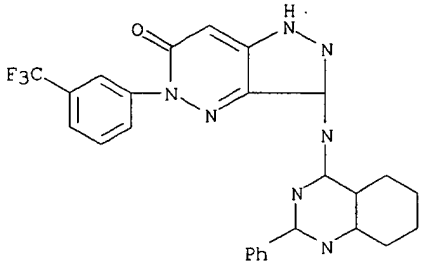
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

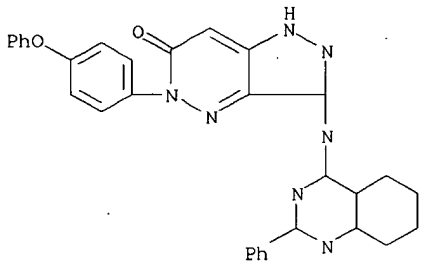
RN 404829-21-6 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



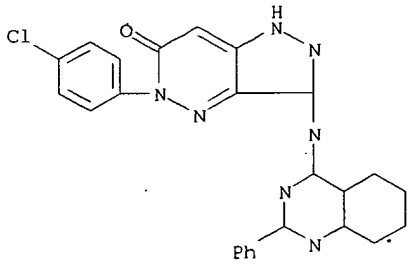
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



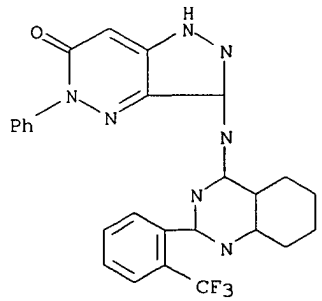
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 34 OF 40 USPAT2 on STN
AN 2003:93620 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Knegtel, Ronald, Abingdom, UNITED KINGDOM
Bebbington, David, Newbury Berkshire, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Golec, Julian, Swinden, UNITED KINGDOM
Patel, Sanjay, Abingdom, UNITED KINGDOM
Charrier, Jean-Damien, Bishop's Itchington, UNITED KINGDOM
Kay, David, Purton Wiltshire, UNITED KINGDOM
Davies, Robert, Arlington, MA, United States
Li, Pan, Arlington, MA, United States
Wannamaker, Marion, Stow, MA, United States
Forster, Cornelia, Pelham, NH, United States
Pierce, Albert, Somerville, MA, United States
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6613776 B2 20030902

AI 2001US-000952836 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: Balasubramanian, Venkataraman
LREP Shair, Karoline K.M., Robidoux, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 28
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8825
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compositions comprising a pharmaceutically acceptable carrier and a compound of formula V: ##STR1##

wherein Z.sup.1 is N, CR.sup.a, or CH, and Z.sup.2 is N or CH, provided one of Z.sup.1 and Z.sup.2 is nitrogen; G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T--R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused ring; and R.sup.1, R.sup.2, R.sup.2', R.sup.3, and T are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

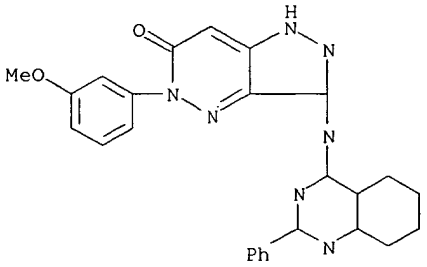
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

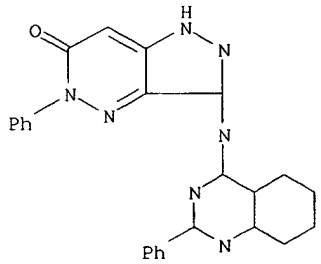
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

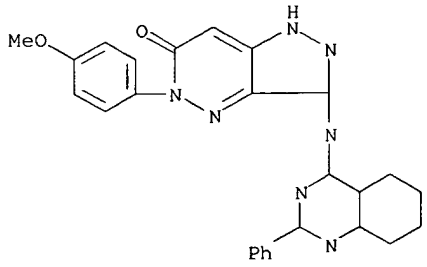
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

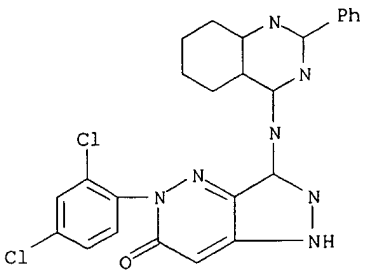
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

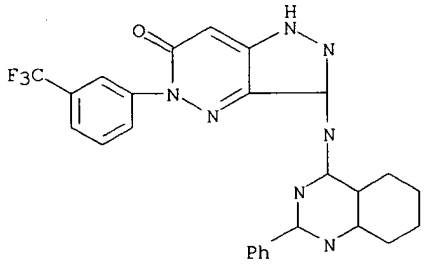
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

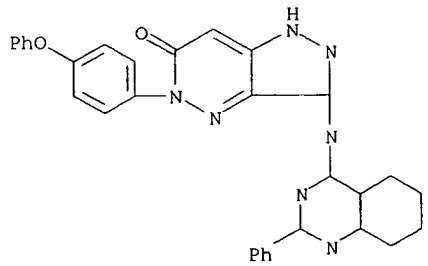
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2

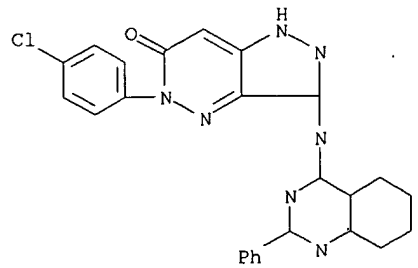
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)

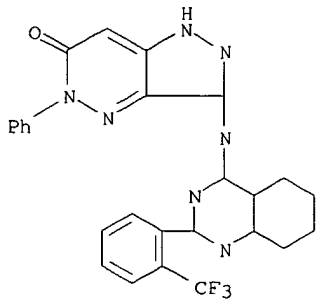


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 35 OF 40 USPAT2 on STN
AN 2003:79141 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Davies, Robert, Arlington, MA, UNITED STATES
Everitt, Simon, Beaconsfield, UNITED KINGDOM
Kay, David, Purton, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Patel, Sanjay, Abingdon, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, UNITED STATES (U.S. corporation)
PI US-----6989385 B2 20060124
AI 2001US-000026967 20011219 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Rao, Deepak
LREP Dixon, Lisa A.
CLMN Number of Claims: 31
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8598
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IIc:
##STR1##

wherein R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

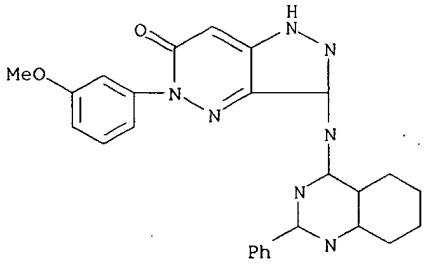
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

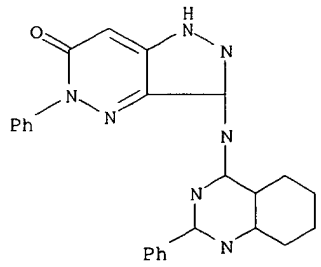
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

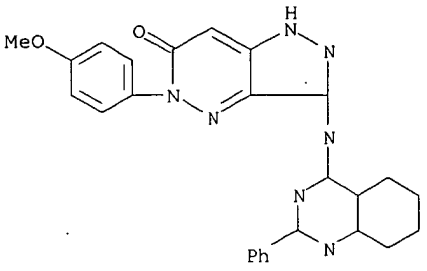
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

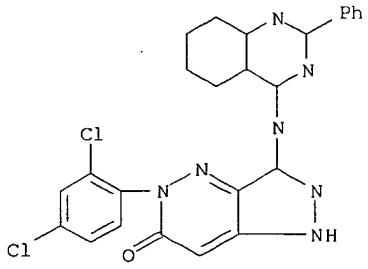
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

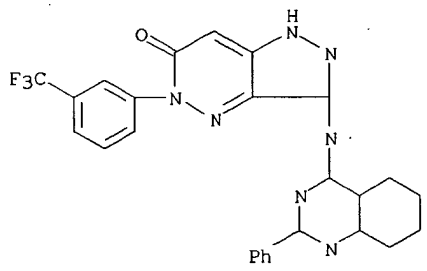
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

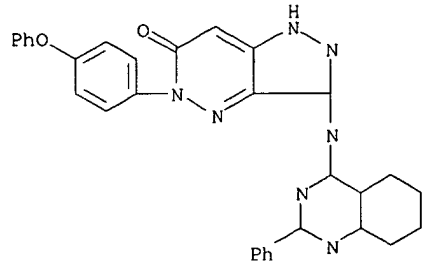
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

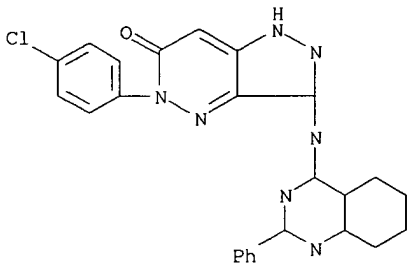
RN 404829-22-7 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

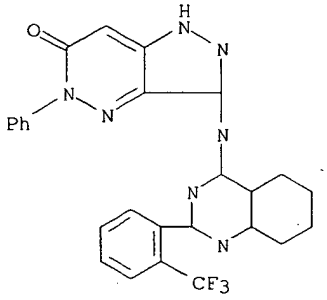
L21 ANSWER 36 OF 40 USPAT2 on STN
AN 2003:79117 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Davies, Robert J., Arlington, MA, United States
Li, Pan, Arlington, MA, United States
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Bebbington, David, Newbury, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6638926 B2 20031028
AI 2001US-000953505 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Berch, Mark L.; Assistant Examiner: Habte, Kahsay
LREP Robidoux, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 27
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8654
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention provides novel pyrazole compounds that are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3. The compounds may be used to treat abnormal physiological function leading to diseases such as cancer, diabetes and Alzheimer's disease. The compounds are represented by formula VI: ##STR1##

wherein G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.y is T--R.sup.3'; T is a valence bond or a C.sub.1-4 alkylidene chain; R.sup.3' is an optionally substituted group selected from C.sub.1-6 aliphatic, C.sub.3-10 carbocyclyl, C.sub.6-10 aryl, a heteroaryl ring having 5-10 ring atoms, or a heterocyclyl ring having 5-10 ring atoms; and R.sup.1, R.sup.2, and R.sup.2' are as described in the specification.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-

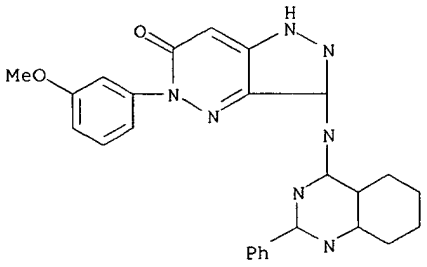
yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**,
[6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**,
[6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**,
[5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



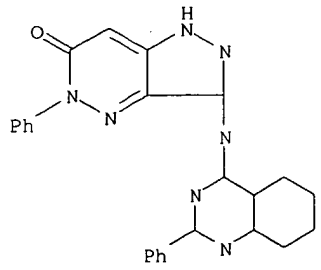
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

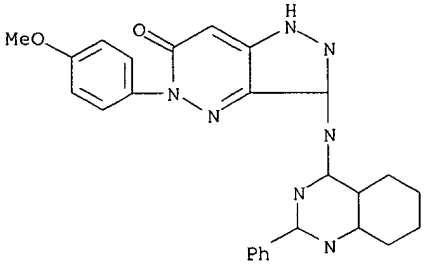
RN 404829-17-0 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,

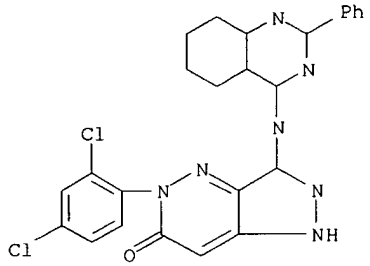
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

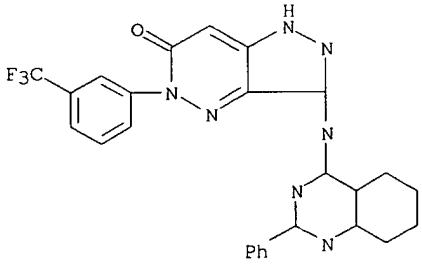
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

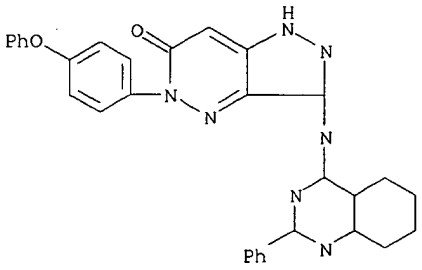
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

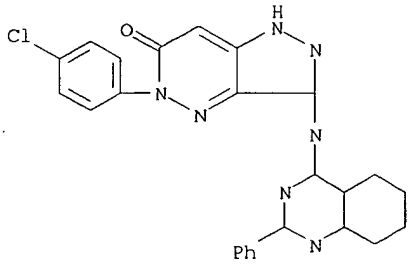
RN 404829-22-7 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

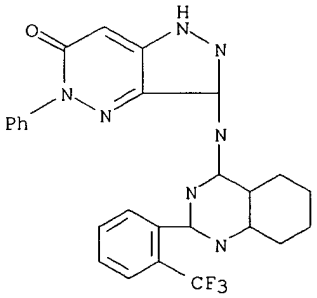
L21 ANSWER 37 OF 40 USPAT2 on STN
AN 2003:51585 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Miller, Andrew, Didcot, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6664247 B2 20031216
AI 2001US-000025164 20011219 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Patel, Sudhaker B.
LREP Robidoux, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 23
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8702
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IIIa: ##STR1##

wherein R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x, R.sup.y, R.sup.2, and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine
404829-16-9P, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-

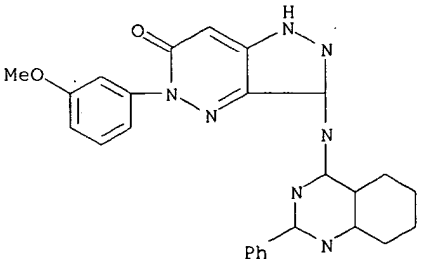
pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



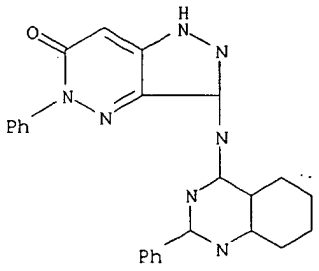
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

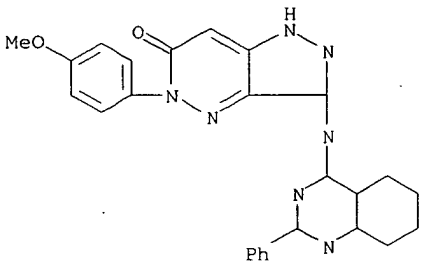
RN 404829-17-0 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

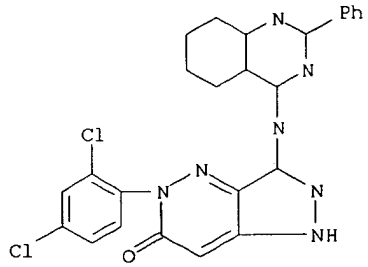
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

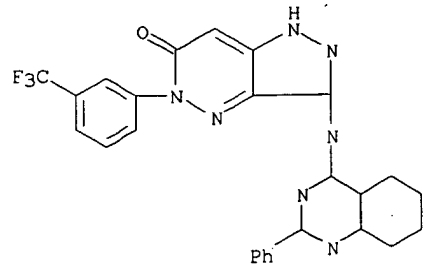
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

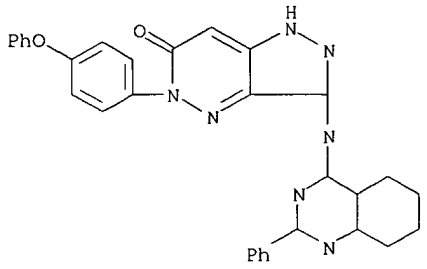
RN 404829-21-6 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



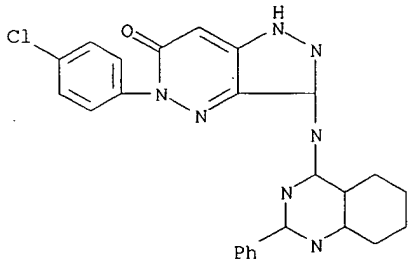
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 38 OF 40 USPAT2 on STN
AN 2003:30936 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Pierard, Francoise, Drayton, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6727251 B2 20040427
AI 2001US-000034019 20011220 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: Truong, Tamthom N.
LREP Robidoux, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 18
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 2107
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II:
##STR1##

wherein Z.sup.1 is nitrogen or CR.sup.8; Q is --S--, --O--, --N(R.sup.4)--, or --CH(R.sup.6)--; R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.y, R.sup.2, and R.sup.2' are as described in the specification.

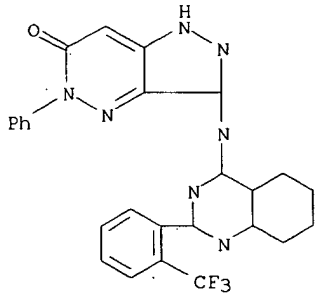
The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2

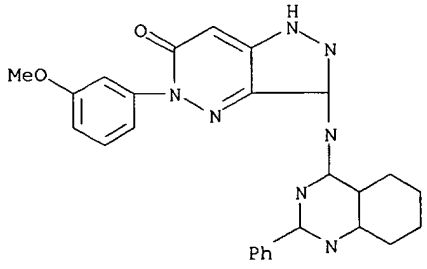
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

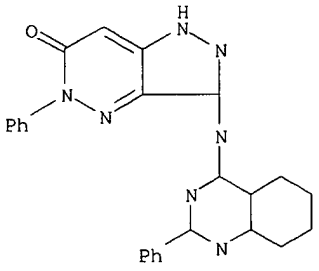
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

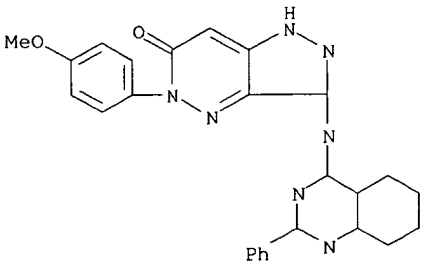
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

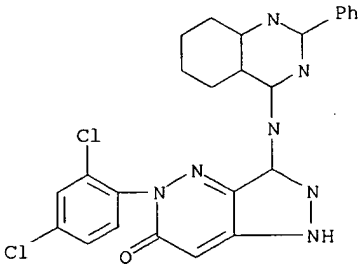
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

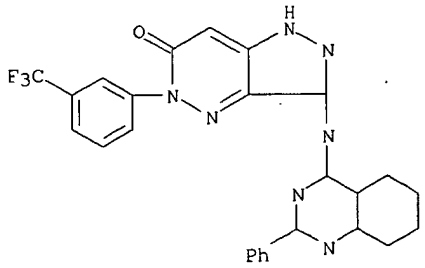
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



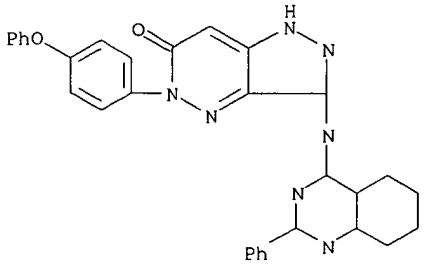
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

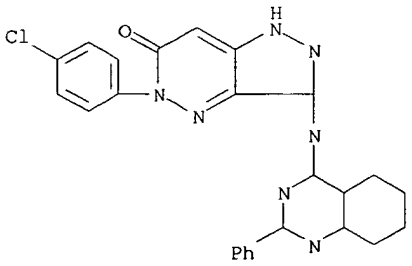
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 39 OF 40 USPAT2 on STN
AN 2003:4125 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6656939 B2 20031202
AI 2001US-000034683 20011220 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Balasubramanian, Vankataraman
LREP Shair, Karoline K.M., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 23
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 2110
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula III:
##STR1##

wherein Z.sup.1, Z.sup.2, and Z.sup.3 are as described in the specification; Q is --S--, --O--, --N(R.sup.4)--, or --CH(R.sup.6)--; R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases

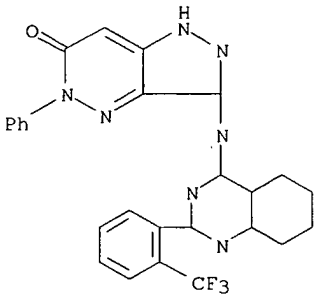
such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2

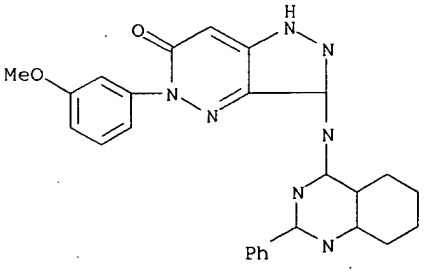
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

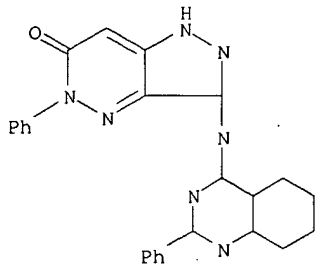
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

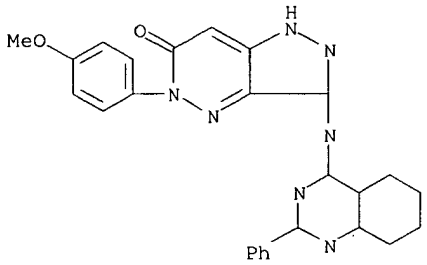
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

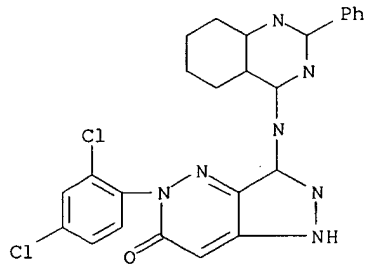
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

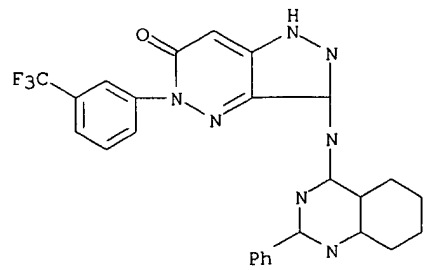
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

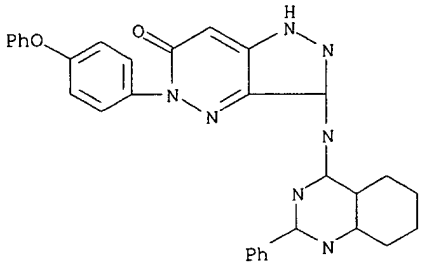
RN 404829-21-6 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



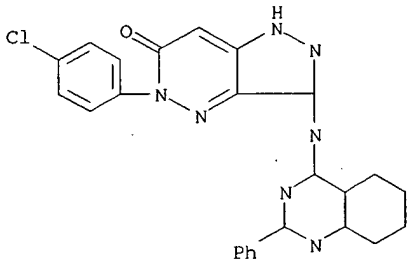
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 40 OF 40 USPAT2 on STN
AN 2003:4122 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Green, Jeremy, Burlington, MA, United States
Kay, David, Purton, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Miller, Andrew, Didcot, UNITED KINGDOM
Tomlison, Ronald, Marlborough, MA, United States
Li, Pan, Arlington, MA, United States
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6653300 B2 20031125
AI 2001US-000026975 20011219 (10) <--
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Patel, Sudhaker B.
LREP Robidout, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 40
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8954
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula I':
##STR1##

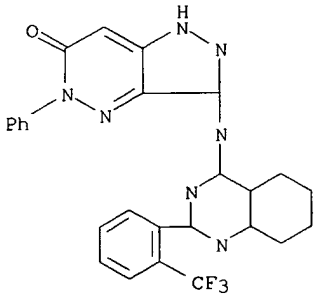
wherein Q' is --O--, --C(R.sup.6').sub.2--, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclopropanediyl, and R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T--R.sup.3 or L--Z--R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2

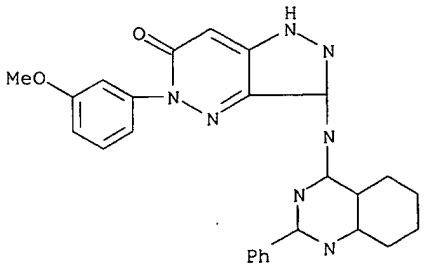
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



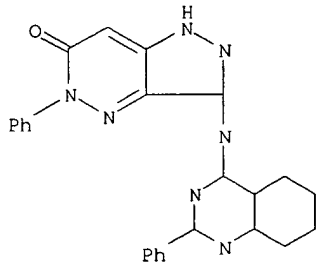
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

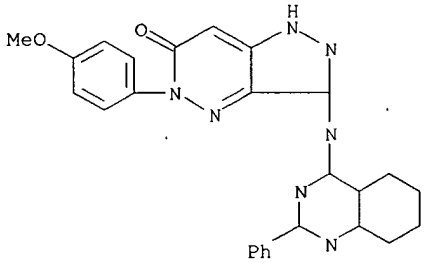
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



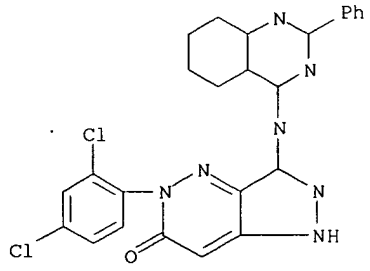
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-17-0 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



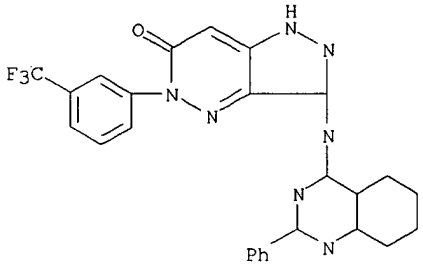
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-18-1 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-19-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)

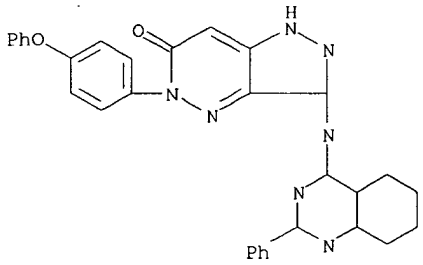


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-21-6 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



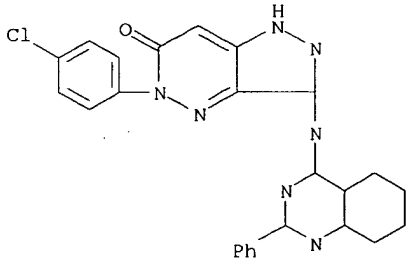
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

=> d his

FILE 'REGISTRY' ENTERED AT 10:33:15 ON 05 DEC 2008

FILE 'HCAPLUS' ENTERED AT 10:33:18 ON 05 DEC 2008
L1 1 US20040192682 /PN

FILE 'REGISTRY' ENTERED AT 10:33:36 ON 05 DEC 2008

FILE 'HCAPLUS' ENTERED AT 10:33:36 ON 05 DEC 2008
L2 TRA L1 1- RN : 44 TERMS

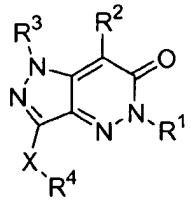
FILE 'REGISTRY' ENTERED AT 10:33:37 ON 05 DEC 2008
L3 44 SEA L2
L4 36 L3 AND N2C3-N2C4/ES
L5 STR
L6 STR L5

```
L7             4 L6
L8            82 L6 FULL
L9            36 L8 AND L3
L10              STR L5
L11              STR L6
L12            2 (L10 OR L11)  SUB=L8 SAM
L13           41 (L10 OR L11) FULL SUB=L8
L14           27 L13 AND L3
L15           14 L13 NOT L14

     FILE 'HCAPLUS' ENTERED AT 10:51:07 ON 05 DEC 2008
L16            1 L14
L17            9 L15

     FILE 'USPATFULL, USPATOLD, USPAT2' ENTERED AT 10:51:57 ON 05 DEC 2008
L18            1 L14
L19           40 L15

     FILE 'HCAPLUS' ENTERED AT 10:53:11 ON 05 DEC 2008
L20            9 L17 AND (PD<=20030206 OR AD<=20030206 OR PRD<=20030206)

     FILE 'USPATFULL, USPATOLD, USPAT2' ENTERED AT 10:53:42 ON 05 DEC 2008
L21           40 L19 AND (PD<=20030206 OR AD<=20030206 OR PRD<=20030206)

     FILE 'HCAOLD' ENTERED AT 10:54:18 ON 05 DEC 2008
L22            0 L14
L23            0 L15


=>
```

AMENDMENTS TO THE CLAIMS

Please replace all prior versions and listings of claims with the amended claims as follows:

1. (Previously presented) A compound of formula **I**:



I

or a pharmaceutically acceptable salt or mixtures thereof,

wherein R^1 is selected from $-(L)_mR$, $-(L)_mAr^1$, or $-(L)_mCy^1$; L is an optionally substituted C_{1-6} alkylidene chain wherein up to two non-adjacent methylene units of L are optionally replaced by O, NR, NRCO, NRCS, NRCONR, NRCSNR, $NRCO_2$, CO, CO_2, CONR, CSNR, OC(O)NR, SO_2, SO_2NR, $NRSO_2$, $NRSO_2NR$, C(O)C(O), or $C(O)CH_2C(O)$; m is 0 or 1; Ar^1 is an optionally substituted aryl group selected from a 3-8 membered monocyclic or an 8-10 membered bicyclic ring having 0-5 heteroatoms independently selected from nitrogen, oxygen, or sulfur; and Cy^1 is an optionally substituted group selected from a 3-7-membered saturated or partially unsaturated monocyclic ring having 0-3 heteroatoms independently selected from nitrogen, oxygen, or sulfur, or an 8-10-membered saturated or partially unsaturated bicyclic ring system having 0-5 heteroatoms independently selected from nitrogen, oxygen, or sulfur, wherein Ar^1 and Cy^1 are each independently optionally substituted with y occurrences of $Z\text{-}R^Y$; wherein Z is a bond or is a C_1-C_6 alkylidene chain wherein up to two non-adjacent methylene units of Z are optionally replaced by CO, CO_2, COCO, CONR, CSNR, OCONR, NRNR, NRNRCO, NRCO, NRCS, $NRCO_2$, NRCONR, NRCSNR, SO, SO_2, $NRSO_2$, SO_2NR, $NRSO_2NR$, O, S, or NR; each occurrence of R^Y is independently selected from R', halogen, NO_2, CN, OR', SR', $N(R')_2$, NR'C(O)R', NR'C(S)R', $NR'C(O)N(R')_2$, $NR'C(S)N(R')_2$, $NR'CO_2R'$, C(O)R', CO_2R', OC(O)R', $C(O)N(R')_2$, $C(S)N(R')_2$, $OC(O)N(R')_2$, SOR', SO_2R', $SO_2N(R')_2$, $NR'SO_2R'$, $NR'SO_2N(R')_2$, C(O)C(O)R', or $C(O)CH_2C(O)R'$; and y is 0-5;

R^2 is selected from halogen, NO_2, -SR, $-N(R)_2$, $-(T)_nR$, or $-(T)_nAr^2$ wherein T is an optionally substituted C_{1-4} alkylidene chain wherein up to two non-adjacent methylene units of T are optionally replaced by O, NR, NRCO, NRCS, NRCONR, NRCSNR, $NRCO_2$, CO, CO_2, CONR, CSNR, OC(O)NR, SO_2, SO_2NR, $NRSO_2$, $NRSO_2NR$, C(O)C(O), or $C(O)CH_2C(O)$; n is 0 or 1; Ar^2 is an optionally substituted aryl group selected from a 5-6 membered monocyclic or an 8-10 membered bicyclic ring having 0-5 heteroatoms independently selected from nitrogen, oxygen, or sulfur wherein Ar^2 is independently optionally substituted with up to five substituents selected from $Q-R^X$; wherein Q is a bond or is a C_1-C_6 alkylidene chain wherein up to two non-adjacent methylene units of Q are optionally replaced by CO, CO_2, COCO, CONR, CSNR, OCONR, NRNR, NRNRCO, NRCO, NRCS, $NRCO_2$, NRCONR, NRCSNR, SO, SO_2, $NRSO_2$, SO_2NR, $NRSO_2NR$, O, S, or NR; and each occurrence of R^X is independently selected from R', halogen, NO_2, CN, OR', SR', $N(R')_2$, NR'C(O)R', NR'C(S)R', $NR'C(O)N(R')_2$, $NR'C(S)N(R')_2$, $NR'CO_2R'$, C(O)R', CO_2R', OC(O)R', $C(O)N(R')_2$, $C(S)N(R')_2$, $OC(O)N(R')_2$, SOR', SO_2R', $SO_2N(R')_2$, $NR'SO_2R'$, $NR'SO_2N(R')_2$, C(O)C(O)R', or $C(O)CH_2C(O)R'$;

R^3 is hydrogen or an optionally substituted C_{1-4} aliphatic group;

X is selected from a valence bond, O, S, or NR;

R^4 is selected from -R, $-U-Ar^3$, or $-(U)_jCy^3$; U is an optionally substituted C_{1-6} alkylidene chain wherein up to two non-adjacent methylene units of U are optionally replaced by O, NR, NRCO, NRCS, NRCONR, NRCSNR, $NRCO_2$, CO, CO_2, CONR, CSNR, OC(O)NR, SO_2, SO_2NR, $NRSO_2$, $NRSO_2NR$, C(O)C(O), or $C(O)CH_2C(O)$; j is 0 or 1; Ar^3 is an optionally substituted aryl group selected from a 3-8 membered monocyclic or an 8-10 membered bicyclic ring having 0-5 heteroatoms independently selected from nitrogen, oxygen, or sulfur; and Cy^3 is an optionally substituted group selected from a 3-7-membered saturated or partially unsaturated monocyclic ring having 0-3 heteroatoms independently selected from nitrogen, oxygen, or sulfur, or an 8-10-membered saturated or partially unsaturated bicyclic ring system having 0-5 heteroatoms independently selected from nitrogen, oxygen, or sulfur, wherein Ar^3 and Cy^3 are each independently optionally substituted with up to five substituents selected from $Y-R^Z$; wherein Y is a bond or is a C_1-C_6 alkylidene chain wherein up to two non-adjacent

methylene units of Y are optionally replaced by CO, CO_2, COCO, CONR, CSNR, OCONR, NRNR, NRNRCO, NRCO, NRCS, $NRCO_2$, NRCONR, NRCSNR, SO, SO_2, $NRSO_2$, SO_2NR, $NRSO_2NR$, O, S, or NR; and each occurrence of R^Z is independently selected from R', halogen, NO_2, CN, OR', SR', $N(R')_2$, NR'C(O)R', NR'C(S)R', $NR'C(O)N(R')_2$, $NR'C(S)N(R')_2$, $NR'CO_2R'$, C(O)R', CO_2R', OC(O)R', $C(O)N(R')_2$, $C(S)N(R')_2$, $OC(O)N(R')_2$, SOR', SO_2R', $SO_2N(R')_2$, $NR'SO_2R'$, $NR'SO_2N(R')_2$, C(O)C(O)R', or $C(O)CH_2C(O)R'$; or

wherein R^4 and R, taken together with the nitrogen form an optionally substituted 5-8 membered heterocyclyl ring having 1-3 heteroatoms independently selected from nitrogen, oxygen, or sulfur;

each occurrence of R is independently selected from hydrogen or an optionally substituted C_{1-6} aliphatic group, or two R on the same nitrogen are taken together with the nitrogen to form a 5-8 membered heterocyclyl or heteroaryl ring having 1-3 heteroatoms independently selected from nitrogen, oxygen, or sulfur; and

each occurrence of R' is independently selected from hydrogen or an optionally substituted group selected from C_{1-6} aliphatic, C_{6-10} aryl, a heteroaryl ring having 5-10 ring atoms, or a heterocyclyl ring having 3-10 ring atoms, or wherein two R on the same nitrogen are taken together with the nitrogen to form a 5-8 membered heterocyclyl or heteroaryl ring having 1-3 heteroatoms independently selected from nitrogen, oxygen, or sulfur,

provided that:

a) when X is NR; R, R^3, and R^4 are each hydrogen; R^2 is $-(T)_nR$ wherein n is 0 and R is hydrogen; and R^1 is $-(L)_mAr^1$ wherein m is 0; then Ar^1 is not:

i) 4-Cl or 4-OMe phenyl; or

ii) 3-CF_3 phenyl;

d) when X is a valence bond; R^4 is hydrogen; R^3 is CH_3; R^2 is either chloro or hydrogen; and R^1 is $-(L)_mAr^1$ wherein m is 0, then Ar^1 is not 3-trifluoromethyl phenyl or 2-fluoro-5-trifluoromethyl phenyl;

f) when X is a valence bond; R^4 is methyl; R^2 is $-(T)_nR$ wherein n is 0 and R is hydrogen; R^3 is hydrogen; and R^1 is $-(L)_mAr^1$ wherein m is 0; then Ar^1 is not 4-tolyl;